Connecting via Winsock to STN

Welcome to STN International! Enter x:X

LOGINID:SSPTABEM1624

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	NOV 21	CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present
NEWS 3	NOV 26	MARPAT enhanced with FSORT command
NEWS 4	NOV 26	CHEMSAFE now available on STN Easy
NEWS 5	NOV 26	Two new SET commands increase convenience of STN searching
NEWS 6	DEC 01	ChemPort single article sales feature unavailable
NEWS 7	DEC 12	GBFULL now offers single source for full-text coverage of complete UK patent families
NEWS 8	DEC 17	Fifty-one pharmaceutical ingredients added to PS
NEWS 9	JAN 06	The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo
NEWS 10	JAN 07	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data
NEWS 11	FEB 02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 12	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 13	FEB 06	Patent sequence location (PSL) data added to USGENE
NEWS 14	FEB 10	COMPENDEX reloaded and enhanced
NEWS 15	FEB 11	WTEXTILES reloaded and enhanced
NEWS 16	FEB 19	New patent-examiner citations in 300,000 CA/CAplus patent records provide insights into related prior art
NEWS 17	FEB 19	Increase the precision of your patent queries -- use terms from the IPC Thesaurus, Version 2009.01
NEWS 18	FEB 23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS 19	FEB 23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS 20	FEB 23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS 21	FEB 23	Three million new patent records blast AEROSPACE into STN patent clusters
NEWS 22	FEB 25	USGENE enhanced with patent family and legal status display data from INPADOCDB
NEWS EXPRESS	JUNE 27 08	CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.
NEWS HOURS		STN Operating Hours Plus Help Desk Availability
NEWS LOGIN		Welcome Banner and News Items
NEWS IPC8		For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 17:29:05 ON 03 MAR 2009

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 17:29:15 ON 03 MAR 2009

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 2 MAR 2009 HIGHEST RN 1114593-79-1
DICTIONARY FILE UPDATES: 2 MAR 2009 HIGHEST RN 1114593-79-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

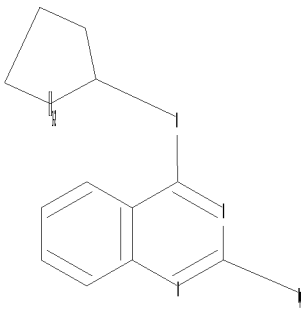
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

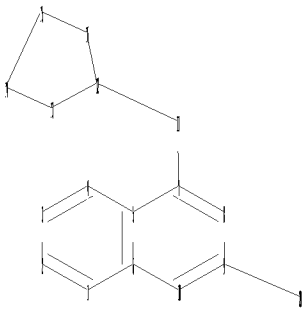
  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

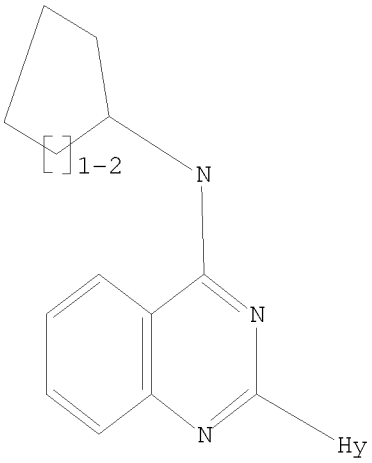
=>
Uploading C:\Program Files\STNEXP\Queries\10552426genericclaim9.str





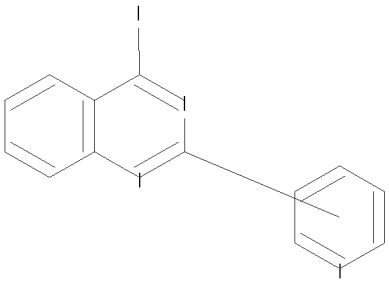
chain nodes :
20
ring nodes :
1 2 3 4 5 6 7 8 9 10 12 13 14 15 16
ring/chain nodes :
11
chain bonds :

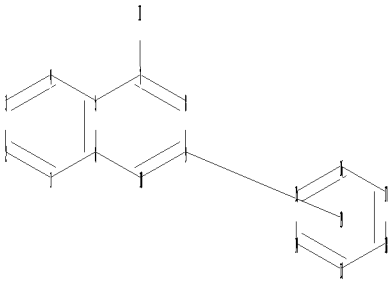
```
7-11  9-20  11-12
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-10  7-8  8-9  9-10  12-13  12-16  13-14  14-15
 15-16
exact/norm bonds :
7-11  9-20  11-12  12-13  12-16  13-14  14-15  15-16
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-10  7-8  8-9  9-10
isolated ring systems :
containing 1 :


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:CLASS  12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  20:Atom
Generic attributes :
20:
Saturation             : Unsaturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : Exactly 1
Type of Ring System    : Monocyclic

Element Count :
Node 20: Limited
    N,N0
    C,C0




L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
```




```
Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss sam
SAMPLE SEARCH INITIATED 17:29:40 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     2083 TO ITERATE
```

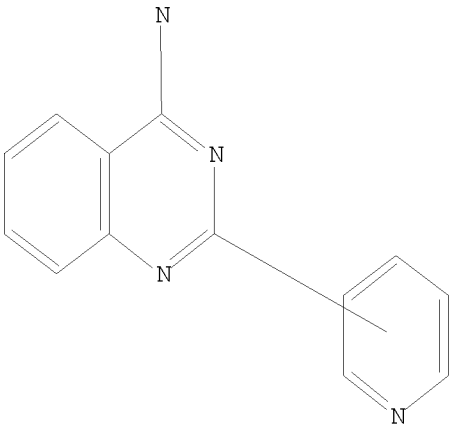
```
 96.0% PROCESSED     2000 ITERATIONS                                 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        38923 TO    44397
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 17:29:45 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    42477 TO ITERATE

100.0% PROCESSED    42477 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

L3            0 SEA SSS FUL L1

=>
Uploading C:\Program Files\STNEXP\Queries\10552426narrower.str
```





```
ring nodes :
1  2  3  4  5  6  7  8  9  10  13  14  15  16  17  18
ring/chain nodes :
11
chain bonds :
7-11
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-10  7-8  8-9  9-10  13-14  13-18  14-15  15-16
 16-17  17-18
exact/norm bonds :
7-11
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-10  7-8  8-9  9-10  13-14  13-18  14-15  15-16
 16-17  17-18
isolated ring systems :
containing 1 : 13 :


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:CLASS  13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:CLASS  19:Atom



L4      STRUCTURE UPLOADED

=> d l4
```

L4 HAS NO ANSWERS
L4 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l4 sss sam
SAMPLE SEARCH INITIATED 17:32:40 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2256 TO ITERATE

88.7% PROCESSED 2000 ITERATIONS 38 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 42271 TO 47969
PROJECTED ANSWERS: 465 TO 1249

L5 38 SEA SSS SAM L4

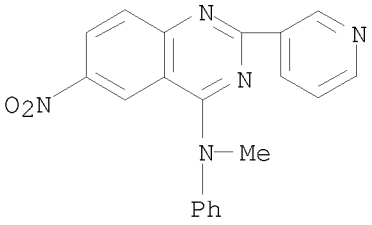
=> s l4 sss full
FULL SEARCH INITIATED 17:32:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 45297 TO ITERATE

100.0% PROCESSED 45297 ITERATIONS 1075 ANSWERS
SEARCH TIME: 00.00.02

L6 1075 SEA SSS FUL L4

=> d scan

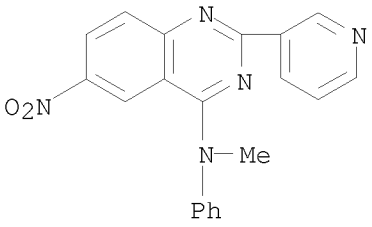
L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-methyl-6-nitro-N-phenyl-2-(3-pyridinyl)-
MF C20 H15 N5 O2
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

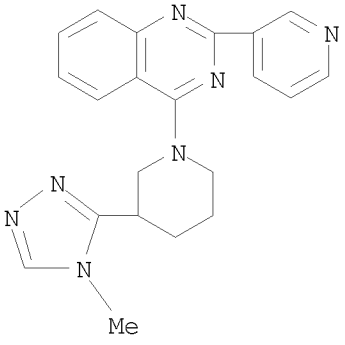
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):100

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-methyl-6-nitro-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2)
MF C20 H15 N5 O2 . 2 Cl H



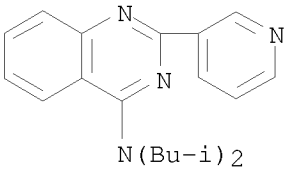
●2 HCl

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Quinazoline, 4-[3-(4-methyl-4H-1,2,4-triazol-3-yl)-1-piperidinyl]-2-(3-pyridinyl)-
MF C21 H21 N7



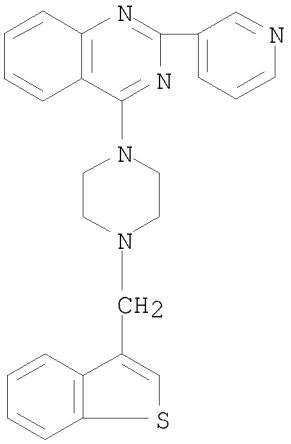
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N,N-bis(2-methylpropyl)-2-(3-pyridinyl)-, hydrochloride (1:1)
MF C21 H26 N4 . Cl H



● HCl

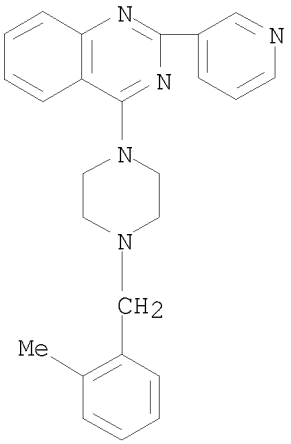
L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Quinazoline, 4-[4-(benzo[b]thien-3-ylmethyl)-1-piperazinyl]-2-(3-pyridinyl)-
MF C26 H23 N5 S



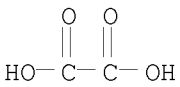
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Quinazoline, 4-[4-[(2-methylphenyl)methyl]-1-piperazinyl]-2-(3-pyridinyl)-, ethanedioate (1:1)
MF C25 H25 N5 . C2 H2 O4

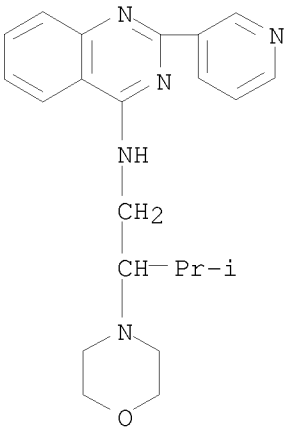
CM 1



CM 2

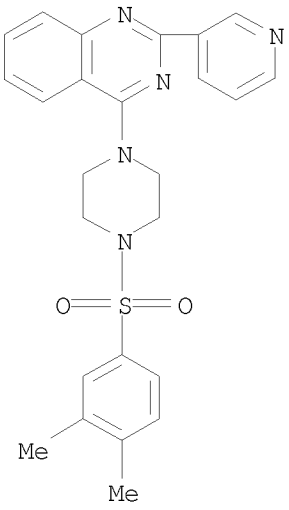


L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-[3-methyl-2-(4-morpholinyl)butyl]-2-(3-pyridinyl)-
MF C22 H27 N5 O



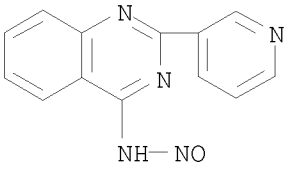
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Quinazoline, 4-[4-[(3,4-dimethylphenyl)sulfonyl]-1-piperazinyl]-2-(3-pyridinyl)-
MF C25 H25 N5 O2 S



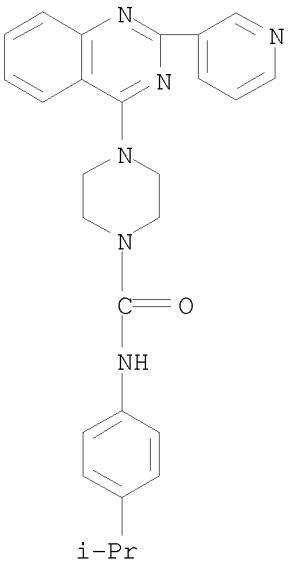
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-nitroso-2-(3-pyridinyl)-, sodium salt (1:1)
MF C13 H9 N5 O . Na



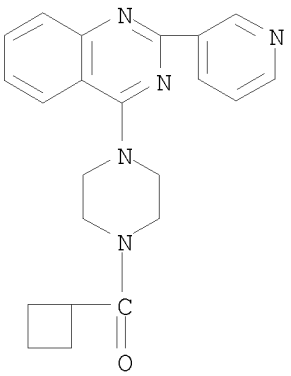
● Na

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1-Piperazinecarboxamide, N-[4-(1-methylethyl)phenyl]-4-[2-(3-pyridinyl)-4-quinazolinyl]-
MF C27 H28 N6 O



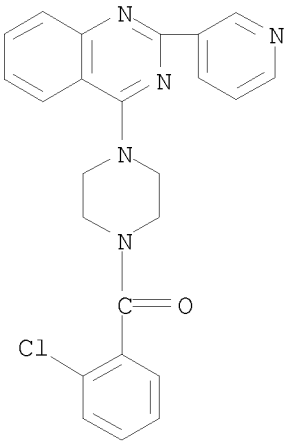
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Methanone, cyclobutyl[4-[2-(3-pyridinyl)-4-quinazolinyl]-1-piperazinyl]-
MF C22 H23 N5 O



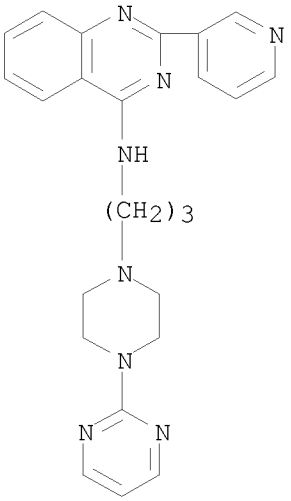
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Methanone, (2-chlorophenyl)[4-[2-(3-pyridinyl)-4-quinazolinyl]-1-piperazinyl]-
MF C24 H20 Cl N5 O



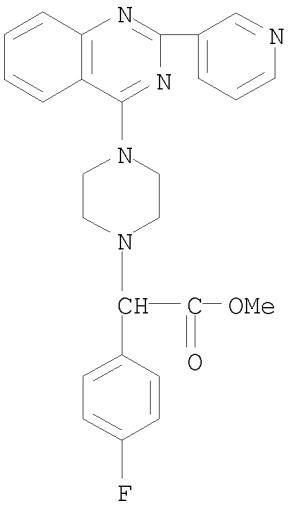
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[3-[4-(2-pyrimidinyl)-1-piperazinyl]propyl]-
MF C24 H26 N8



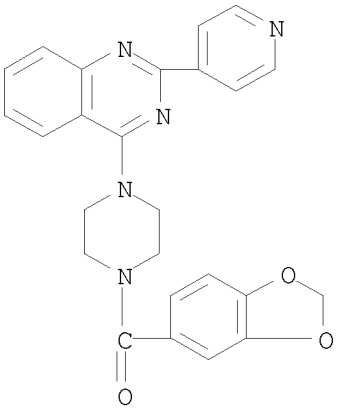
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1-Piperazineacetic acid, α-(4-fluorophenyl)-4-[2-(3-pyridinyl)-4-quinazolinyl]-, methyl ester
MF C26 H24 F N5 O2



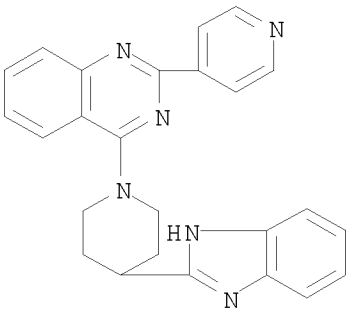
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Methanone, 1,3-benzodioxol-5-yl[4-[2-(4-pyridinyl)-4-quinazolinyl]-1-piperazinyl]-
MF C25 H21 N5 O3



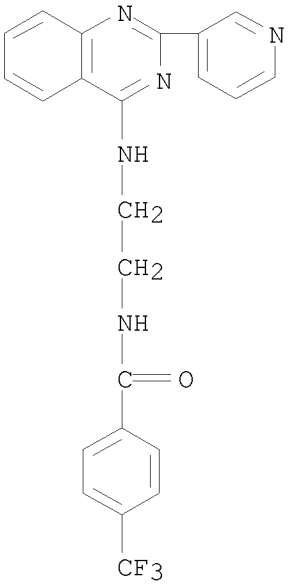
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Quinazoline, 4-[4-(1H-benzimidazol-2-yl)-1-piperidinyl]-2-(4-pyridinyl)-
MF C25 H22 N6



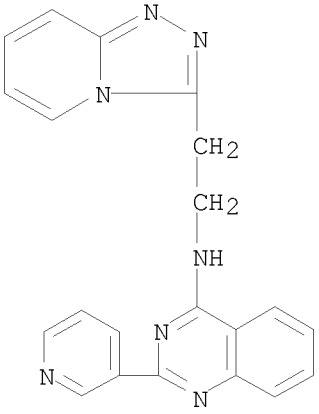
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzamide, N-[2-[[2-(3-pyridinyl)-4-quinazolinyl]amino]ethyl]-4-(trifluoromethyl)-
MF C23 H18 F3 N5 O



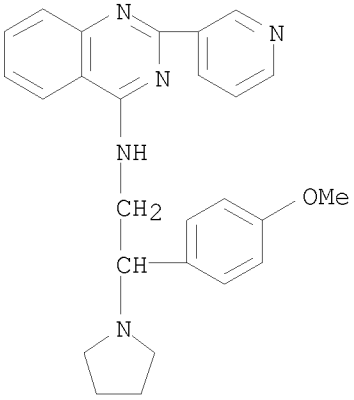
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[2-(1,2,4-triazolo[4,3-a]pyridin-3-yl)ethyl]-
MF C21 H17 N7



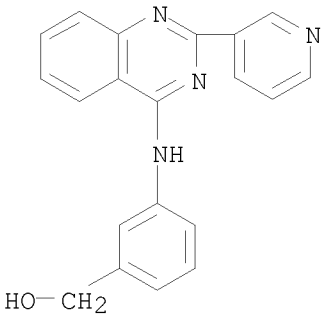
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-[2-(4-methoxyphenyl)-2-(1-pyrrolidinyl)ethyl]-2-(3-pyridinyl)-
MF C26 H27 N5 O



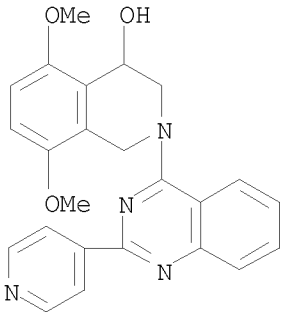
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzenemethanol, 3-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-
MF C20 H16 N4 O



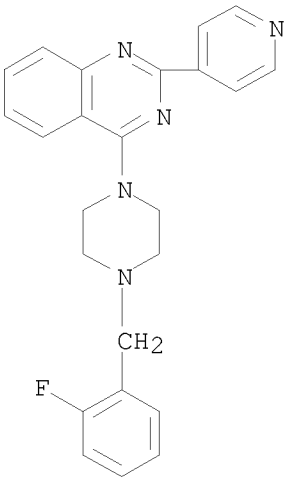
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Isoquinolinol, 1,2,3,4-tetrahydro-5,8-dimethoxy-2-[2-(4-pyridinyl)-4-quinazolinyl]-
MF C24 H22 N4 O3



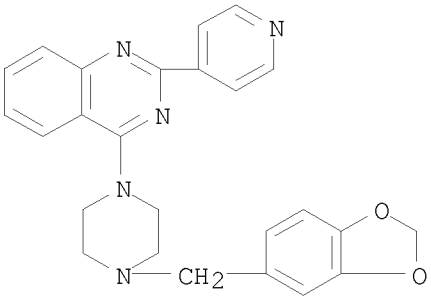
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Quinazoline, 4-[4-[(2-fluorophenyl)methyl]-1-piperazinyl]-2-(4-pyridinyl)-
MF C24 H22 F N5



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

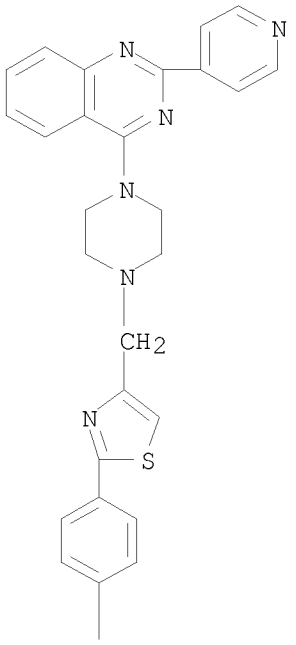
L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Quinazoline, 4-[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]-2-(4-pyridinyl)-
MF C25 H23 N5 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Quinazoline, 4-[4-[[2-(4-methoxyphenyl)-4-thiazolyl]methyl]-1-piperazinyl]-2-(4-pyridinyl)-
MF C28 H26 N6 O S

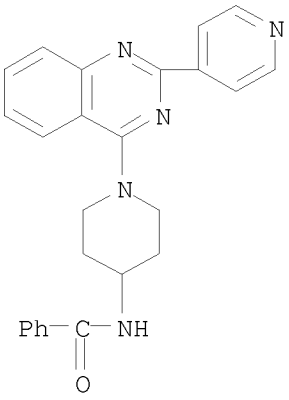
PAGE 1-A



PAGE 2-A

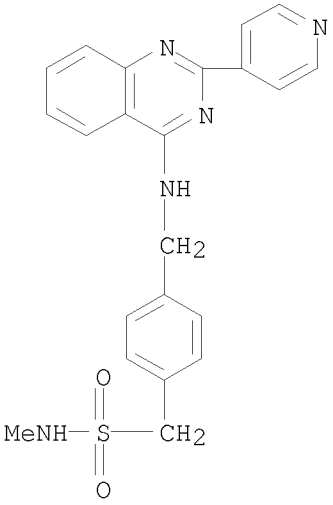
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzamide, N-[1-[2-(4-pyridinyl)-4-quinazolinyl]-4-piperidinyl]-
MF C25 H23 N5 O



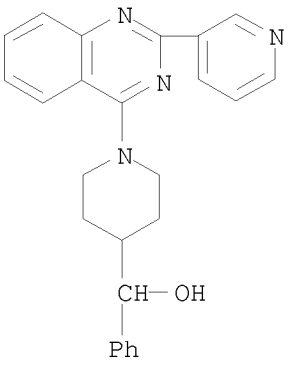
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzenemethanesulfonamide, N-methyl-4-[[[2-(4-pyridinyl)-4-quinazolinyl]amino]methyl]-
MF C22 H21 N5 O2 S



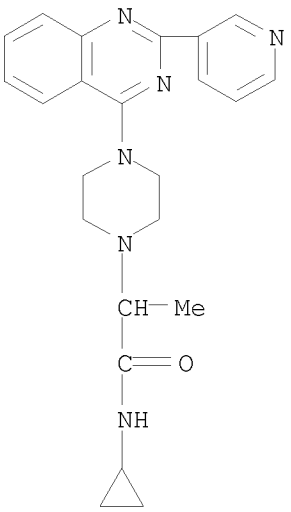
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Piperidinemethanol, α-phenyl-1-[2-(3-pyridinyl)-4-quinazolinyl]-
MF C25 H24 N4 O



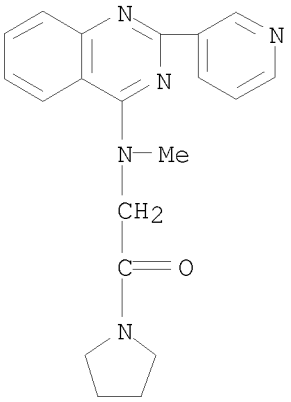
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1-Piperazineacetamide, N-cyclopropyl-α-methyl-4-[2-(3-pyridinyl)-4-quinazolinyl]-
MF C23 H26 N6 O



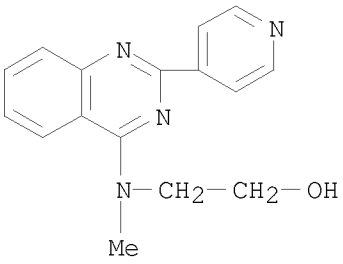
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Ethanone, 2-[methyl[2-(3-pyridinyl)-4-quinazolinyl]amino]-1-(1-pyrrolidinyl)-
MF C20 H21 N5 O



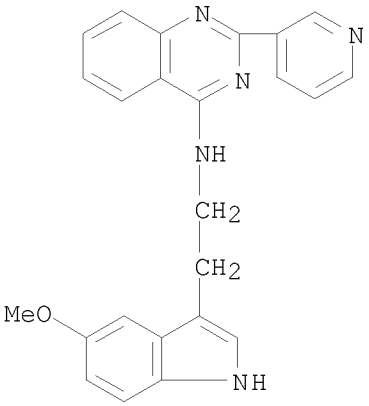
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Ethanol, 2-[methyl[2-(4-pyridinyl)-4-quinazolinyl]amino]-
MF C16 H16 N4 O



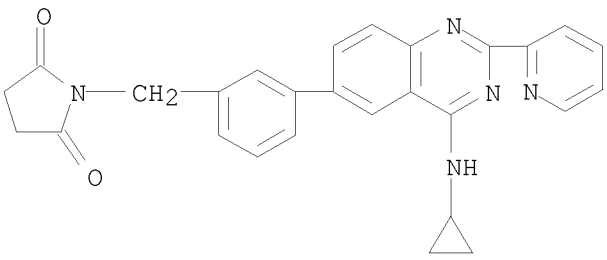
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-[2-(5-methoxy-1H-indol-3-yl)ethyl]-2-(3-pyridinyl)-
MF C24 H21 N5 O



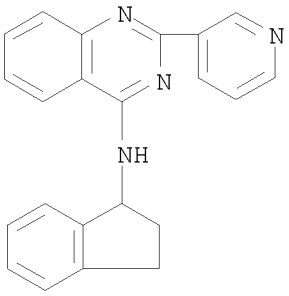
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2,5-Pyrrolidinedione, 1-[[3-[4-(cyclopropylamino)-2-(2-pyridinyl)-6-quinazolinyl]phenyl]methyl]-
MF C27 H23 N5 O2



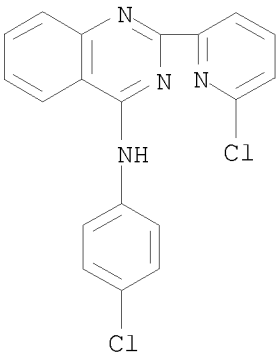
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-(2,3-dihydro-1H-inden-1-yl)-2-(3-pyridinyl)-
MF C22 H18 N4



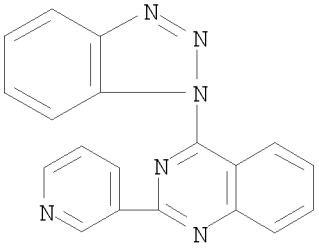
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-(4-chlorophenyl)-2-(6-chloro-2-pyridinyl)-
MF C19 H12 Cl2 N4



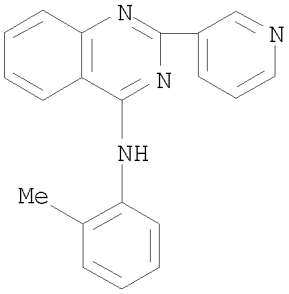
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Quinazoline, 4-(1H-benzotriazol-1-yl)-2-(3-pyridinyl)-
MF C19 H12 N6



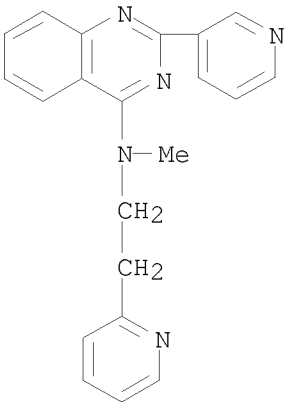
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-(2-methylphenyl)-2-(3-pyridinyl)-
MF C20 H16 N4
CI COM



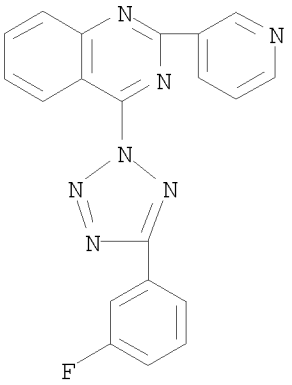
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-methyl-2-(3-pyridinyl)-N-[2-(2-pyridinyl)ethyl]-
MF C21 H19 N5



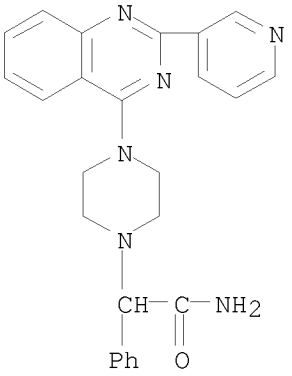
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Quinazoline, 4-[5-(3-fluorophenyl)-2H-tetrazol-2-yl]-2-(3-pyridinyl)-
MF C20 H12 F N7



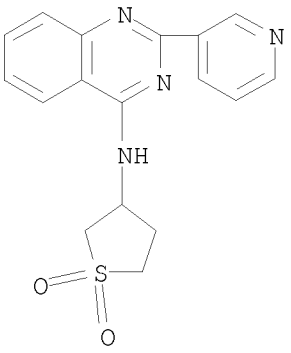
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1-Piperazineacetamide, α-phenyl-4-[2-(3-pyridinyl)-4-quinazolinyl]-
MF C25 H24 N6 O



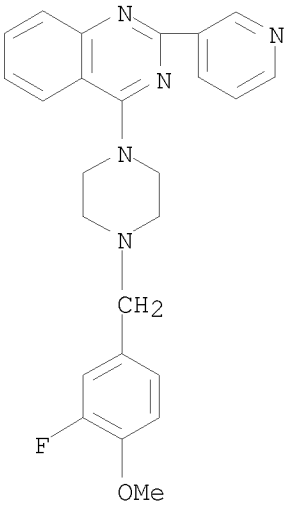
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(tetrahydro-1,1-dioxido-3-thienyl)-
MF C17 H16 N4 O2 S



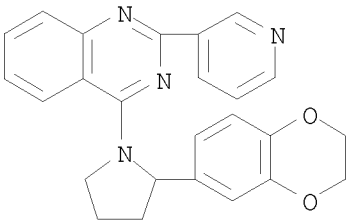
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Quinazoline, 4-[4-[(3-fluoro-4-methoxyphenyl)methyl]-1-piperazinyl]-2-(3-pyridinyl)-
MF C25 H24 F N5 O



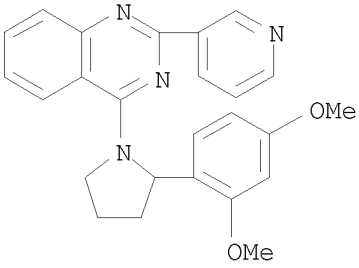
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Quinazoline, 4-[2-(2,3-dihydro-1,4-benzodioxin-6-yl)-1-pyrrolidinyl]-2-(3-pyridinyl)-
MF C25 H22 N4 O2



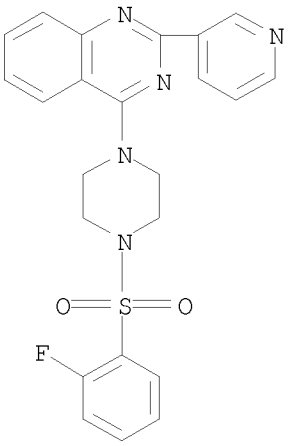
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Quinazoline, 4-[2-(2,4-dimethoxyphenyl)-1-pyrrolidinyl]-2-(3-pyridinyl)-
MF C25 H24 N4 O2



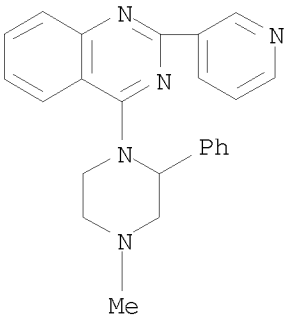
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Quinazoline, 4-[4-[(2-fluorophenyl)sulfonyl]-1-piperazinyl]-2-(3-pyridinyl)-
MF C23 H20 F N5 O2 S



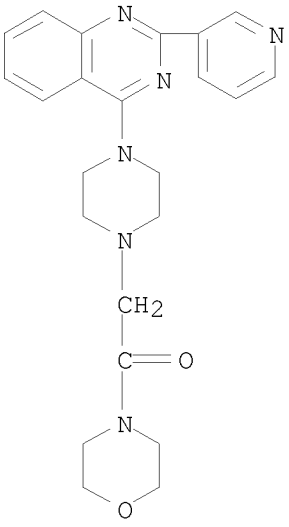
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Quinazoline, 4-(4-methyl-2-phenyl-1-piperazinyl)-2-(3-pyridinyl)-
MF C24 H23 N5



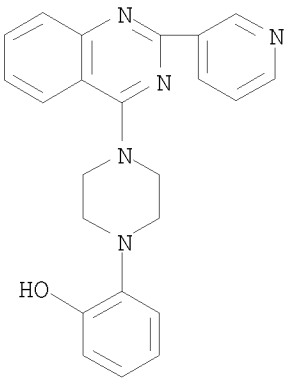
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Ethanone, 1-(4-morpholinyl)-2-[4-[2-(3-pyridinyl)-4-quinazolinyl]-1-piperazinyl]-
MF C23 H26 N6 O2



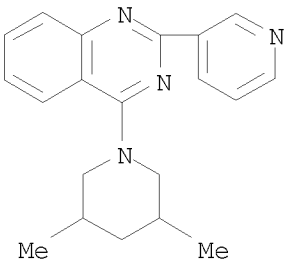
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Phenol, 2-[4-[2-(3-pyridinyl)-4-quinazolinyl]-1-piperazinyl]-
MF C23 H21 N5 O



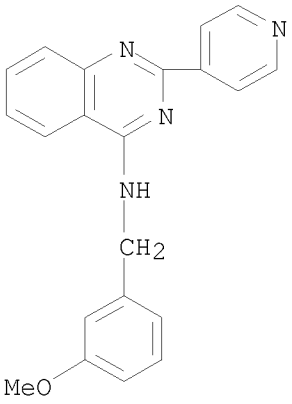
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Quinazoline, 4-(3,5-dimethyl-1-piperidinyl)-2-(3-pyridinyl)-
MF C20 H22 N4



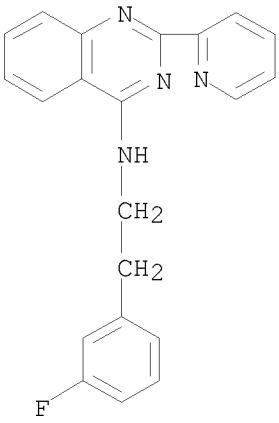
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-[(3-methoxyphenyl)methyl]-2-(4-pyridinyl)-
MF C21 H18 N4 O



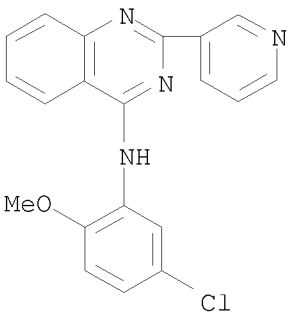
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-[2-(3-fluorophenyl)ethyl]-2-(2-pyridinyl)-
MF C21 H17 F N4



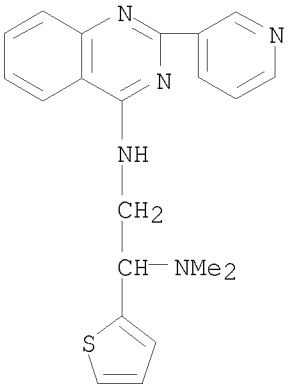
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-(5-chloro-2-methoxyphenyl)-2-(3-pyridinyl)-
MF C20 H15 Cl N4 O
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

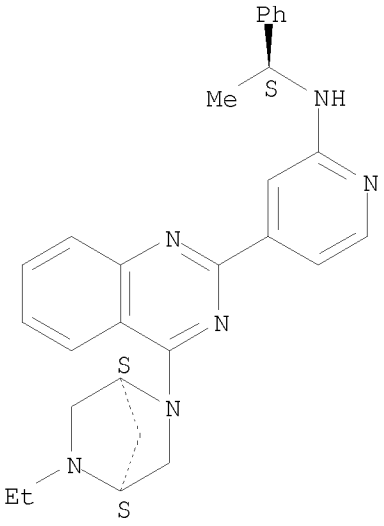
L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1,2-Ethanediamine, N1,N1-dimethyl-N2-[2-(3-pyridinyl)-4-quinazolinyl]-1-(2-thienyl)-
MF C21 H21 N5 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

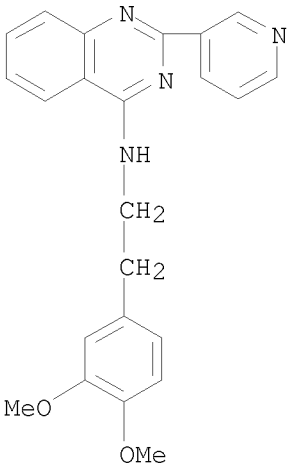
L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Pyridinamine, 4-[4-[(1S,4S)-5-ethyl-2,5-diazabicyclo[2.2.1]hept-2-yl]-2-quinazolinyl]-N-[(1S)-1-phenylethyl]-
MF C28 H30 N6

Absolute stereochemistry.



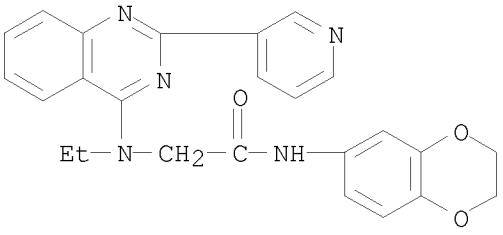
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-2-(3-pyridinyl)-
MF C23 H22 N4 O2



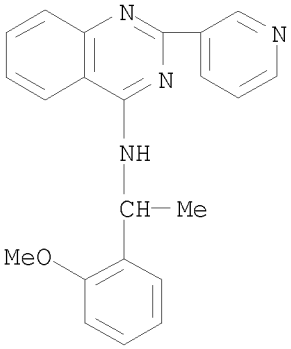
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Acetamide, N-(2,3-dihydro-1,4-benzodioxin-6-yl)-2-[ethyl[2-(3-pyridinyl)-4-quinazolinyl]amino]-
MF C25 H23 N5 O3



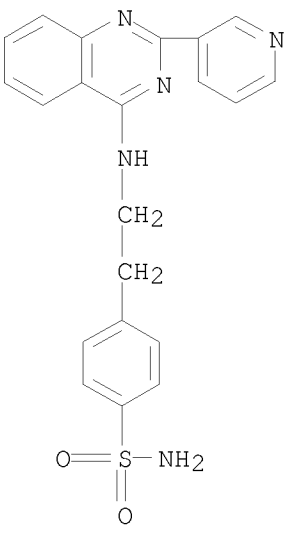
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-[1-(2-methoxyphenyl)ethyl]-2-(3-pyridinyl)-
MF C22 H20 N4 O



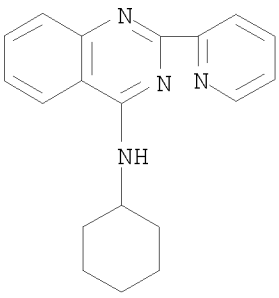
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzenesulfonamide, 4-[2-[[2-(3-pyridinyl)-4-quinazolinyl]amino]ethyl]-
MF C21 H19 N5 O2 S



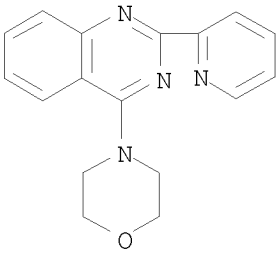
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-cyclohexyl-2-(2-pyridinyl)-
MF C19 H20 N4



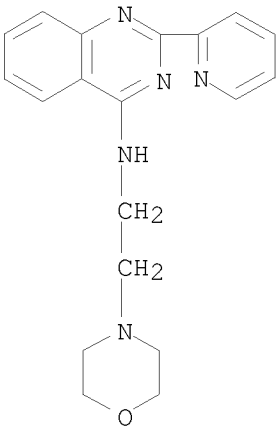
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Quinazoline, 4-(4-morpholinyl)-2-(2-pyridinyl)-
MF C17 H16 N4 O



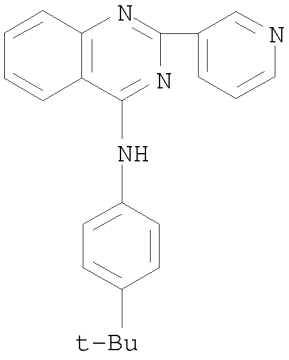
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-[2-(4-morpholinyl)ethyl]-2-(2-pyridinyl)-
MF C19 H21 N5 O



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

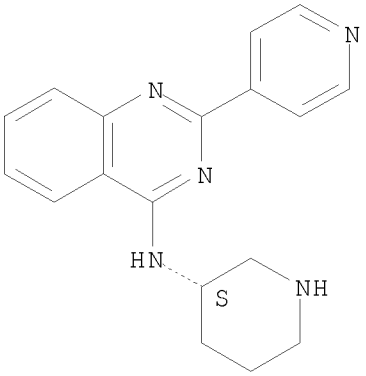
L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-[4-(1,1-dimethylethyl)phenyl]-2-(3-pyridinyl)-
MF C23 H22 N4



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-(3S)-3-piperidinyl-2-(4-pyridinyl)-
MF C18 H19 N5

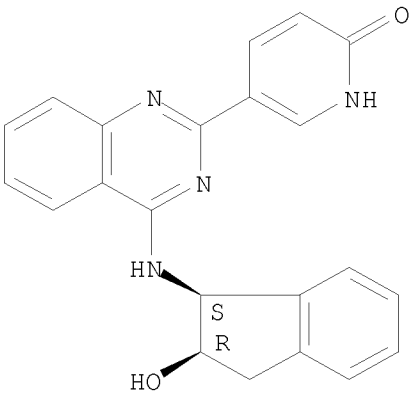
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2(1H)-Pyridinone, 5-[4-[[(1S,2R)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]amino]-2-quinazolinyl]-
MF C22 H18 N4 O2

Absolute stereochemistry.

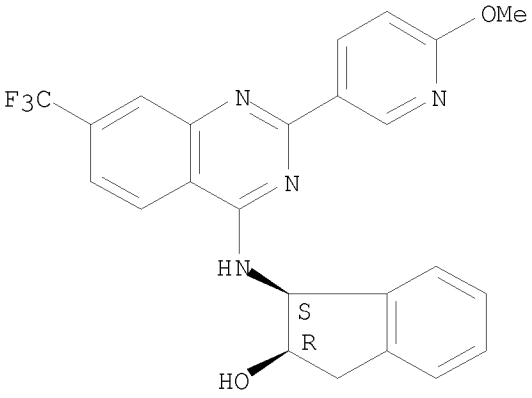


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-(6-methoxy-3-pyridinyl)-7-

(trifluoromethyl)-4-quinazolinyl]amino]-, (1S,2R)-
MF C24 H19 F3 N4 O2

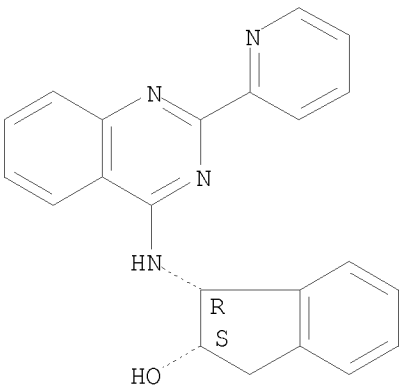
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

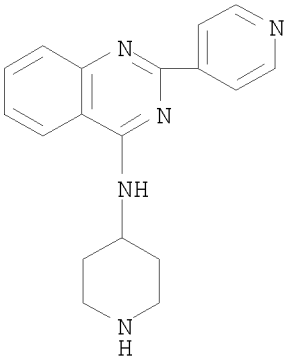
L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-(2-pyridinyl)-4-quinazolinyl]amino]-, (1R,2S)-
MF C22 H18 N4 O

Absolute stereochemistry.



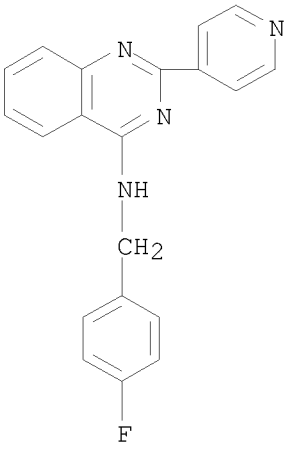
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-4-piperidinyl-2-(4-pyridinyl)-
MF C18 H19 N5



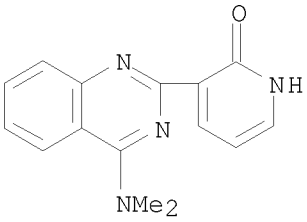
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-[(4-fluorophenyl)methyl]-2-(4-pyridinyl)-
MF C20 H15 F N4



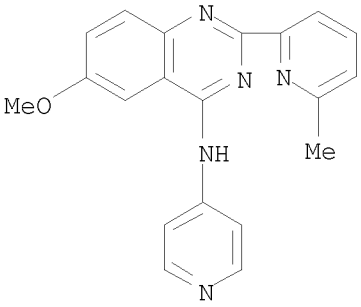
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2(1H)-Pyridinone, 3-[4-(dimethylamino)-2-quinazolinyl]-
MF C15 H14 N4 O



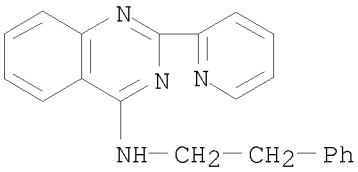
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, 6-methoxy-2-(6-methyl-2-pyridinyl)-N-4-pyridinyl-
MF C20 H17 N5 O



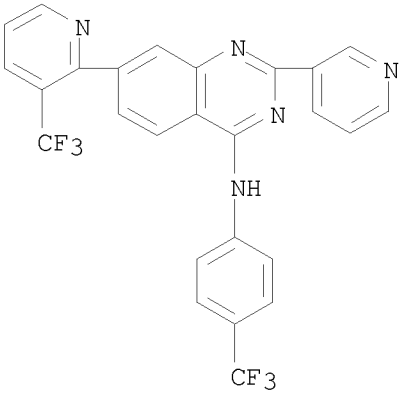
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-(2-phenylethyl)-2-(2-pyridinyl)-
MF C21 H18 N4



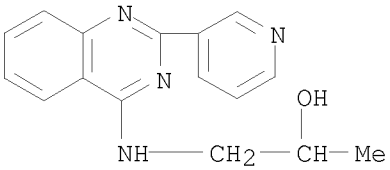
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]-
MF C26 H15 F6 N5



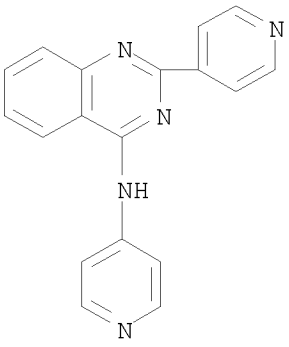
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Propanol, 1-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-
MF C16 H16 N4 O



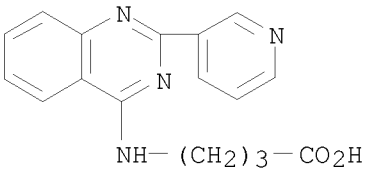
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N,2-di-4-pyridinyl-
MF C18 H13 N5



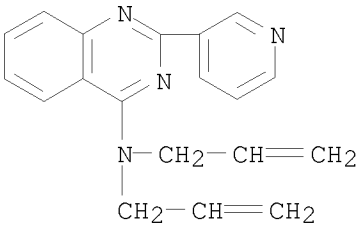
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Butanoic acid, 4-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-
MF C17 H16 N4 O2



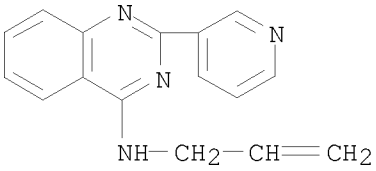
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N,N-di-2-propen-1-yl-2-(3-pyridinyl)-
MF C19 H18 N4



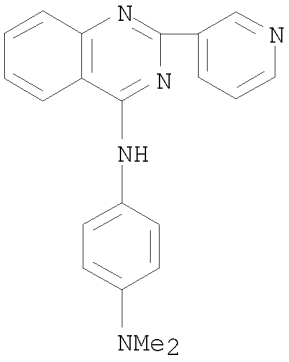
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-2-propen-1-yl-2-(3-pyridinyl)-
MF C16 H14 N4



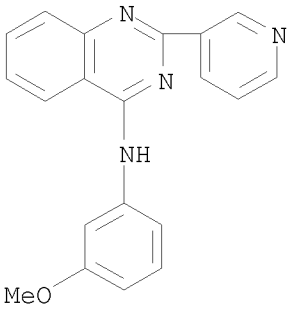
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1,4-Benzenediamine, N1,N1-dimethyl-N4-[2-(3-pyridinyl)-4-quinazolinyl]-
MF C21 H19 N5



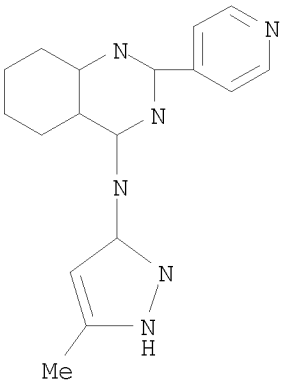
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-(3-methoxyphenyl)-2-(3-pyridinyl)-
MF C20 H16 N4 O



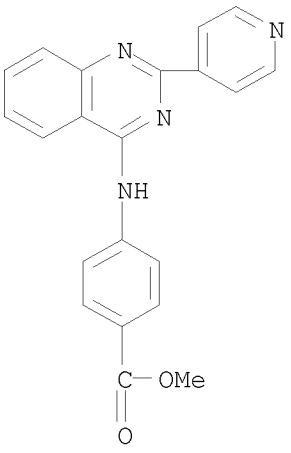
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-
MF C17 H14 N6
CI COM



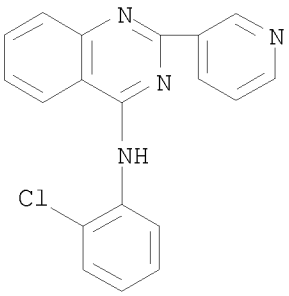
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzoic acid, 4-[[2-(4-pyridinyl)-4-quinazolinyl]amino]-, methyl ester
MF C21 H16 N4 O2



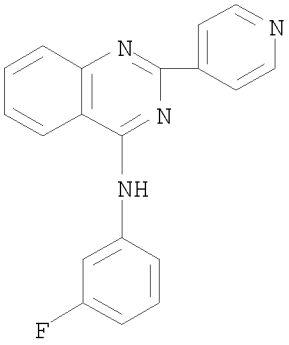
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-(2-chlorophenyl)-2-(3-pyridinyl)-
MF C19 H13 Cl N4



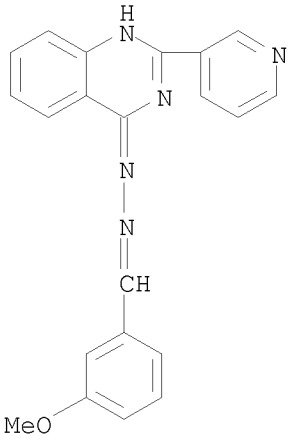
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-(3-fluorophenyl)-2-(4-pyridinyl)-
MF C19 H13 F N4



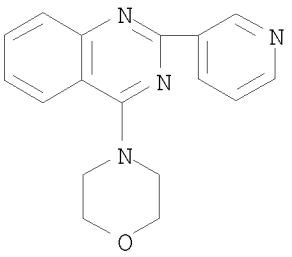
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzaldehyde, 3-methoxy-, 2-[2-(3-pyridinyl)-4-quinazolinyl]hydrazone
MF C21 H17 N5 O



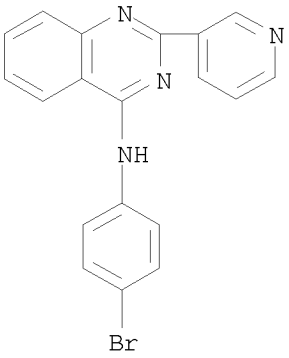
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Quinazoline, 4-(4-morpholinyl)-2-(3-pyridinyl)-
MF C17 H16 N4 O



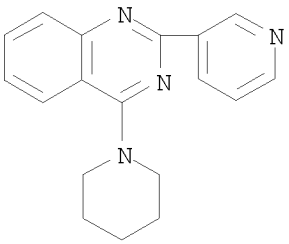
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-(4-bromophenyl)-2-(3-pyridinyl)-
MF C19 H13 Br N4



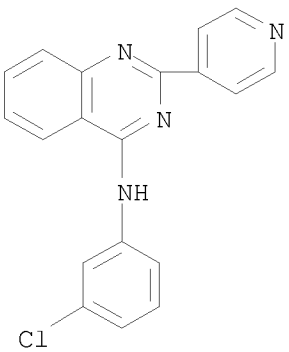
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Quinazoline, 4-(1-piperidinyl)-2-(3-pyridinyl)-
MF C18 H18 N4



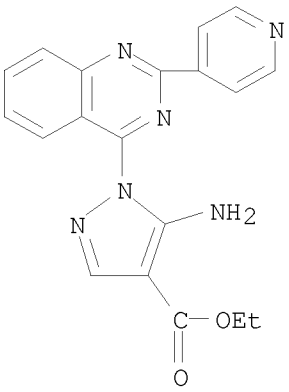
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-(3-chlorophenyl)-2-(4-pyridinyl)-
MF C19 H13 Cl N4



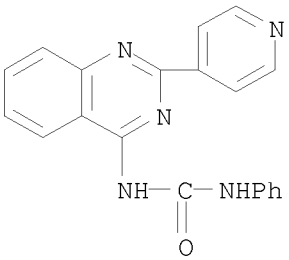
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1H-Pyrazole-4-carboxylic acid, 5-amino-1-[2-(4-pyridinyl)-4-quinazolinyl]-, ethyl ester
MF C19 H16 N6 O2



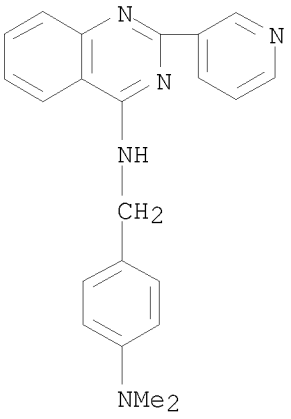
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Urea, N-phenyl-N'-[2-(4-pyridinyl)-4-quinazolinyl]-
MF C20 H15 N5 O



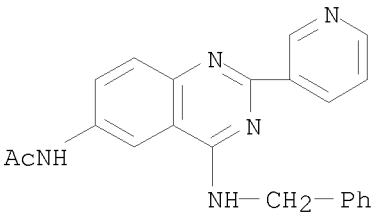
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-[[4-(dimethylamino)phenyl]methyl]-2-(3-pyridinyl)-
MF C22 H21 N5
CI COM



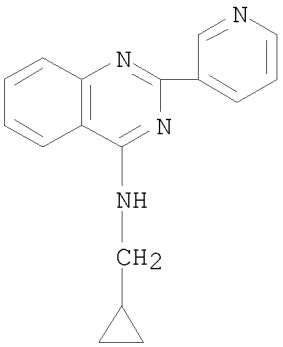
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Acetamide, N-[4-[(phenylmethyl)amino]-2-(3-pyridinyl)-6-quinazolinyl]-
MF C22 H19 N5 O



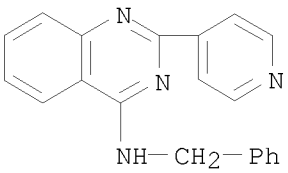
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2)
MF C17 H16 N4 . 2 Cl H



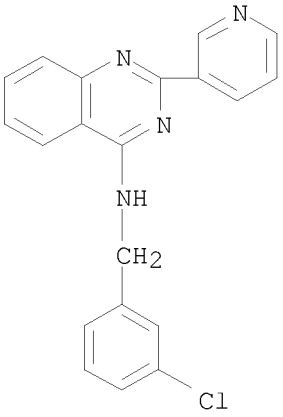
●2 HCl

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)-
MF C20 H16 N4
CI COM



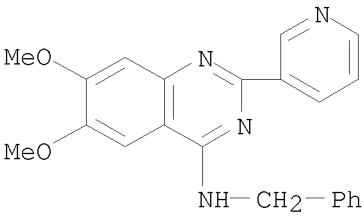
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)-
MF C20 H15 Cl N4
CI COM



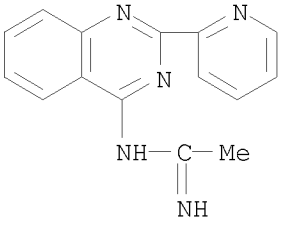
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)-
MF C22 H20 N4 O2
CI COM



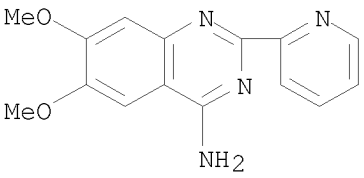
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Ethanimidamide, N-[2-(2-pyridinyl)-4-quinazolinyl]-
MF C15 H13 N5



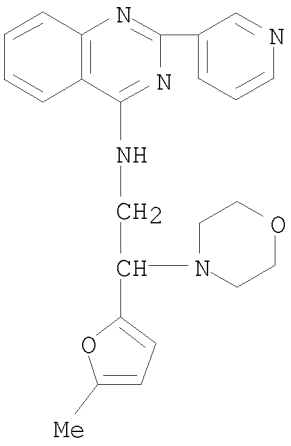
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, 6,7-dimethoxy-2-(2-pyridinyl)-, hydrochloride (1:1)
MF C15 H14 N4 O2 . Cl H



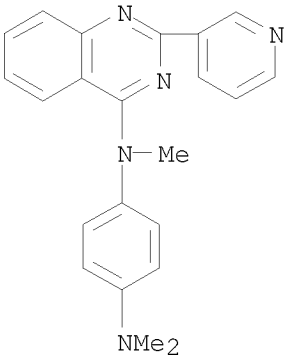
● HCl

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-[2-(5-methyl-2-furanyl)-2-(4-morpholinyl)ethyl]-2-(3-pyridinyl)-
MF C24 H25 N5 O2



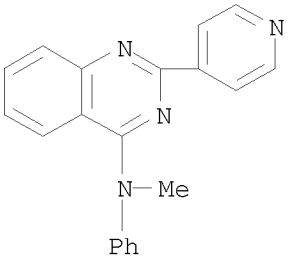
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1,4-Benzenediamine, N1,N1,N4-trimethyl-N4-[2-(3-pyridinyl)-4-quinazolinyl]-
MF C22 H21 N5
CI COM



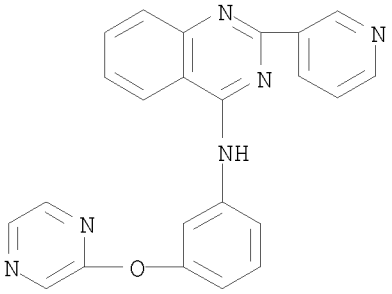
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-methyl-N-phenyl-2-(4-pyridinyl)-, hydrochloride (1:2)
MF C20 H16 N4 . 2 Cl H



●2 HCl

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-[3-(2-pyrazinyloxy)phenyl]-2-(3-pyridinyl)-
MF C23 H16 N6 O



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> fil cap
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	376.08	376.30

FILE 'CAPLUS' ENTERED AT 17:35:50 ON 03 MAR 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 3 Mar 2009 VOL 150 ISS 10
FILE LAST UPDATED: 2 Mar 2009 (20090302/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 17:29:05 ON 03 MAR 2009)

FILE 'REGISTRY' ENTERED AT 17:29:15 ON 03 MAR 2009
L1 STRUCTURE UPLOADED
L2 0 S L1 SSS SAM
L3 0 S L1 SSS FULL
L4 STRUCTURE UPLOADED
L5 38 S L4 SSS SAM
L6 1075 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 17:35:50 ON 03 MAR 2009

=> s l6 and (pry<2003)
64 L6
3973549 PRY<2003
L7 30 L6 AND (PRY<2003)

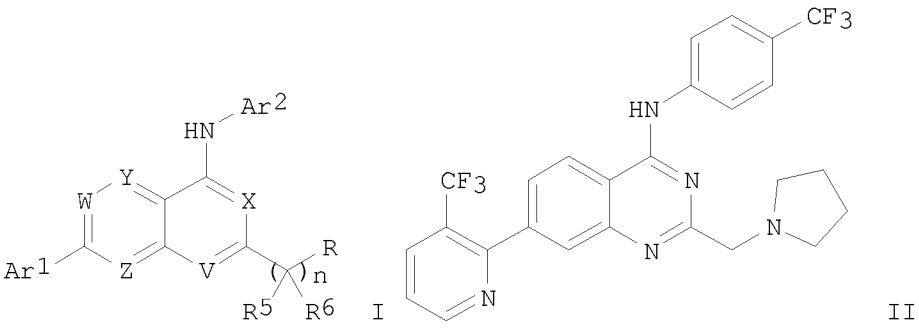
=> d 1-30 ibib abs hitstr

L7 ANSWER 1 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:534191 CAPLUS
DOCUMENT NUMBER: 141:89100
TITLE: Preparation of (quinazolin-4-yl)amines as capsaicin receptor modulators
INVENTOR(S): Bakthavatchalam, Rajagopal; Blum, Charles A.; Brielmann, Harry; Caldwell, Timothy M.; De Lombaert, Stephane; Hodgetts, Kevin J.; Zheng, Xiaozhang
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: PCT Int. Appl., 226 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004055003	A1	20040701	WO 2003-US39606	20031212 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2509233	A1	20040701	CA 2003-2509233	20031212 <--
AU 2003296984	A1	20040709	AU 2003-296984	20031212 <--
US 20040156869	A1	20040812	US 2003-735607	20031212 <--
EP 1569925	A1	20050907	EP 2003-813410	20031212 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003017168	A	20051101	BR 2003-17168	20031212 <--
CN 1726205	A	20060125	CN 2003-80105815	20031212 <--
JP 2006515846	T	20060608	JP 2004-560827	20031212 <--
MX 2005006123	A	20050930	MX 2005-6123	20050608 <--
PRIORITY APPLN. INFO.:			US 2002-433139P P	20021213 <--
			WO 2003-US39606 W	20031212

OTHER SOURCE(S): MARPAT 141:89100
GI

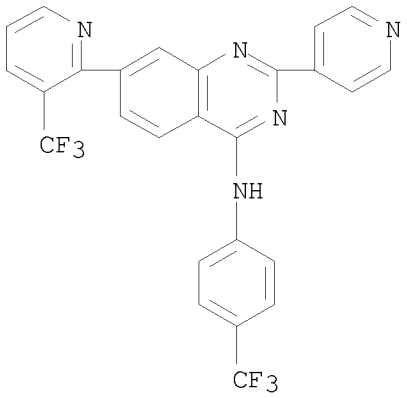


AB Title compds. I [wherein V, W, X, Y, and Z = independently N, CR1, with the proviso that at least one of V and X = N; R = OR7, NR3R4; R1 =

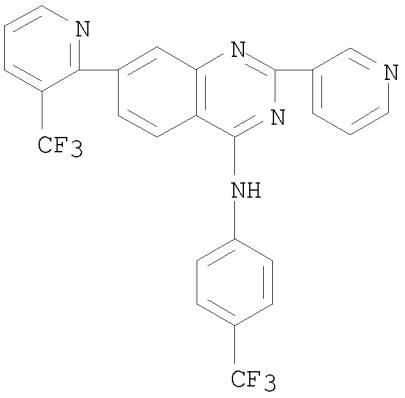
independently H, halo, OH, CN, NH2, (halo)alkyl, (halo)alkoxy, alkoxycarbonyl, (di)alkylamino; R3 and R4 = independently H, (un)substituted (aryl)alkyl, alkenyl, alkynyl, alkanoyl, etc.; or R3 or R4 taken together with R5 or R6 forms an (un)substituted heterocycle; or NR3R4 = heterocyclyl; R5 and R6 = independently H, (un)substituted alkyl; or CR5R6 = CO; R7 = H, (aryl)alkyl, alkenyl, alkynyl, alkanoyl, etc.; or R7 taken together with R5 or R6 forms an (un)substituted heterocycle; n = 1-3; Ar1 and Ar2 = independently (un)substituted aryl, heterocyclyl; and pharmaceutically acceptable forms thereof] were prepared as modulators of capsaicin receptors, especially the vanilloid receptor 1 (VR1). For example, a solution of [2-(chloromethyl)-7-(3-trifluoromethylpyridin-2-yl)quinazolin-4-yl](4-trifluoromethylphenyl)amine•HCl and pyrrolidine was heated to 100° for 1 h to give II. In competition binding assays, invention compds. exhibited Ki ≤ 1 μM for VR1 expressed in human embryonic kidney (HEK293) cells. Thus, I and their pharmaceutical compns. are useful for treating disorders associated with pathol. receptor activation, such as pain, in humans, domesticated companion animals, and livestock animals (no data).

IT 573686-39-2P 573686-40-5P 573686-41-6P
573686-42-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(VR1 inhibitor; preparation of (quinazolin-4-yl)amines as VR1 inhibitors for treatment of pain and other VR1-mediated conditions)

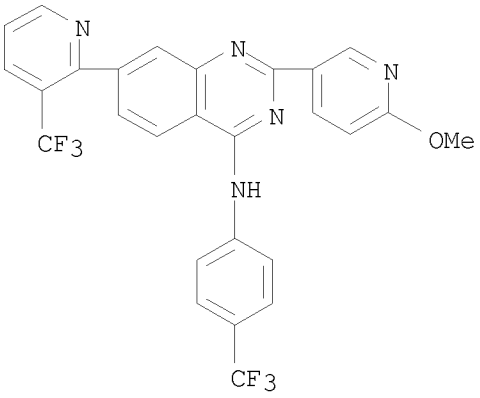
RN 573686-39-2 CAPLUS
CN 4-Quinazolinamine, 2-(4-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



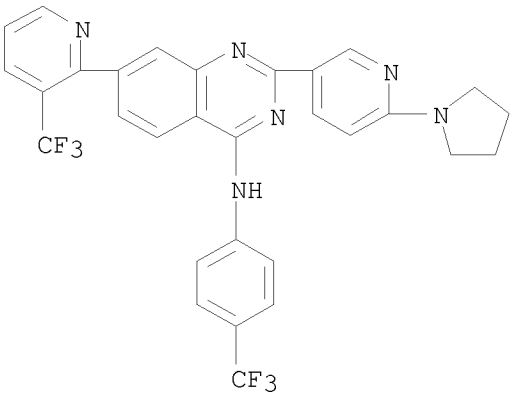
RN 573686-40-5 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



RN 573686-41-6 CAPLUS
CN 4-Quinazolinamine, 2-(6-methoxy-3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



RN 573686-42-7 CAPLUS
CN 4-Quinazolinamine, 2-[6-(1-pyrrolidinyl)-3-pyridinyl]-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)

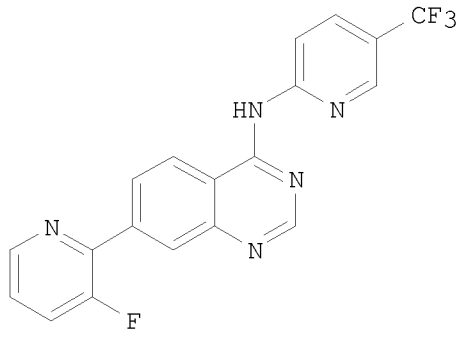


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

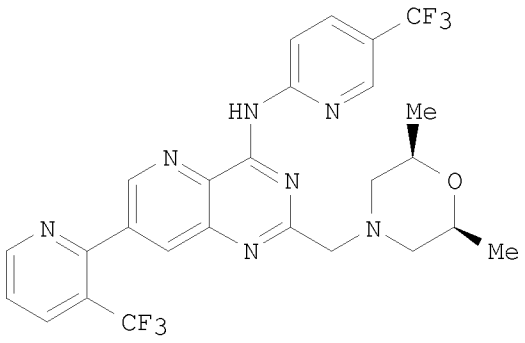
L7 ANSWER 2 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:531361 CAPLUS
DOCUMENT NUMBER: 141:76702
TITLE: Combination therapy comprising a heteroarylamine VR1 antagonist and a narcotic analgesic for the treatment of pain with reduced addictive side effects
INVENTOR(S): Herzberg, Uri; Cortright, Daniel; Hurtt, Mark M.; Krause, James E.
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: PCT Int. Appl., 182 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004054582	A1	20040701	WO 2003-US37209		20031119 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2509616	A1	20040701	CA 2003-2509616		20031119 <--
AU 2003300791	A1	20040709	AU 2003-300791		20031119 <--
US 20040142958	A1	20040722	US 2003-718034		20031119 <--
EP 1581225	A1	20051005	EP 2003-813341		20031119 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2006511535	T	20060406	JP 2004-560329		20031119 <--
PRIORITY APPLN. INFO.:			US 2002-433363P	P	20021213 <--
			WO 2003-US37209	W	20031119

GI



I



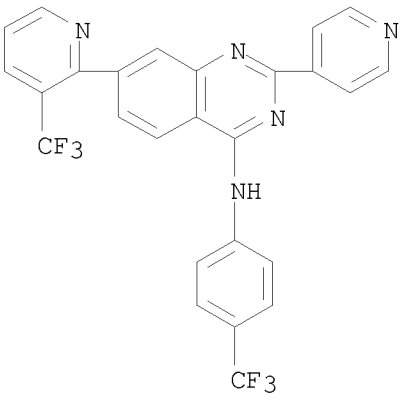
II

AB The invention relates to compns. comprising a nontoxic vanilloid receptor 1 (VR1) antagonist, optionally in combination with an addictive therapeutic agent, for the treatment of pain. Compns. and methods are further provided for inhibiting the development of tolerance to addictive therapeutic agents (especially narcotic analgesics) in patients treated with such agents, for minimizing adverse effects (e.g., dependence) resulting from treatment with such addictive agents, and for enhancing pain relief resulting from narcotic analgesic administration. Patients may be treated with a VR1 antagonist before, during, or after administration of the addictive therapeutic agent to prevent, decrease the severity of, delay, or treat tolerance and/or other adverse effects of the addictive agent in the patient. Examples include synthetic methods and limited data for the preparation of representation heteroarylamine VR1 antagonists, as well as capsaicin receptor binding assays and numerous pain model assays. For instance, coupling of 7-bromo-4-chloroquinazoline with 2-amino-5-trifluoromethylpyridine, followed by addition of 3-fluoro-2-tributylstannylpyridine provided I. In a bioassay testing the inhibition of tolerance to morphine, rats receiving morphine plus II exhibited statistically significantly higher withdrawal thresholds than any other treatment group, indicating that the VR1 antagonist prevents tolerance to repeated morphine dosing.

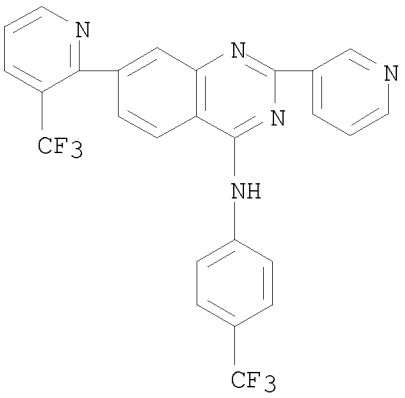
IT 573686-39-2 573686-40-5 573686-41-6
573686-42-7
RL: PRPH (Prophetic)
(Combination therapy comprising a heteroarylamine VR1 antagonist and a narcotic analgesic for the treatment of pain with reduced addictive side effects)

RN 573686-39-2 CAPLUS

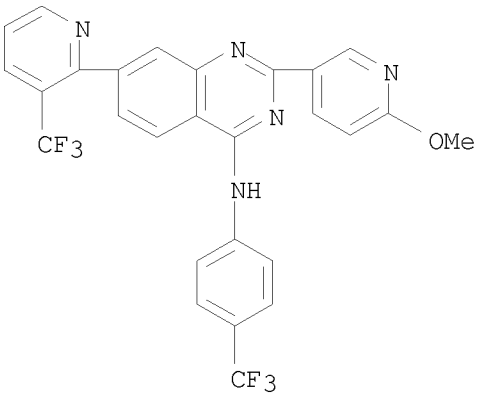
CN 4-Quinazolinamine, 2-(4-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



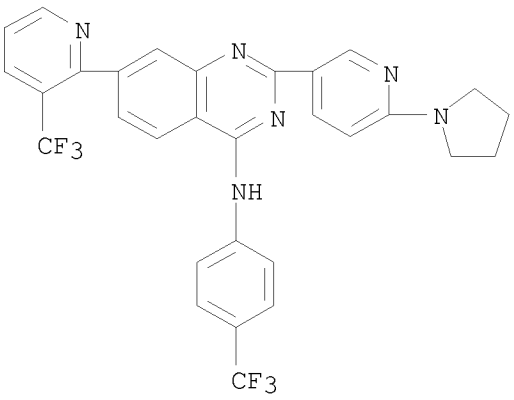
RN 573686-40-5 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



RN 573686-41-6 CAPLUS
CN 4-Quinazolinamine, 2-(6-methoxy-3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



RN 573686-42-7 CAPLUS
CN 4-Quinazolinamine, 2-[6-(1-pyrrolidinyl)-3-pyridinyl]-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:931342 CAPLUS
DOCUMENT NUMBER: 140:791
TITLE: Treatment of fibroproliferative disorders using TGF-β inhibitors
INVENTOR(S): Chakravarty, Sarvajit; Dugar, Sundeep; Higgins, Linda S.; Kapoun, Ann M.; Liu, David Y.; Schreiner, George F.; Protter, Andrew A.; Tran, Thomas-Toan
PATENT ASSIGNEE(S): Scios, Inc., USA
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097615	A1	20031127	WO 2003-US15514	20030516 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003229305	A1	20031202	AU 2003-229305	20030516 <--
US 20040038856	A1	20040226	US 2003-440428	20030516 <--
EP 1511738	A1	20050309	EP 2003-726892	20030516 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.:
US 2002-381720P P 20020517 <--
US 2003-440428 A 20030516
WO 2003-US15514 W 20030516

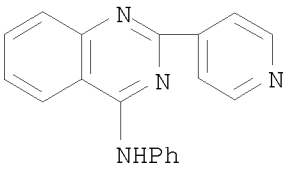
OTHER SOURCE(S): MARPAT 140:791

AB The invention concerns methods of treating fibroproliferative disorders associated with TGF-β signaling, by administering non-peptide small mol. inhibitors of TGF-β specifically binding to the type I TGF-β

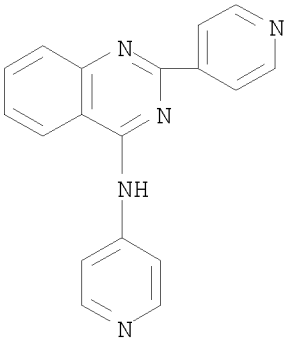
receptor (TGFβ-R1). Preferably, the inhibitors are quinazoline derivs. The invention also concerns methods for reversing the effect of TGF-β mediated cell activation on the expression of a gene associated with fibrosis, comprising contacting a cell or tissue in which the expression of such gene is altered as a result of TGF-β mediated cell activation, with a non-peptide small mol. inhibitor of TGF-β, specifically binding a TGFβ-R1 receptor kinase present in the cell or tissue.

IT 157862-99-2 474289-44-6 627535-99-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of fibroproliferative disorders using TGF-β inhibitors)

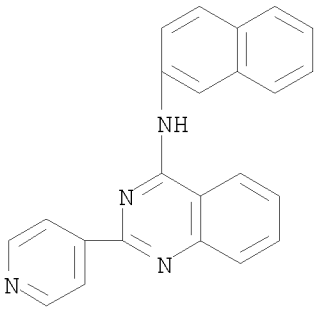
RN 157862-99-2 CAPLUS
CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 474289-44-6 CAPLUS
CN 4-Quinazolinamine, N,2-di-4-pyridinyl- (CA INDEX NAME)



RN 627535-99-3 CAPLUS
CN 4-Quinazolinamine, N-2-naphthalenyl-2-(4-pyridinyl)- (CA INDEX NAME)

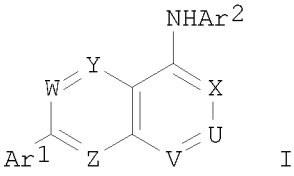


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:591156 CAPLUS
DOCUMENT NUMBER: 139:149640
TITLE: Preparation of substituted quinazolin-4-ylamine analogs as VR1 capsaicin receptor antagonists for relieving pain
INVENTOR(S): Bakthavatchatam, Rajagopal; Blum, Charles A.; Brielmann, Harry L.; Caldwell, Timothy M.; De Lombaert, Stephane
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: PCT Int. Appl., 294 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 2003062209	A2	20030731	WO 2003-US1563		20030117	<--
WO 2003062209	A3	20030904				
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW						
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG						
CA 2473796	A1	20030731	CA 2003-2473796		20030117	<--
BR 2003006982	A	20041026	BR 2003-6982		20030117	<--
EP 1471910	A2	20041103	EP 2003-703887		20030117	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK						
CN 1627944	A	20050615	CN 2003-802452		20030117	<--
HU 2005000200	A2	20050728	HU 2005-200		20030117	<--
JP 2005526714	T	20050908	JP 2003-562090		20030117	<--
US 20040106616	A1	20040603	US 2003-347210		20030121	<--
US 7074799	B2	20060711				
IN 2004DN01958	A	20050401	IN 2004-DN1958		20040708	<--
MX 2004006882	A	20041206	MX 2004-6882		20040715	<--
ZA 2004005641	A	20050715	ZA 2004-5641		20040715	<--
NO 2004003411	A	20040924	NO 2004-3411		20040816	<--
US 20060173003	A1	20060803	US 2006-345926		20060201	<--
US 7304059	B2	20071204				
US 20080015183	A1	20080117	US 2007-864987		20070929	<--
PRIORITY APPLN. INFO.:			US 2002-349920P	P	20020117	<--
			US 2002-350527P	P	20020122	<--
			WO 2003-US1563	W	20030117	
			US 2003-347210	A3	20030121	
			US 2006-345926	A3	20060201	

OTHER SOURCE(S): MARPAT 139:149640
GI



I

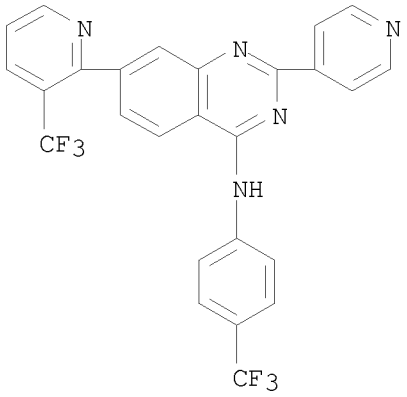
AB Substituted quinazolin-4-ylamine analogs (shown as I; variables defined below; e.g. (4-trifluoromethylphenyl)[7-(2-trifluoromethylphenyl)quinazolin-4-yl]amine) are provided. Such compds. are ligands that may be used to modulate VR1 capsaicin receptor activity in vivo or in vitro (no data), and are particularly useful in the treatment of conditions associated with pathol. receptor activation in humans, domesticated companion animals and livestock animals. Pharmaceutical compns. and methods for using them to treat such disorders are provided, as are methods for using such ligands for receptor localization studies. For I; V, X, W, Y and Z are each independently N or CR1, with the proviso that at least one of V and X is N; U is N or CR2, with the proviso that if V and X are N, then U is CR2; R1 = H, halogen, hydroxy, amino, C1-C8 alkyl, haloC1-C8alkyl, C1-C8alkoxy, haloC1-C8alkoxy and mono- and di(C1-C8alkyl)amino. R2 = (i) H, halogen, cyano, or -COOH; (ii) C1-C8alkanoyl, C2-C8alkanone, or C1-C8carbamate, each of which is (un)substituted with 1-9 substituents = Rb, or (iii) -Rc-M-A-Ry, wherein: Rc is C0-C3alkyl; M is a bond, N(Rz), O, S, SO2, (C:O)pN(Rz), N(Rz)(C:O)p, SO2N(Rz), or N(Rz)SO2, wherein p is 0 or 1; A is a bond or C1-C8alkyl, (un)substituted with 1-3 Rb. Ry and Rz, if present, are: (a) independently H, C1-C8alkyl, C2-C8alkenyl, C2-C8alkynyl, C6-C10arylC1-C8alkyl, C2-C8alkyl ether, C1-C8alkoxy, a 4- to 10-membered carbocycle or heterocycle, or joined to R1 to form a 4- to 10-membered carbocycle or heterocycle, wherein each Ry and Rz = (un)substituted with 1-9 Rb; or (b) joined to form a 4- to 10-membered carbocycle or heterocycle that is (un)substituted with 1-9 Rb; Ar2 is a 5- to 7-membered aromatic heterocycle, (un)substituted with 1-3 LRa. Ar1 is a 5- to 10-membered aromatic carbocycle or heterocycle, (un)substituted with 1-3 LRa; L = bond, -O-, -C(O)-, -OC(O)-, -C(O)O-, -O-C(O)O-, -S(O)m-, -NRx-, -C(O)NHRx-, -NHRxC(O)-, -NRxS(O)m-, -S(O)mNRx- and -N[S(O)mRx]S(O)m-; wherein m = 0, 1 and 2; and Rx = H and C1-C8alkyl; Ra = (i) H, halogen, cyano and nitro; and (ii) C1-C8alkyl, C2-C8alkenyl, C2-C8alkynyl, C2-C8alkyl ether, 3- to 10-membered heterocycles, mono- and di(C1-C8alkyl)amino and (3- to 10-membered heterocycle)C1-C6 alkyl, each of which is (un)substituted with 1-9 Rb. Rb = hydroxy, halogen, amino, aminocarbonyl, amido, cyano, nitro, C1-C8alkyl, C1-C8alkoxy, C1-C8alkylthio, C1-C8alkyl ether, hydroxyC1-C8alkyl, haloC1-C8alkyl, Ph, phenyl(C1-C8alkyl), mono and di(C1-C6 alkyl)amino, (SO2)C1-C8alkyl, 5- to 7-membered heterocycle and (5- to 7-membered heterocycle)(C1-C8alkyl). Although the methods of preparation are not claimed, many example prepns. and characterization data for >500 examples of I are included.

IT 573686-39-2P, [2-Pyridin-4-yl-7-(3-trifluoromethylpyridin-2-yl)quinazolin-4-yl](4-trifluoromethylphenyl)amine 573686-40-5P, [2-Pyridin-3-yl-7-(3-trifluoromethylpyridin-2-yl)quinazolin-4-yl](4-trifluoromethylphenyl)amine 573686-41-6P, [2-(6-Methoxypyridin-3-yl)-7-(3-trifluoromethylpyridin-2-yl)quinazolin-4-yl](4-trifluoromethylphenyl)amine 573686-42-7P, [2-[6-(Pyrrolidin-1-yl)pyridin-3-yl]-7-(3-trifluoromethylpyridin-2-yl)quinazolin-4-yl](4-trifluoromethylphenyl)amine
RL: ARG (Analytical reagent use); BUU (Biological use, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate and receptor detector; preparation of substituted quinazolin-4-ylamine analogs as VR1 capsaicin receptor antagonists for relieving pain and for detecting receptors)

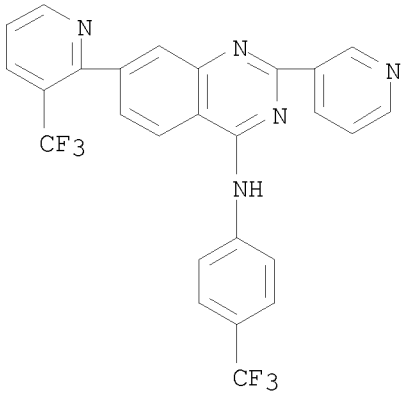
RN 573686-39-2 CAPLUS

CN 4-Quinazolinamine, 2-(4-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



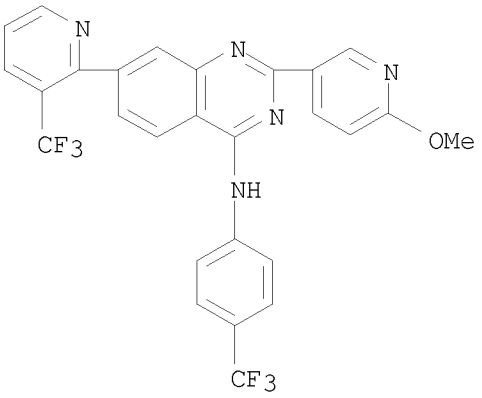
RN 573686-40-5 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)

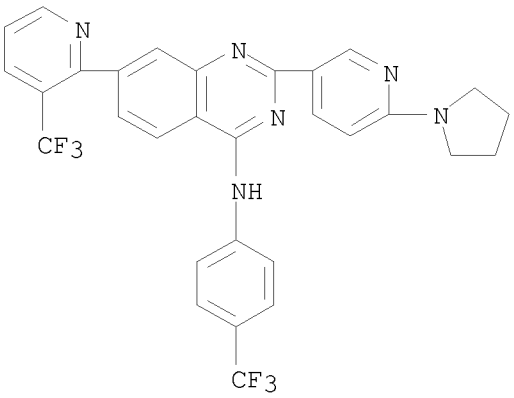


RN 573686-41-6 CAPLUS

CN 4-Quinazolinamine, 2-(6-methoxy-3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



RN 573686-42-7 CAPLUS
CN 4-Quinazolinamine, 2-[6-(1-pyrrolidinyl)-3-pyridinyl]-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:472388 CAPLUS
DOCUMENT NUMBER: 139:53030
TITLE: Pyrimidine-based and quinazoline-based compounds useful as GSK-3 inhibitors
INVENTOR(S): Choquette, Deborah; Davies, Robert J.; Wannamaker, Marion W.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

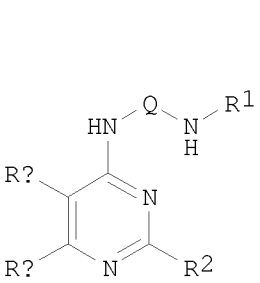
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003049739	A1	20030619	WO 2002-US39190	20021209 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

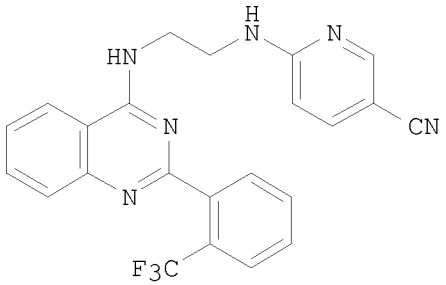
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2469316	A1	20030619	CA 2002-2469316	20021209 <--
AU 2002364536	A1	20030623	AU 2002-364536	20021209 <--
AU 2002364536	B2	20081023		
US 20030199526	A1	20031023	US 2002-314905	20021209 <--
EP 1474147	A1	20041110	EP 2002-799913	20021209 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005516005	T	20050602	JP 2003-550788	20021209 <--
MX 2004005510	A	20060224	MX 2004-5510	20040607 <--
ZA 2004005380	A	20050617	ZA 2004-5380	20040706 <--
PRIORITY APPLN. INFO.:			US 2001-338857P P	20011207 <--
			WO 2002-US39190 W	20021209 <--

OTHER SOURCE(S): MARPAT 139:53030
GI



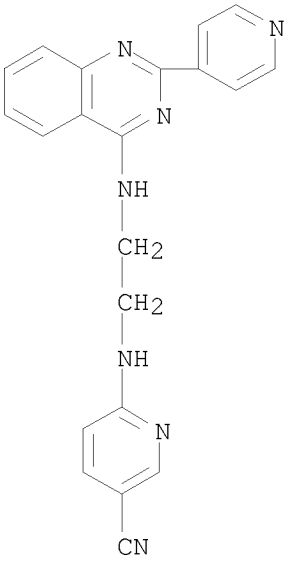
I



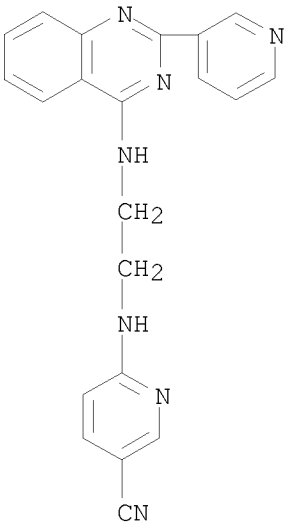
II

AB The invention provides a compound of formula I or a pharmaceutically acceptable derivative thereof [wherein: R1 = (un)substituted 5- to 6-membered monocyclic or 8- to 10-membered bicyclic (hetero)aryl with 0-4 N/O/S atom(s); Q = (un)substituted C1-4 alkylene chain with 0-2 non-adjacent CH2 optionally replaced by SO2 or CO; R2 = certain (un)substituted Ph, thienyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ra, Rb = -T-R3; or RaRb = atoms to complete fused, partially saturated or aromatic, 5- to 8-membered ring with 0-3 N/O/S atom(s) and optionally substituted by oxo, -T-R3, etc.; T = bond or C1-4 alkylene chain; R3 = H, halo, OH or derivs., NH2 or derivs., CN, SH or derivs., CHO or derivs., CO2H or derivs., etc.; including pharmaceutically acceptable derivs. and prodrugs]. The compds. are inhibitors of protein kinases, particularly GSK-3 (glycogen synthase kinase 3) mammalian protein kinases. The invention also provides pharmaceutically acceptable compns. comprising the compds. of the invention, and methods of utilizing the compds. and compns. in the treatment of various protein kinase-mediated disorders, such as diabetes, cancer, stroke, and Alzheimer's disease. A table of over 200 compds. I is given in claims. Prepns. of 37 compds. are described in detail. For instance, 4-chloro-2-(2-trifluoromethylphenyl)quinazoline was thermally condensed with 6-(2-aminoethylamino)nicotinonitrile (neat, approx. 140°) to give 49% title compound II. In a test for inhibition of GSK-3β in vitro, 17 compds. I, including II, had Ki < 0.1 μM, and 16 compds. had Ki of 0.1 to 1.0 μM.

IT 544676-80-4P 544676-92-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrimidine-based compds. useful as GSK-3 inhibitors)
RN 544676-80-4 CAPLUS
CN 3-Pyridinecarbonitrile, 6-[[2-[[2-(4-pyridinyl)-4-quinazolinyl]amino]ethyl]amino]- (CA INDEX NAME)



RN 544676-92-8 CAPLUS
CN 3-Pyridinecarbonitrile, 6-[[2-[[2-(3-pyridinyl)-4-quinazolinyl]amino]ethyl]amino]- (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

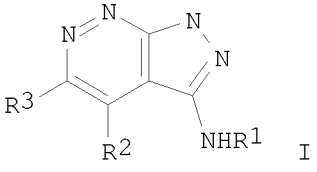
L7 ANSWER 6 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:849586 CAPLUS
DOCUMENT NUMBER: 137:370099
TITLE: Preparation of 3-aminopyrazolo[3,4-c]pyridazines as inhibitors of glycogen synthase kinase-3 and crystal structures of gsk-3β protein and protein complexes
INVENTOR(S): Ter Haar, Ernst; Swenson, Lovorka; Green, Jeremy; Arnost, Michael J.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 778 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088078	A2	20021107	WO 2002-US13511	20020429 <--
WO 2002088078	A3	20040506		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2444882	A1	20021107	CA 2002-2444882	20020429 <--
AU 2002259071	A1	20021111	AU 2002-259071	20020429 <--
US 20030125332	A1	20030703	US 2002-135255	20020429 <--
US 7390808	B2	20080624		
EP 1435957	A2	20040714	EP 2002-729056	20020429 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2005504731	T	20050217	JP 2002-585380	20020429 <--
MX 2003009957	A	20050725	MX 2003-9957	20031030 <--
US 20080262205	A1	20081023	US 2008-79917	20080328 <--

PRIORITY APPLN. INFO.:			
US 2001-287366P	P	20010430	<--
US 2001-297094P	P	20010608	<--
US 2002-361899P	P	20020227	<--
US 2002-135255	A3	20020429	<--
WO 2002-US13511	W	20020429	<--

OTHER SOURCE(S): MARPAT 137:370099
GI



I

AB Title compds. [I; R1 = H, RCO, RO2C, (substituted) aliphatyl, carbocyclyl, heterocyclyl, heteroaryl, etc.; R2, R3 = H, (substituted) aliphatyl, carbocyclyl, heterocyclyl, aryl, aralkyl, heteroaryl, heteroaralkyl, NR2, NRCOR, SR, OR, CF3, halo, NO2, cyano, etc.; R = H, (substituted)

aliphatyl, carbocyclyl, heterocyclyl, aryl, aralkyl, heteroaryl, heteroaralkyl], were prepared Thus, 3-chloro-4-cyano-5,6-diphenylpyridazine was refluxed with N2H4 in EtOH to give 3-amino-4,5-diphenyl-1H-pyrazolo[3,4-c]pyridazine. The latter inhibited gsk-3 with Ki≤0.1 μM.

IT 474381-74-3P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(crystal structure determination; preparation of pyrazolopyridazines as inhibitors
of gsk-3 and crystal structures of gsk-3β protein and protein complexes)

RN 474381-74-3 CAPLUS

CN Kinase (phosphorylating), glycogen synthetase (human isoenzyme 3β), compd. with N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-4-quinazolinamine (1:1) (9CI) (CA INDEX NAME)

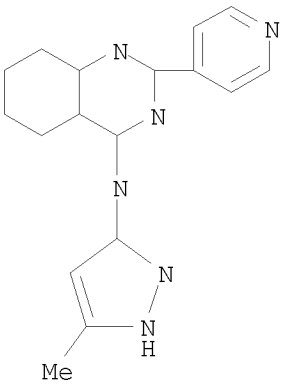
CM 1

CRN 474231-10-2
CMF Unspecified
CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 404828-10-0
CMF C17 H14 N6



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

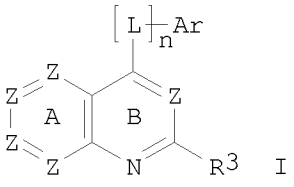
L7 ANSWER 7 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:845560 CAPLUS
DOCUMENT NUMBER: 137:353051
TITLE: Preparation of quinazolines as TGF-β and/or p38-α kinase inhibitors
INVENTOR(S): Chakravarty, Sarvajit; Dugar, Sundeep; Perumattam, John J.; Schreiner, George F.; Liu, David Y.; Lewicki, John A.
PATENT ASSIGNEE(S): Scios, Inc., USA
SOURCE: U.S., 37 pp., Cont.-in-part of U.S. 6,184,226.
CODEN: USXXAM
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

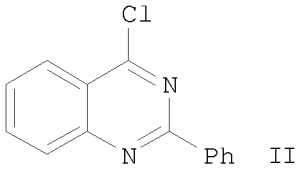
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 6476031	B1	20021105	US 1999-383825	19990827	<--
US 6184226	B1	20010206	US 1998-141916	19980828	
CN 1152867	C	20040609	CN 1999-811659	19990827	<--
AT 342256	T	20061115	AT 1999-949568	19990827	<--
ES 2274642	T3	20070516	ES 1999-949568	19990827	<--
US 6277989	B1	20010821	US 2000-525034	20000314	<--
US 20030069248	A1	20030410	US 2001-969936	20011002	<--
US 20020161010	A1	20021031	US 2001-972582	20011005	<--
US 6903096	B2	20050607			
US 20050171123	A1	20050804	US 2005-53121	20050207	<--
US 7345045	B2	20080318			
US 20050220784	A1	20051006	US 2005-136242	20050523	<--

PRIORITY APPLN. INFO.:
US 1998-141916 A2 19980828 <--
US 1999-383825 A3 19990827 <--
US 2001-969936 B1 20011002 <--
US 2001-972582 A3 20011005 <--

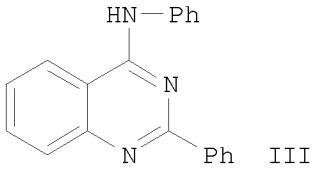
OTHER SOURCE(S): MARPAT 137:353051
GI



I



II



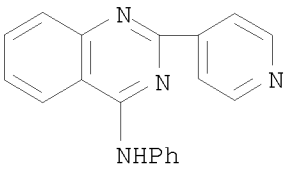
III

AB Title compds. I [R3 = (un)substituted aromatic; Ar = (un)substituted monocyclic or polycyclic aromatic; L = S(CR22)m, NR1SO2(CR22)l, SO2(CR22)m, etc.; Z = CR2, N with the provisos that no more than two Z positions in ring A are N and wherein two adjacent Z positions in ring A cannot be N; R2 = H, alkyl, alkenyl, etc.; l = 0-3; m = 0-4; n = 1] and their pharmaceutically acceptable salts were prepared For example, condensation of chloroquinazoline II and 4-aminopyridine afforded claimed quinazoline III. In p38-α kinase inhibition studies, 9-examples of compds. I exhibited IC50 values in the range of 0.1-1.5 μM. Also, the specificity of compds. I for p38-α was assessed by their ability to inhibit other kinases, e.g., p38-y JNK1, PKA, PKC, PK(PKD), cck2 and EGF-R, with IC50 values ranging from 4.2 - >500 μM. Compds. I are useful anti-inflammatory agents and in the treatment of fibroproliferative diseases.

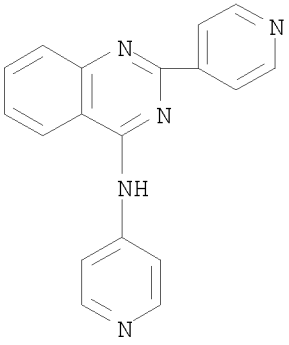
IT 157862-99-2P 474289-44-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of quinazolines as TGF-β and/or p38-α kinase inhibitors)

RN 157862-99-2 CAPLUS
CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 474289-44-6 CAPLUS
CN 4-Quinazolinamine, N,2-di-4-pyridinyl- (CA INDEX NAME)



REFERENCE COUNT: 80 THERE ARE 80 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:754381 CAPLUS
DOCUMENT NUMBER: 137:279208
TITLE: Preparation of (indazol-5-ylamino)quinazolines as Rho-kinase inhibitors
INVENTOR(S): Nagarathnam, Dhanapalan; Asgari, Davoud; Shao, Jianxing; Liu, Xiao-Gao; Khire, Uday; Wang, Chunguang; Hart, Barry; Boyer, Stephen; Weber, Olaf; Lynch, Mark; Bankston, Donald
PATENT ASSIGNEE(S): Bayer Corporation, USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002076976	A2	20021003	WO 2002-US8659	20020322 <--
WO 2002076976	A3	20021212		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

```
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
            CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2441492           A1    20021003    CA 2002-2441492        20020322 <--
     AU 2002250394        A1    20021008    AU 2002-250394         20020322 <--
     US 20030125344       A1    20030703    US 2002-103566         20020322 <--
     EP 1370553           A2    20031217    EP 2002-719303         20020322 <--
     EP 1370553           B1    20060510
        R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     JP 2004524350        T     20040812    JP 2002-576234         20020322 <--
     AT 325795            T     20060615    AT 2002-719303         20020322 <--
     TW 261055            B     20060901    TW 2002-91105591       20020322 <--
     PT 1370553           T     20060929    PT 2002-719303         20020322 <--
     ES 2264477           T3    20070101    ES 2002-719303         20020322 <--
     US 20030220357       A1    20031127    US 2002-252369         20020924 <--
     CA 2507381           A1    20040408    CA 2003-2507381        20030924 <--
     WO 2004029045        A2    20040408    WO 2003-US29538        20030924 <--
     WO 2004029045        A3    20040722
        W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
            PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
            TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
            KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
            FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2003270785        A1    20040419    AU 2003-270785         20030924 <--
     MX 2003008658        A     20050411    MX 2003-8658           20030924 <--
     EP 1542992           A2    20050622    EP 2003-752497         20030924 <--
        R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     JP 2006508068        T     20060309    JP 2004-540124         20030924 <--
     EP 1953152           A1    20080806    EP 2008-103780         20030924 <--
        R:  AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
            IT, LI, LU, MC, NL, PT, RO, SE, SI, SK, TR, AL, LT, LV, MK
     HK 1061030           A1    20060908    HK 2004-104115         20040609 <--
     MX 2005003273        A     20051018    MX 2005-3273           20050323 <--
     US 20060142313       A1    20060629    US 2006-354977         20060216 <--
     US 20060142314       A1    20060629    US 2006-354978         20060216 <--
PRIORITY APPLN. INFO.:                       US 2001-277974P    P  20010323 <--
                                             US 2001-315341P    P  20010829 <--
                                             US 2001-315338P    P  20010829 <--
                                             US 2002-103565     B1 20020322 <--
                                             US 2002-103566     B1 20020322 <--
                                             WO 2002-US8659     W  20020322 <--
                                             US 2002-252369     A  20020924 <--
                                             EP 2003-752497     A3 20030924
                                             WO 2003-US29538    W  20030924
OTHER SOURCE(S):         CASREACT 137:279208; MARPAT 137:279208
GI
```

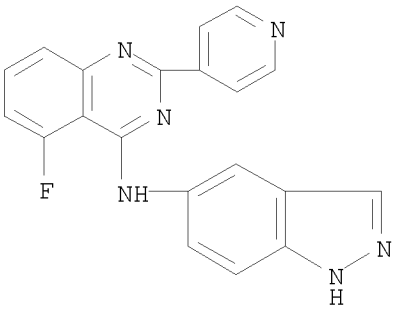


```
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

AB   Title compds. I [Y = N, CR17; X = alkyl, alkoxy, thioalkoxy, amido, etc.;
     p = 0-3; a, c = CR5, NR6, etc.; b = CR5, N; A = H, halo, carboxy, cyano,
     alkoxy, etc.; B = (un)substituted up to 3 times in any position by R5;
```

R1,6 = H, alkyl; R2-5 = H, alkyl, alkenyl; R17 = H, alkyl, CN with provisions] were prepared For instance, 2,4-Dichloroquinazoline (preparation given) was reacted with 5-aminoindazole (THF/H2O, KOAc) to give 2-(N-(1H-indazol-5-yl)amino)-4-chloroquinazoline in 92% yield. This was coupled to 2,4-dichlorophenylboronic acid (ethylene glycol di-Me ether, Pd(dppf)Cl2, NaHCO3, reflux) to give II. I are rho-kinase inhibitors and are useful for inhibiting tumor growth, treating erectile dysfunction and coronary heart disease.

IT 461037-54-7P, 5-Fluoro-N-(1H-indazol-5-yl)-2-(4-pyridinyl)-4-quinazolinamine 461037-55-8P 461037-80-9P, N-(1H-Indazol-5-yl)-7-methyl-2-(3-pyridinyl)-4-quinazolinamine 461037-81-0P 461037-82-1P, N-(1H-Indazol-5-yl)-7-methyl-2-(4-pyridinyl)-4-quinazolinamine 461037-83-2P 461038-03-9P, 7-Chloro-N-(1H-indazol-5-yl)-2-(3-pyridinyl)-4-quinazolinamine 461038-04-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(rho-kinase inhibitor; preparation of (indazol-5-ylamino)quinazolines as Rho-kinase inhibitors)

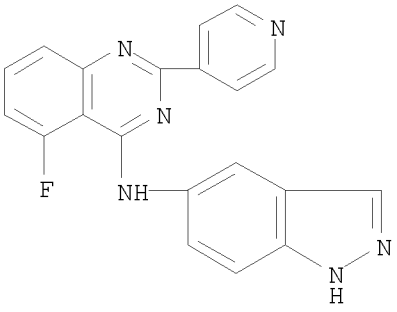
RN 461037-54-7 CAPLUS
CN 4-Quinazolinamine, 5-fluoro-N-1H-indazol-5-yl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 461037-55-8 CAPLUS
CN 4-Quinazolinamine, 5-fluoro-N-1H-indazol-5-yl-2-(4-pyridinyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

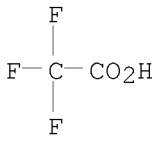
CM 1

CRN 461037-54-7
CMF C20 H13 F N6

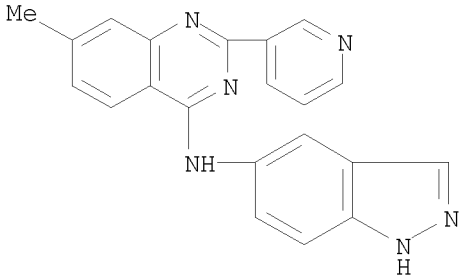


CM 2

CRN 76-05-1
CMF C2 H F3 O2



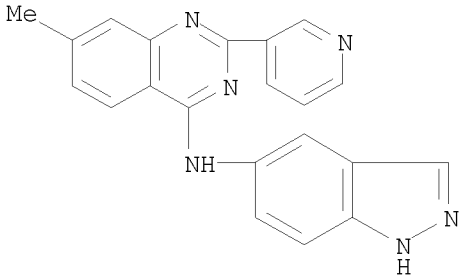
RN 461037-80-9 CAPLUS
CN 4-Quinazolinamine, N-1H-indazol-5-yl-7-methyl-2-(3-pyridinyl)- (CA INDEX NAME)



RN 461037-81-0 CAPLUS
CN 4-Quinazolinamine, N-1H-indazol-5-yl-7-methyl-2-(3-pyridinyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

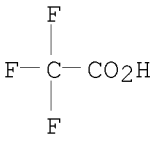
CM 1

CRN 461037-80-9
CMF C21 H16 N6

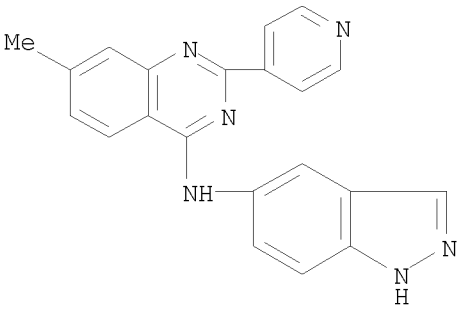


CM 2

CRN 76-05-1
CMF C2 H F3 O2



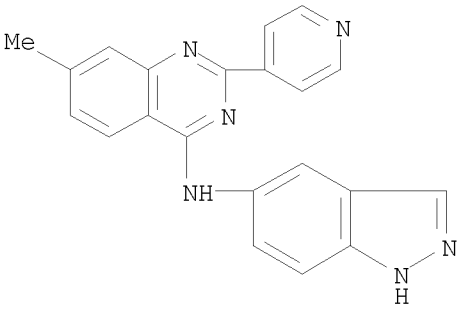
RN 461037-82-1 CAPLUS
CN 4-Quinazolinamine, N-1H-indazol-5-yl-7-methyl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 461037-83-2 CAPLUS
CN 4-Quinazolinamine, N-1H-indazol-5-yl-7-methyl-2-(4-pyridinyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

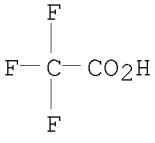
CM 1

CRN 461037-82-1
CMF C21 H16 N6

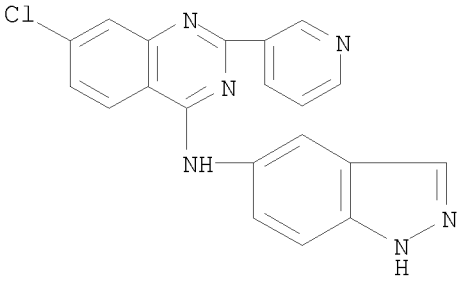


CM 2

CRN 76-05-1
CMF C2 H F3 O2



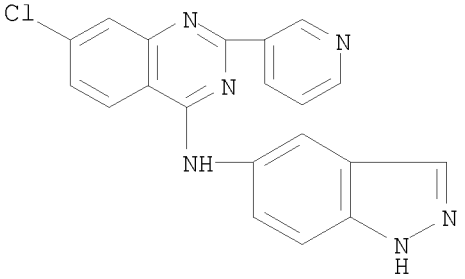
RN 461038-03-9 CAPLUS
CN 4-Quinazolinamine, 7-chloro-N-1H-indazol-5-yl-2-(3-pyridinyl)- (CA INDEX NAME)



RN 461038-04-0 CAPLUS
CN 4-Quinazolinamine, 7-chloro-N-1H-indazol-5-yl-2-(3-pyridinyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

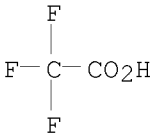
CM 1

CRN 461038-03-9
CMF C20 H13 Cl N6



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:615578 CAPLUS
DOCUMENT NUMBER: 137:154942
TITLE: Preparation of novel quinazoline derivatives for preventing or treating inflammatory diseases caused by

bacterial DNA

INVENTOR(S): Kisanuki, Sumitsugu; Tomizawa, Hideyuki; Isobe, Yoshiaki
PATENT ASSIGNEE(S): Japan Energy Corp., Japan

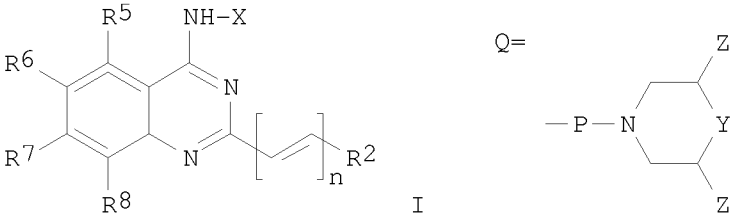
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002062767	A1	20020815	WO 2002-JP1045	20020207 <--
W: AU, CA, JP, NZ, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
AU 2002230181	A1	20020819	AU 2002-230181	20020207 <--

PRIORITY APPLN. INFO.: JP 2001-30973 A 20010207 <--
WO 2002-JP1045 W 20020207 <--

OTHER SOURCE(S): MARPAT 137:154942

GI



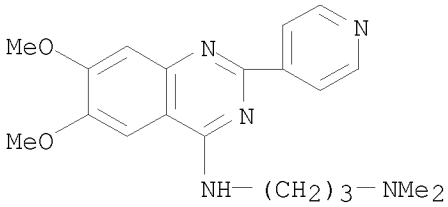
I

AB Disclosed are medicinal compns. for preventing or treating inflammatory diseases caused by bacterial DNA which contain as the active ingredient quinazoline derivs. represented by the following general formula (I) or pharmacol. acceptable salts thereof [wherein R5, R6, R7, R8 = H, substituents selected from a group of substituents A; or two adjacent groups of R5-R8 together represent methylenedioxy or CH:CHCH:CH; wherein substituents A = C1-4 alkyl, halo, OH, C1-4 alkoxy, C1-4 acyloxy, NR13R14 (R13, R14 = H, C1-4 alkyl), NHCOR15 (R15 = H, C1-4 alkyl), Ph, PhO, cyano, C1-4 acyl, CO2H, C2-5 alkoxycarbonyl, CONH2, N-(C1-4 alkyl)carbamoyl, N,N-di(C1-4 alkyl)carbamoyl; R2 = (un)substituted aryl or heteroaryl; n = 0, 1; X = a group of the following general formula -P-NR9R10 or Q; wherein P = (un)branched C2-6 alkylene; R9, R10 = H, C1-4 alkyl, C2-4 hydroxyalkyl, C3-6 alkoxyalkyl; Y = CHR11, O, S, NR12 (wherein R11 = H, C1-4 alkyl, OH, hydroxymethyl, methoxycarbonyl, ethoxycarbonyl; R12 = H, C1-4 alkyl, aryl optionally substituted by substituents A); Z = H or OH when Y = CHR11; Z = H when Y = O, S, or NR12]. Also disclosed are medicinal compns. containing I for preventing or treating autoimmune diseases or diseases caused by excessive production of TNF-α or IL-6. These compds. I inhibit the unusual production of TNF-α or IL-6 of macrophage or monocyte activated by bacterial DNA and are useful for treating or preventing diseases caused by unusual increase in cytokines, e.g. chronic articular rheumatism, systemic lupus erythematosus (SLE), septicemia, inflammatory bowel diseases, osteoarthritis, multiple sclerosis, Behcet's disease, rejection of bone marrow transplant, hepatitis, type II diabetes, atrial myxoma, alc. hepatic cirrhosis, myeloma, and mesangium-proliferative nephritis. Thus, mesylation of 4-(4-hydroxybutylamino)-6,7-dimethoxy-2-(2-naphthyl)quinazoline by

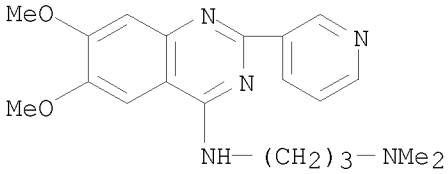
methanesulfonyl chloride and Et3N in CH2Cl2 under ice-cooling for 1 h and at room temperature for 4 h followed by amination with N-(2-methoxyethyl)ethylamine at room temperature at room temperature for 2 days gave 6,7-dimethoxy-4-(4-(ethyl-(2-methoxyethyl)amino)butylamino)-2-(2-naphthyl)quinazoline (II). II in vitro inhibited the production of TNF-α in mouse spleen cells with IC50 of 10 nM and that of IL-6 with IC50 of 32 nM.

IT 445401-96-7P 445402-20-0P 445402-21-1P
445402-23-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel quinazoline derivs. for preventing or treating inflammatory diseases caused by bacterial DNA)

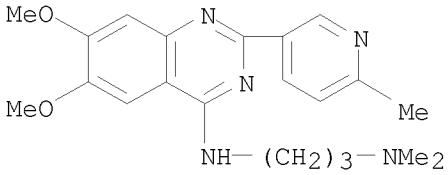
RN 445401-96-7 CAPLUS
CN 1,3-Propanediamine, N3-[6,7-dimethoxy-2-(4-pyridinyl)-4-quinazolinyl]-N1,N1-dimethyl- (CA INDEX NAME)



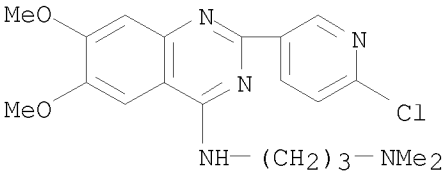
RN 445402-20-0 CAPLUS
CN 1,3-Propanediamine, N3-[6,7-dimethoxy-2-(3-pyridinyl)-4-quinazolinyl]-N1,N1-dimethyl- (CA INDEX NAME)



RN 445402-21-1 CAPLUS
CN 1,3-Propanediamine, N3-[6,7-dimethoxy-2-(6-methyl-3-pyridinyl)-4-quinazolinyl]-N1,N1-dimethyl- (CA INDEX NAME)



RN 445402-23-3 CAPLUS
CN 1,3-Propanediamine, N3-[2-(6-chloro-3-pyridinyl)-6,7-dimethoxy-4-quinazolinyl]-N1,N1-dimethyl- (CA INDEX NAME)

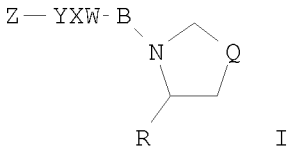


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

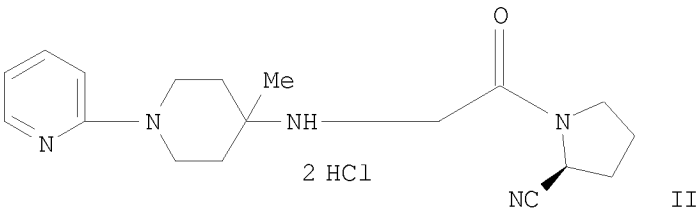
L7 ANSWER 10 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:504782 CAPLUS
DOCUMENT NUMBER: 137:78968
TITLE: Preparation of aminocarbonylpyrrolidine derivatives as dipeptidyl peptidase IV inhibitors
INVENTOR(S): Matsuno, Kenji; Ueno, Kimihisa; Iwata, Yasuhiro; Matsumoto, Yuichi; Nakanishi, Satoshi; Takasaki, Kotaro; Kusaka, Hideaki; Nomoto, Yuji; Ogawa, Akira
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 196 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002051836	A1	20020704	WO 2001-JP11578		20011227 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2433090	A1	20020704	CA 2001-2433090		20011227 <--
AU 2002216425	A1	20020708	AU 2002-216425		20011227 <--
EP 1354882	A1	20031022	EP 2001-271892		20011227 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
US 20040180925	A1	20040916	US 2003-465919		20031110 <--
PRIORITY APPLN. INFO.:			JP 2000-398441	A	20001227 <--
			JP 2001-261409	A	20010830 <--
			WO 2001-JP11578	W	20011227 <--

OTHER SOURCE(S): MARPAT 137:78968
GI



I



II

AB Title compds. [I; Q = CH2, S; R = H, (S)-CN; B = CH2CO, COCH2, CO; YXW = NHCH2CH2NH, NH(CH2)3NH, NHCH2C(CH3)2NH, 1-(4-methyl-piperidine-4-amino)-yl, 1-(1-aminomethylcyclopropyl)amino, 4-NHCH2C6H4CH2NH, N(CH3)CH2CH2N(CH3), 1,4-piperazinyl, 1-piperidinyl-4-amino, N(CH3)CH2C(CH3)2NH; Z = optionally substituted 1-pyrrolidinyl, optionally substituted 3-thiazolidinyl, optionally substituted 1-oxo-3-thiozolidinyl, etc.] and pharmacol. acceptable salts of title compds. are prepared as dipeptidyl peptidase IV inhibitors. Title compds. are useful as antidiabetics, antiaids agents, antiarteriosclerosis, antihyperglycinemia agents, and as remedies for hyperglycinemia, hyperinsulinism, etc. in combination with related remedies as GI-262570, KAD1229, etc. Thus, the title compound II was prepared and in vivo tested for DPP-IV inhibition with IC50 = 11 nmol/L.

IT 440099-77-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminocarbonylpyrrolidine derivs. as dipeptidyl peptidase IV inhibitors)

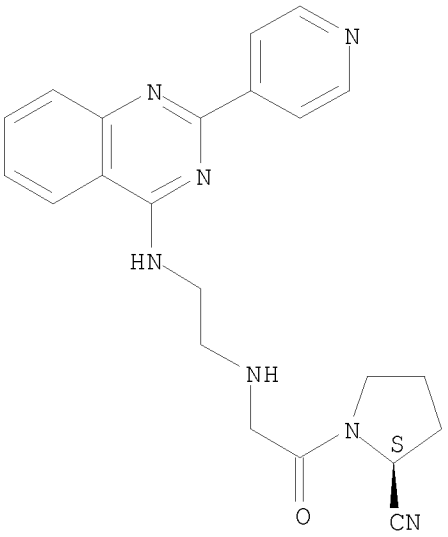
RN 440099-77-4 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[2-[[2-[[2-(4-pyridinyl)-4-quinazolinyl]amino]ethyl]amino]acetyl]-, (2S)-, methanesulfonate (1:2) (CA INDEX NAME)

CM 1

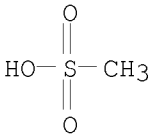
CRN 440099-76-3
CMF C22 H23 N7 O

Absolute stereochemistry.

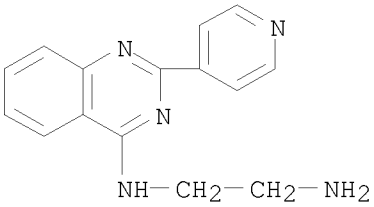


CM 2

CRN 75-75-2
CMF C H4 O3 S



IT 380588-03-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminocarbonylpyrrolidine derivs. as dipeptidyl peptidase IV inhibitors)
RN 380588-03-4 CAPLUS
CN 1,2-Ethanediamine, N1-[2-(4-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:220584 CAPLUS
DOCUMENT NUMBER: 136:247584
TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes,

and Alzheimer's disease

INVENTOR(S): Bebbington, David; Knegtel, Ronald; Golec, Julian M. C.; Li, Pan; Davies, Robert; Charrier, Jean-Damien

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 356 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

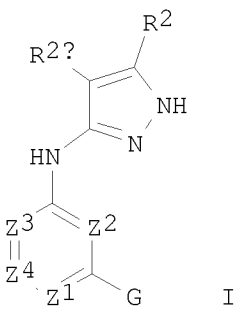
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022608	A1	20020321	WO 2001-US42152	20010914 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422380	A1	20020321	CA 2001-2422380	20010914 <--
AU 2001096871	A	20020326	AU 2001-96871	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--
US 7473691	B2	20090106		
US 20030073687	A1	20030417	US 2001-952671	20010914 <--
US 6660731	B2	20031209		
US 20030078166	A1	20030424	US 2001-955601	20010914 <--
US 6696452	B2	20040224		
US 20030083327	A1	20030501	US 2001-952833	20010914 <--
US 6610677	B2	20030826		
EP 1317452	A1	20030611	EP 2001-977779	20010914 <--
EP 1317452	B1	20060517		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001701	A	20040301	ZA 2003-1701	20010914 <--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914 <--
JP 2004509118	T	20040325	JP 2002-526861	20010914 <--
US 20040097501	A1	20040520	US 2001-953471	20010914 <--
US 7115739	B2	20061003		
HU 2004001819	A2	20041228	HU 2004-1819	20010914 <--
US 20050004110	A1	20050106	US 2001-952878	20010914 <--
US 7098330	B2	20060829		
ES 2242771	T3	20051116	ES 2001-971006	20010914 <--
AT 326458	T	20060615	AT 2001-970969	20010914 <--
AT 327990	T	20060615	AT 2001-970971	20010914 <--
AT 327992	T	20060615	AT 2001-971082	20010914 <--
AT 327991	T	20060615	AT 2001-973050	20010914 <--
AT 326459	T	20060615	AT 2001-977779	20010914 <--
EP 1698627	A1	20060906	EP 2006-10798	20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PT 1318997	T	20061031	PT 2001-971082	20010914 <--
AT 346064	T	20061215	AT 2001-975210	20010914 <--
ES 2266258	T3	20070301	ES 2001-970971	20010914 <--
ES 2266259	T3	20070301	ES 2001-971082	20010914 <--

AT 363284 T 20070615 AT 2001-977783 20010914 <--
NZ 545284 A 20070629 NZ 1984-5452 20010914 <--
CN 100355750 C 20071219 CN 2001-817427 20010914 <--
CA 2432303 A1 20020829 CA 2001-2432303 20011219 <--
AU 2002255452 A1 20020904 AU 2002-255452 20011219 <--
AU 2002255452 B2 20060608
CA 2432223 A1 20020906 CA 2001-2432223 20011219 <--
CA 2432223 C 20080520
AU 2001297619 A1 20020912 AU 2001-297619 20011219 <--
AU 2001297619 B2 20060608
EP 1345922 A1 20030924 EP 2001-271061 20011219 <--
EP 1345922 B1 20060531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 1355905 A1 20031029 EP 2001-273861 20011219 <--
EP 1355905 B1 20070221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
NZ 526472 A 20040430 NZ 2001-526472 20011219 <--
JP 2004518743 T 20040624 JP 2002-565976 20011219 <--
JP 2004519479 T 20040702 JP 2002-567928 20011219 <--
HU 2004000842 A2 20040728 HU 2004-842 20011219 <--
NZ 526473 A 20050624 NZ 2001-526473 20011219 <--
EP 1702920 A1 20060920 EP 2006-11799 20011219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
ZA 2003001697 A 20040301 ZA 2003-1697 20030228 <--
ZA 2003001699 A 20040301 ZA 2003-1699 20030228 <--
ZA 2003001700 A 20040301 ZA 2003-1700 20030228 <--
ZA 2003001702 A 20040301 ZA 2003-1702 20030228 <--
ZA 2003001704 A 20040301 ZA 2003-1704 20030228 <--
ZA 2003001698 A 20040302 ZA 2003-1698 20030228 <--
IN 2003KN00294 A 20050311 IN 2003-KN294 20030310 <--
NO 2003001188 A 20030513 NO 2003-1188 20030314 <--
MX 2003002299 A 20030606 MX 2003-2299 20030317 <--
ZA 2003004469 A 20040624 ZA 2003-4469 20030609 <--
ZA 2003004470 A 20040624 ZA 2003-4470 20030609 <--
ZA 2003004471 A 20040624 ZA 2003-4471 20030609 <--
ZA 2003004473 A 20040624 ZA 2003-4473 20030609 <--
ZA 2003004475 A 20040624 ZA 2003-4475 20030609 <--
ZA 2003004472 A 20040625 ZA 2003-4472 20030609 <--
ZA 2003004474 A 20040625 ZA 2003-4474 20030609 <--
NO 2003002704 A 20030821 NO 2003-2704 20030613 <--
MX 2003005609 A 20031006 MX 2003-5609 20030620 <--
MX 2003005610 A 20031006 MX 2003-5610 20030620 <--
US 20040224944 A1 20041111 US 2003-624800 20030722 <--
US 7008948 B2 20060307
US 20040116454 A1 20040617 US 2003-692355 20031023 <--
US 7390815 B2 20080624
US 20040157893 A1 20040812 US 2003-722374 20031125 <--
HK 1057888 A1 20061124 HK 2003-108639 20031126 <--
US 20040132781 A1 20040708 US 2003-736426 20031215 <--
US 7087603 B2 20060808
US 20040167141 A1 20040826 US 2004-775699 20040210 <--
US 7427681 B2 20080923
HK 1060347 A1 20061201 HK 2004-101883 20040315 <--
JP 2005097322 A 20050414 JP 2004-366925 20041217 <--
US 20070270444 A1 20071122 US 2006-369220 20060306 <--
AU 2006201228 A1 20060413 AU 2006-201228 20060321 <--
AU 2006201229 A1 20060413 AU 2006-201229 20060321 <--
AU 2006201229 B2 20081120
AU 2006201230 A1 20060413 AU 2006-201230 20060321 <--

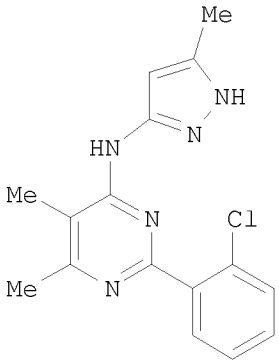
AU 2006201230	B2	20080911				
AU 2006201262	A1	20060427	AU 2006-201262		20060321	<--
AU 2006201262	B2	20080904				
AU 2006201263	A1	20060427	AU 2006-201263		20060321	<--
AU 2006201263	B2	20081030				
AU 2006201264	A1	20060427	AU 2006-201264		20060321	<--
AU 2006201265	A1	20060427	AU 2006-201265		20060321	<--
AU 2006201265	B2	20080904				
US 20060258658	A1	20061116	US 2006-492450		20060725	<--
IN 2007KN02703	A	20080801	IN 2007-KN2703		20070723	<--
JP 2008115195	A	20080522	JP 2008-15681		20080125	<--
JP 2008189682	A	20080821	JP 2008-95581		20080401	<--
JP 2008260767	A	20081030	JP 2008-95584		20080401	<--
JP 2008222719	A	20080925	JP 2008-97620		20080403	<--
JP 2008189687	A	20080821	JP 2008-98506		20080404	<--
US 20080287444	A1	20081120	US 2008-109598		20080425	
JP 2008201808	A	20080904	JP 2008-121723		20080507	<--
JP 2008247920	A	20081016	JP 2008-121724		20080507	<--
JP 2008247921	A	20081016	JP 2008-121727		20080507	<--
AU 2008252044	A1	20090122	AU 2008-252044		20081203	<--
PRIORITY APPLN. INFO.:			US 2000-232795P	P	20000915	<--
			US 2000-257887P	P	20001221	<--
			US 2001-286949P	P	20010427	<--
			AU 2001-296871	A3	20010914	<--
			AU 2001-296875	A3	20010914	<--
			AU 2001-90914	A	20010914	<--
			AU 2001-90944	A3	20010914	<--
			AU 2001-91013	A3	20010914	<--
			AU 2001-94558	A3	20010914	<--
			AU 2001-96871	T0	20010914	<--
			AU 2001-96875	T0	20010914	<--
			EP 2001-971082	A3	20010914	<--
			JP 2002-526860	A3	20010914	<--
			US 2001-952671	A3	20010914	<--
			US 2001-953471	A3	20010914	<--
			US 2001-955601	A3	20010914	<--
			WO 2001-US42152	W	20010914	<--
			AU 2002-234047	A3	20011219	<--
			AU 2002-34047	T0	20011219	<--
			EP 2001-273861	A	20011219	<--
			EP 2001-994323	A3	20011219	<--
			JP 2002-551561	A3	20011219	<--
			JP 2002-557938	A3	20011219	<--
			JP 2002-559413	A3	20011219	<--
			JP 2002-563142	A3	20011219	<--
			JP 2002-565976	A3	20011219	<--
			JP 2002-567928	A3	20011219	<--
			US 2001-26966	A1	20011219	<--
			WO 2001-US49139	W	20011219	<--
			WO 2001-US50312	W	20011219	<--
			JP 2002-551562	A3	20011220	<--
			JP 2002-559414	A3	20011220	<--
			US 2001-34019	A3	20011220	<--
			US 2001-34683	A1	20011220	<--
			IN 2003-KN795	A3	20030619	
			US 2003-624800	A3	20030722	
			US 2004-775699	A1	20040210	
			AU 2006-201396	A3	20060404	

OTHER SOURCE(S): MARPAT 136:247584

GI



I

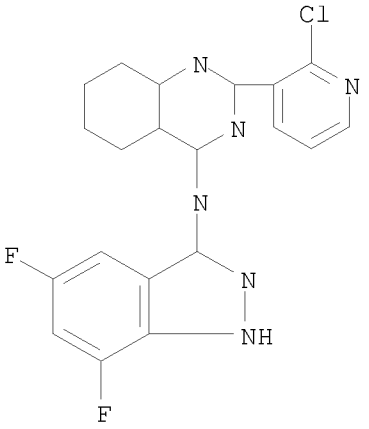


II

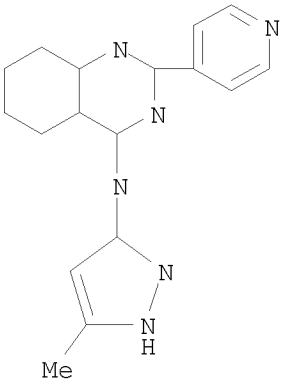
AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 = CR9; Z2 and Z3 = N; Z4 = CRy]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT 404827-24-3P, [2-(2-Chloropyridin-3-yl)quinazolin-4-yl](5,7-Difluoro-1H-indazol-3-yl)amine 404828-10-0P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine 404828-11-1P, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-12-2P, (6-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-37-1P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-3-ylquinazolin-4-yl)amine 404828-45-1P, (2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine 404828-50-8P , (5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes,

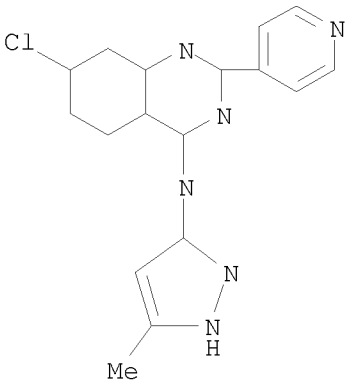
and Alzheimer's disease)
RN 404827-24-3 CAPLUS
CN 4-Quinazolinamine, 2-(2-chloro-3-pyridinyl)-N-(5,7-difluoro-1H-indazol-3-yl)- (CA INDEX NAME)



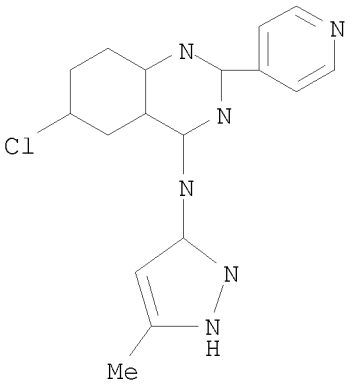
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-10-0 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



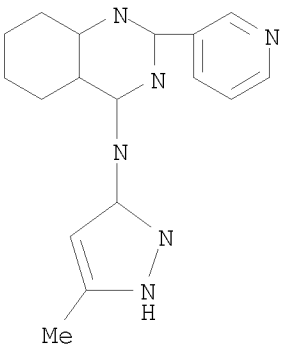
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-11-1 CAPLUS
CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



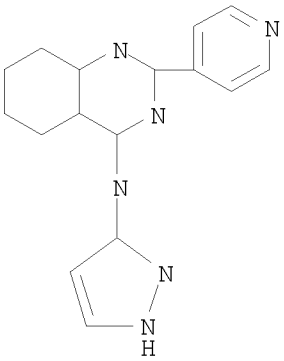
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-12-2 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



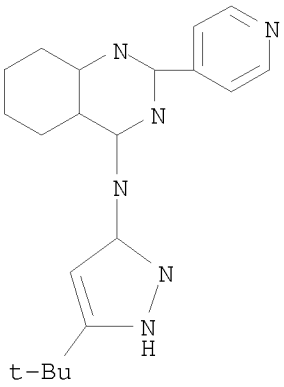
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-37-1 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-45-1 CAPLUS
CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-50-8 CAPLUS
CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:220583 CAPLUS
DOCUMENT NUMBER: 136:247583
TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S): Davies, Robert; Bebbington, David; Knegtel, Ronald; Wannamaker, Marion; Li, Pan; Forester, Cornelia; Pierce, Albert; Kay, David
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 373 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

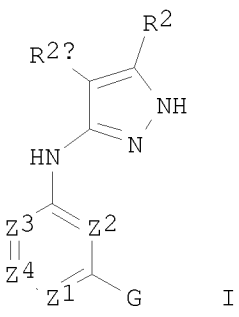
```
WO 2002022607         A1    20020321    WO 2001-US28940         20010914 <--
    W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
        CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
        GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
        LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
        PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
        US, UZ, VN, YU, ZA, ZW
    RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
        DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
        BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA 2422379            A1    20020321    CA 2001-2422379         20010914 <--
CA 2422379            C     20081118
AU 2001091013         A     20020326    AU 2001-91013           20010914 <--
US 20030055044        A1    20030320    US 2001-953505          20010914 <--
US 6638926            B2    20031028
US 20030064981        A1    20030403    US 2001-952836          20010914 <--
US 6613776            B2    20030902
US 20030064982        A1    20030403    US 2001-952875          20010914 <--
US 7473691            B2    20090106
US 20030073687        A1    20030417    US 2001-952671          20010914 <--
US 6660731            B2    20031209
US 20030078166        A1    20030424    US 2001-955601          20010914 <--
US 6696452            B2    20040224
US 20030083327        A1    20030501    US 2001-952833          20010914 <--
US 6610677            B2    20030826
BR 2001014088         A     20030617    BR 2001-14088           20010914 <--
EP 1318997            A1    20030618    EP 2001-971082          20010914 <--
EP 1318997            B1    20060531
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
HU 2003002173         A2    20030929    HU 2003-2173            20010914 <--
ZA 2003001701         A     20040301    ZA 2003-1701            20010914 <--
ZA 2003001703         A     20040302    ZA 2003-1703            20010914 <--
JP 2004509117         T     20040325    JP 2002-526860          20010914 <--
JP 4170752            B2    20081022
US 20040097501        A1    20040520    US 2001-953471          20010914 <--
US 7115739            B2    20061003
NZ 525008             A     20041224    NZ 2001-525008          20010914 <--
US 20050004110        A1    20050106    US 2001-952878          20010914 <--
US 7098330            B2    20060829
ES 2242771            T3    20051116    ES 2001-971006          20010914 <--
AT 326458             T     20060615    AT 2001-970969          20010914 <--
AT 327990             T     20060615    AT 2001-970971          20010914 <--
AT 327992             T     20060615    AT 2001-971082          20010914 <--
AT 327991             T     20060615    AT 2001-973050          20010914 <--
AT 326459             T     20060615    AT 2001-977779          20010914 <--
EP 1698627            A1    20060906    EP 2006-10798           20010914 <--
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PT 1318997            T     20061031    PT 2001-971082          20010914 <--
AT 346064             T     20061215    AT 2001-975210          20010914 <--
ES 2266258            T3    20070301    ES 2001-970971          20010914 <--
ES 2266259            T3    20070301    ES 2001-971082          20010914 <--
CN 1926132            A     20070307    CN 2001-817434          20010914 <--
AT 363284             T     20070615    AT 2001-977783          20010914 <--
NZ 545284             A     20070629    NZ 1984-5452            20010914 <--
CN 100355750          C     20071219    CN 2001-817427          20010914 <--
RU 2340611            C2    20081210    RU 2003-110575          20010914 <--
CA 2432303            A1    20020829    CA 2001-2432303         20011219 <--
AU 2002255452         A1    20020904    AU 2002-255452          20011219 <--
AU 2002255452         B2    20060608
CA 2432223            A1    20020906    CA 2001-2432223         20011219 <--
```

```
CA 2432223           C     20080520
AU 2001297619        A1    20020912    AU 2001-297619         20011219 <--
AU 2001297619        B2    20060608
EP 1345922           A1    20030924    EP 2001-271061         20011219 <--
EP 1345922           B1    20060531
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 1355905           A1    20031029    EP 2001-273861         20011219 <--
EP 1355905           B1    20070221
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
NZ 526472            A     20040430    NZ 2001-526472         20011219 <--
JP 2004518743        T     20040624    JP 2002-565976         20011219 <--
JP 2004519479        T     20040702    JP 2002-567928         20011219 <--
HU 2004000842        A2    20040728    HU 2004-842            20011219 <--
NZ 526473            A     20050624    NZ 2001-526473         20011219 <--
EP 1702920           A1    20060920    EP 2006-11799          20011219 <--
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
ZA 2003001697        A     20040301    ZA 2003-1697           20030228 <--
ZA 2003001699        A     20040301    ZA 2003-1699           20030228 <--
ZA 2003001700        A     20040301    ZA 2003-1700           20030228 <--
ZA 2003001702        A     20040301    ZA 2003-1702           20030228 <--
ZA 2003001704        A     20040301    ZA 2003-1704           20030228 <--
ZA 2003001698        A     20040302    ZA 2003-1698           20030228 <--
IN 2003KN00295       A     20060922    IN 2003-KN295          20030310 <--
NO 2003001191        A     20030513    NO 2003-1191           20030314 <--
MX 2003002291        A     20030606    MX 2003-2291           20030317 <--
ZA 2003004469        A     20040624    ZA 2003-4469           20030609 <--
ZA 2003004470        A     20040624    ZA 2003-4470           20030609 <--
ZA 2003004471        A     20040624    ZA 2003-4471           20030609 <--
ZA 2003004473        A     20040624    ZA 2003-4473           20030609 <--
ZA 2003004475        A     20040624    ZA 2003-4475           20030609 <--
ZA 2003004472        A     20040625    ZA 2003-4472           20030609 <--
ZA 2003004474        A     20040625    ZA 2003-4474           20030609 <--
NO 2003002704        A     20030821    NO 2003-2704           20030613 <--
MX 2003005609        A     20031006    MX 2003-5609           20030620 <--
MX 2003005610        A     20031006    MX 2003-5610           20030620 <--
US 20040224944       A1    20041111    US 2003-624800         20030722 <--
US 7008948           B2    20060307
US 20040116454       A1    20040617    US 2003-692355         20031023 <--
US 7390815           B2    20080624
US 20040157893       A1    20040812    US 2003-722374         20031125 <--
US 20040132781       A1    20040708    US 2003-736426         20031215 <--
US 7087603           B2    20060808
HK 1058356           A1    20061201    HK 2003-109140         20031215 <--
US 20040167141       A1    20040826    US 2004-775699         20040210 <--
US 7427681           B2    20080923
HK 1060347           A1    20061201    HK 2004-101883         20040315 <--
JP 2005097322        A     20050414    JP 2004-366925         20041217 <--
US 20070270444       A1    20071122    US 2006-369220         20060306 <--
AU 2006201228        A1    20060413    AU 2006-201228         20060321 <--
AU 2006201229        A1    20060413    AU 2006-201229         20060321 <--
AU 2006201229        B2    20081120
AU 2006201230        A1    20060413    AU 2006-201230         20060321 <--
AU 2006201230        B2    20080911
AU 2006201262        A1    20060427    AU 2006-201262         20060321 <--
AU 2006201262        B2    20080904
AU 2006201263        A1    20060427    AU 2006-201263         20060321 <--
AU 2006201263        B2    20081030
AU 2006201264        A1    20060427    AU 2006-201264         20060321 <--
AU 2006201265        A1    20060427    AU 2006-201265         20060321 <--
```

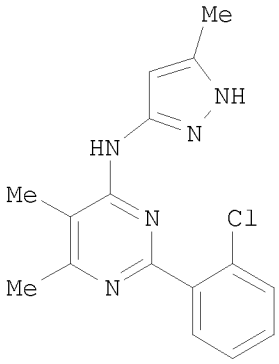
AU 2006201265	B2	20080904				
US 20060258658	A1	20061116	US 2006-492450		20060725	<--
IN 2007KN02703	A	20080801	IN 2007-KN2703		20070723	<--
JP 2008115195	A	20080522	JP 2008-15681		20080125	<--
JP 2008189682	A	20080821	JP 2008-95581		20080401	<--
JP 2008260767	A	20081030	JP 2008-95584		20080401	<--
JP 2008222719	A	20080925	JP 2008-97620		20080403	<--
JP 2008189687	A	20080821	JP 2008-98506		20080404	<--
US 20080287444	A1	20081120	US 2008-109598		20080425	
JP 2008201808	A	20080904	JP 2008-121723		20080507	<--
JP 2008247920	A	20081016	JP 2008-121724		20080507	<--
JP 2008247921	A	20081016	JP 2008-121727		20080507	<--
AU 2008252044	A1	20090122	AU 2008-252044		20081203	<--
PRIORITY APPLN. INFO.:			US 2000-232795P	P	20000915	<--
			US 2000-257887P	P	20001221	<--
			US 2001-286949P	P	20010427	<--
			AU 2001-296871	A3	20010914	<--
			AU 2001-296875	A3	20010914	<--
			AU 2001-90914	A	20010914	<--
			AU 2001-90944	A3	20010914	<--
			AU 2001-91013	A3	20010914	<--
			AU 2001-94558	A3	20010914	<--
			AU 2001-96871	T0	20010914	<--
			AU 2001-96875	T0	20010914	<--
			EP 2001-971082	A3	20010914	<--
			JP 2002-526860	A3	20010914	<--
			US 2001-952671	A3	20010914	<--
			US 2001-953471	A3	20010914	<--
			US 2001-955601	A3	20010914	<--
			WO 2001-US28940	W	20010914	<--
			AU 2002-234047	A3	20011219	<--
			AU 2002-34047	T0	20011219	<--
			EP 2001-273861	A	20011219	<--
			EP 2001-994323	A3	20011219	<--
			JP 2002-551561	A3	20011219	<--
			JP 2002-557938	A3	20011219	<--
			JP 2002-559413	A3	20011219	<--
			JP 2002-563142	A3	20011219	<--
			JP 2002-565976	A3	20011219	<--
			JP 2002-567928	A3	20011219	<--
			US 2001-26966	A1	20011219	<--
			WO 2001-US49139	W	20011219	<--
			WO 2001-US50312	W	20011219	<--
			JP 2002-551562	A3	20011220	<--
			JP 2002-559414	A3	20011220	<--
			US 2001-34019	A3	20011220	<--
			US 2001-34683	A1	20011220	<--
			IN 2003-KN795	A3	20030619	
			US 2003-624800	A3	20030722	
			US 2004-775699	A1	20040210	
			AU 2006-201396	A3	20060404	

OTHER SOURCE(S): MARPAT 136:247583

GI



I

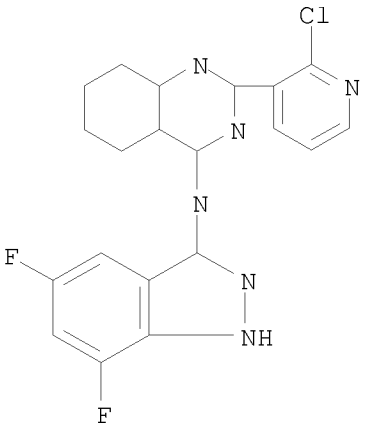


II

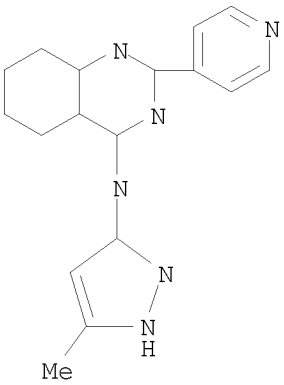
AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CRx; Z4 = CRy; G = Ring C]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT 404827-24-3P, [2-(2-Chloropyridin-3-yl)quinazolin-4-yl](5,7-Difluoro-1H-indazol-3-yl)amine 404828-10-0P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine 404828-11-1P, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-12-2P, (6-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-37-1P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-3-ylquinazolin-4-yl)amine 404828-45-1P, (2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine 404828-50-8P, (5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

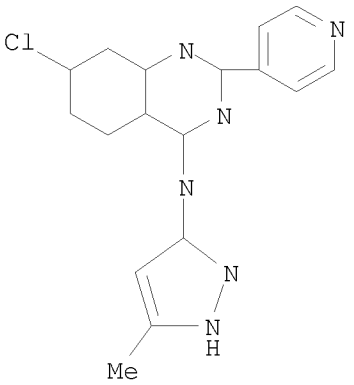
RN 404827-24-3 CAPLUS
CN 4-Quinazolinamine, 2-(2-chloro-3-pyridinyl)-N-(5,7-difluoro-1H-indazol-3-yl)- (CA INDEX NAME)



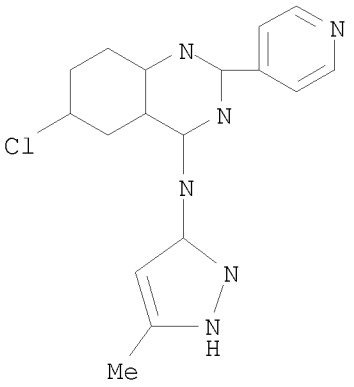
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-10-0 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



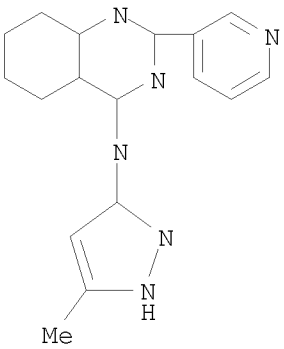
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-11-1 CAPLUS
CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



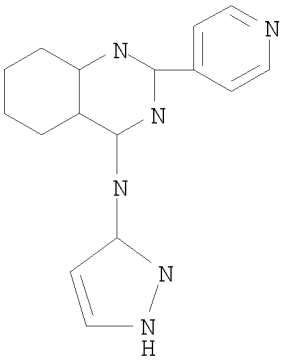
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-12-2 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



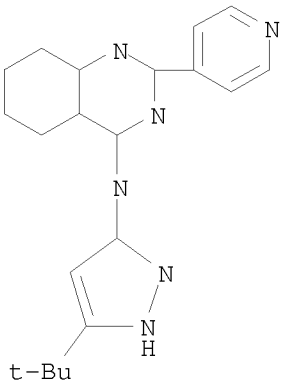
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-37-1 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-45-1 CAPLUS
CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-50-8 CAPLUS
CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:220582 CAPLUS
DOCUMENT NUMBER: 136:247582
TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S): Bebbington, David; Binch, Hayley; Knegtel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Forster, Cornelia; Pierce, Albert
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 355 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

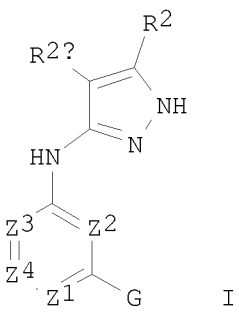
WO 2002022606	A1	20020321	WO 2001-US28803	20010914 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422378	A1	20020321	CA 2001-2422378	20010914 <--
AU 2001090944	A	20020326	AU 2001-90944	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--
US 7473691	B2	20090106		
US 20030073687	A1	20030417	US 2001-952671	20010914 <--
US 6660731	B2	20031209		
US 20030078166	A1	20030424	US 2001-955601	20010914 <--
US 6696452	B2	20040224		
US 20030083327	A1	20030501	US 2001-952833	20010914 <--
US 6610677	B2	20030826		
EP 1317448	A1	20030611	EP 2001-971006	20010914 <--
EP 1317448	B1	20050504		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2003002411	A2	20031128	HU 2003-2411	20010914 <--
ZA 2003001701	A	20040301	ZA 2003-1701	20010914 <--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914 <--
JP 2004509116	T	20040325	JP 2002-526859	20010914 <--
JP 4105949	B2	20080625		
US 20040097501	A1	20040520	US 2001-953471	20010914 <--
US 7115739	B2	20061003		
US 20050004110	A1	20050106	US 2001-952878	20010914 <--
US 7098330	B2	20060829		
AT 294797	T	20050515	AT 2001-971006	20010914 <--
ES 2242771	T3	20051116	ES 2001-971006	20010914 <--
AT 326458	T	20060615	AT 2001-970969	20010914 <--
AT 327990	T	20060615	AT 2001-970971	20010914 <--
AT 327992	T	20060615	AT 2001-971082	20010914 <--
AT 327991	T	20060615	AT 2001-973050	20010914 <--
AT 326459	T	20060615	AT 2001-977779	20010914 <--
EP 1698627	A1	20060906	EP 2006-10798	20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PT 1318997	T	20061031	PT 2001-971082	20010914 <--
AT 346064	T	20061215	AT 2001-975210	20010914 <--
ES 2266258	T3	20070301	ES 2001-970971	20010914 <--
ES 2266259	T3	20070301	ES 2001-971082	20010914 <--
AT 363284	T	20070615	AT 2001-977783	20010914 <--
NZ 545284	A	20070629	NZ 1984-5452	20010914 <--
CN 100355750	C	20071219	CN 2001-817427	20010914 <--
CA 2432303	A1	20020829	CA 2001-2432303	20011219 <--
AU 2002255452	A1	20020904	AU 2002-255452	20011219 <--
AU 2002255452	B2	20060608		
CA 2432223	A1	20020906	CA 2001-2432223	20011219 <--
CA 2432223	C	20080520		
AU 2001297619	A1	20020912	AU 2001-297619	20011219 <--
AU 2001297619	B2	20060608		

EP 1345922 A1 20030924 EP 2001-271061 20011219 <--
EP 1345922 B1 20060531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 1355905 A1 20031029 EP 2001-273861 20011219 <--
EP 1355905 B1 20070221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
NZ 526472 A 20040430 NZ 2001-526472 20011219 <--
JP 2004518743 T 20040624 JP 2002-565976 20011219 <--
JP 2004519479 T 20040702 JP 2002-567928 20011219 <--
HU 2004000842 A2 20040728 HU 2004-842 20011219 <--
NZ 526473 A 20050624 NZ 2001-526473 20011219 <--
EP 1702920 A1 20060920 EP 2006-11799 20011219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
ZA 2003001697 A 20040301 ZA 2003-1697 20030228 <--
ZA 2003001699 A 20040301 ZA 2003-1699 20030228 <--
ZA 2003001700 A 20040301 ZA 2003-1700 20030228 <--
ZA 2003001702 A 20040301 ZA 2003-1702 20030228 <--
ZA 2003001704 A 20040301 ZA 2003-1704 20030228 <--
ZA 2003001698 A 20040302 ZA 2003-1698 20030228 <--
IN 2003KN00293 A 20050311 IN 2003-KN293 20030310 <--
NO 2003001189 A 20030513 NO 2003-1189 20030314 <--
KR 876069 B1 20081226 KR 2003-703800 20030314 <--
MX 2003002293 A 20030606 MX 2003-2293 20030317 <--
ZA 2003004469 A 20040624 ZA 2003-4469 20030609 <--
ZA 2003004470 A 20040624 ZA 2003-4470 20030609 <--
ZA 2003004471 A 20040624 ZA 2003-4471 20030609 <--
ZA 2003004473 A 20040624 ZA 2003-4473 20030609 <--
ZA 2003004475 A 20040624 ZA 2003-4475 20030609 <--
ZA 2003004472 A 20040625 ZA 2003-4472 20030609 <--
ZA 2003004474 A 20040625 ZA 2003-4474 20030609 <--
NO 2003002704 A 20030821 NO 2003-2704 20030613 <--
MX 2003005609 A 20031006 MX 2003-5609 20030620 <--
MX 2003005610 A 20031006 MX 2003-5610 20030620 <--
US 20040224944 A1 20041111 US 2003-624800 20030722 <--
US 7008948 B2 20060307
US 20040116454 A1 20040617 US 2003-692355 20031023 <--
US 7390815 B2 20080624
US 20040157893 A1 20040812 US 2003-722374 20031125 <--
HK 1057890 A1 20051216 HK 2003-108726 20031128 <--
US 20040132781 A1 20040708 US 2003-736426 20031215 <--
US 7087603 B2 20060808
US 20040167141 A1 20040826 US 2004-775699 20040210 <--
US 7427681 B2 20080923
HK 1060347 A1 20061201 HK 2004-101883 20040315 <--
JP 2005097322 A 20050414 JP 2004-366925 20041217 <--
US 20070270444 A1 20071122 US 2006-369220 20060306 <--
AU 2006201228 A1 20060413 AU 2006-201228 20060321 <--
AU 2006201229 A1 20060413 AU 2006-201229 20060321 <--
AU 2006201229 B2 20081120
AU 2006201230 A1 20060413 AU 2006-201230 20060321 <--
AU 2006201230 B2 20080911
AU 2006201262 A1 20060427 AU 2006-201262 20060321 <--
AU 2006201262 B2 20080904
AU 2006201263 A1 20060427 AU 2006-201263 20060321 <--
AU 2006201263 B2 20081030
AU 2006201264 A1 20060427 AU 2006-201264 20060321 <--
AU 2006201265 A1 20060427 AU 2006-201265 20060321 <--
AU 2006201265 B2 20080904
US 20060258658 A1 20061116 US 2006-492450 20060725 <--

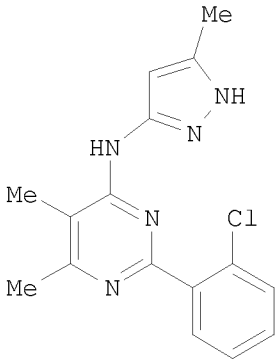
IN 2007KN02703	A	20080801	IN 2007-KN2703		20070723	<--
JP 2008115195	A	20080522	JP 2008-15681		20080125	<--
JP 2008189682	A	20080821	JP 2008-95581		20080401	<--
JP 2008260767	A	20081030	JP 2008-95584		20080401	<--
JP 2008222719	A	20080925	JP 2008-97620		20080403	<--
JP 2008189687	A	20080821	JP 2008-98506		20080404	<--
US 20080287444	A1	20081120	US 2008-109598		20080425	
JP 2008201808	A	20080904	JP 2008-121723		20080507	<--
JP 2008247920	A	20081016	JP 2008-121724		20080507	<--
JP 2008247921	A	20081016	JP 2008-121727		20080507	<--
AU 2008252044	A1	20090122	AU 2008-252044		20081203	<--
PRIORITY APPLN. INFO.:			US 2000-232795P	P	20000915	<--
			US 2000-257887P	P	20001221	<--
			US 2001-286949P	P	20010427	<--
			AU 2001-296871	A3	20010914	<--
			AU 2001-296875	A3	20010914	<--
			AU 2001-90914	A	20010914	<--
			AU 2001-90944	A3	20010914	<--
			AU 2001-91013	A3	20010914	<--
			AU 2001-94558	A3	20010914	<--
			AU 2001-96871	T0	20010914	<--
			AU 2001-96875	T0	20010914	<--
			EP 2001-971082	A3	20010914	<--
			JP 2002-526860	A3	20010914	<--
			US 2001-952671	A3	20010914	<--
			US 2001-953471	A3	20010914	<--
			US 2001-955601	A3	20010914	<--
			WO 2001-US28803	W	20010914	<--
			AU 2002-234047	A3	20011219	<--
			AU 2002-34047	T0	20011219	<--
			EP 2001-273861	A	20011219	<--
			EP 2001-994323	A3	20011219	<--
			JP 2002-551561	A3	20011219	<--
			JP 2002-557938	A3	20011219	<--
			JP 2002-559413	A3	20011219	<--
			JP 2002-563142	A3	20011219	<--
			JP 2002-565976	A3	20011219	<--
			JP 2002-567928	A3	20011219	<--
			US 2001-26966	A1	20011219	<--
			WO 2001-US49139	W	20011219	<--
			WO 2001-US50312	W	20011219	<--
			JP 2002-551562	A3	20011220	<--
			JP 2002-559414	A3	20011220	<--
			US 2001-34019	A3	20011220	<--
			US 2001-34683	A1	20011220	<--
			IN 2003-KN795	A3	20030619	
			US 2003-624800	A3	20030722	
			US 2004-775699	A1	20040210	
			AU 2006-201396	A3	20060404	

OTHER SOURCE(S): MARPAT 136:247582

GI



I

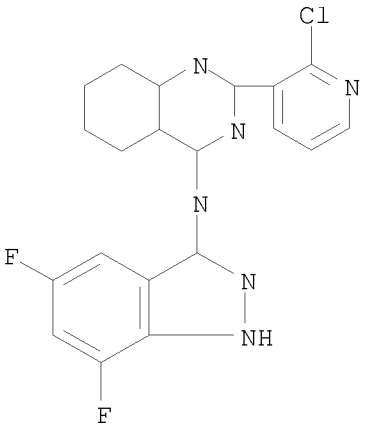


II

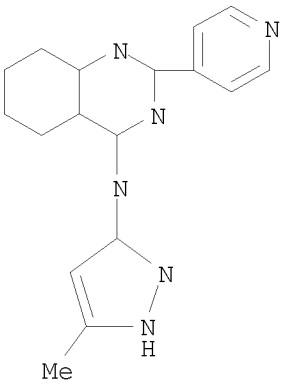
AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CRx; Z4 = CRy; G = Ring D]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT 404827-24-3P, [2-(2-Chloropyridin-3-yl)quinazolin-4-yl](5,7-Difluoro-1H-indazol-3-yl)amine 404828-10-0P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine 404828-11-1P, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-12-2P, (6-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-37-1P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-3-ylquinazolin-4-yl)amine 404828-45-1P, (2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine 404828-50-8P, (5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

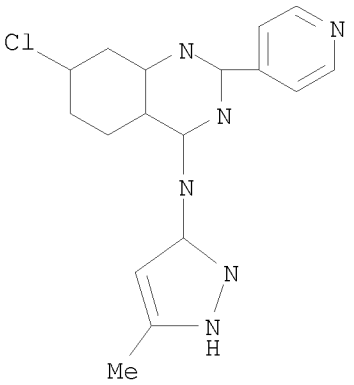
RN 404827-24-3 CAPLUS
CN 4-Quinazolinamine, 2-(2-chloro-3-pyridinyl)-N-(5,7-difluoro-1H-indazol-3-yl)- (CA INDEX NAME)



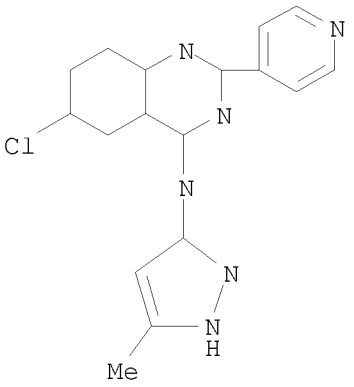
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-10-0 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



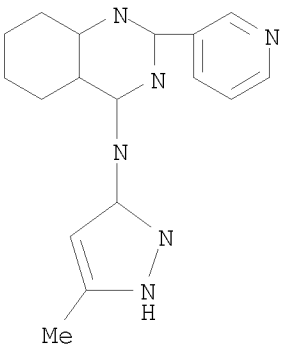
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-11-1 CAPLUS
CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



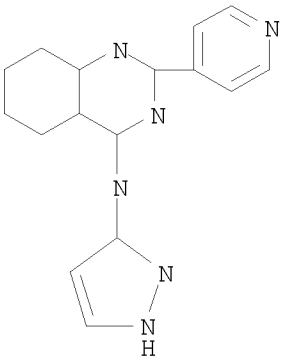
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-12-2 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



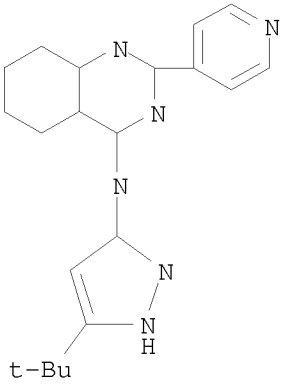
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-37-1 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-45-1 CAPLUS
CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-50-8 CAPLUS
CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 14 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:220581 CAPLUS
DOCUMENT NUMBER: 136:247581
TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S): Golec, Julian M. C.; Charrier, Jean-Damien; Knegtel, Ronald; Bebbington, David; Davies, Robert; Li, Pan
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 357 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022605	A1	20020321	WO 2001-US28793	20010914 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2422377	A1	20020321	CA 2001-2422377	20010914	<--
AU 2001092670	A	20020326	AU 2001-92670	20010914	<--
US 20030055044	A1	20030320	US 2001-953505	20010914	<--
US 6638926	B2	20031028			
US 20030064981	A1	20030403	US 2001-952836	20010914	<--
US 6613776	B2	20030902			
US 20030064982	A1	20030403	US 2001-952875	20010914	<--
US 7473691	B2	20090106			
US 20030073687	A1	20030417	US 2001-952671	20010914	<--
US 6660731	B2	20031209			
US 20030078166	A1	20030424	US 2001-955601	20010914	<--
US 6696452	B2	20040224			
US 20030083327	A1	20030501	US 2001-952833	20010914	<--
US 6610677	B2	20030826			
EP 1317449	A1	20030611	EP 2001-973050	20010914	<--
EP 1317449	B1	20060531			

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

ZA 2003001701	A	20040301	ZA 2003-1701	20010914	<--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914	<--
JP 2004509115	T	20040325	JP 2002-526858	20010914	<--
US 20040097501	A1	20040520	US 2001-953471	20010914	<--
US 7115739	B2	20061003			
US 20050004110	A1	20050106	US 2001-952878	20010914	<--
US 7098330	B2	20060829			
NZ 525014	A	20050930	NZ 2001-525014	20010914	<--
ES 2242771	T3	20051116	ES 2001-971006	20010914	<--
AT 326458	T	20060615	AT 2001-970969	20010914	<--
AT 327990	T	20060615	AT 2001-970971	20010914	<--
AT 327992	T	20060615	AT 2001-971082	20010914	<--
AT 327991	T	20060615	AT 2001-973050	20010914	<--
AT 326459	T	20060615	AT 2001-977779	20010914	<--
EP 1698627	A1	20060906	EP 2006-10798	20010914	<--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PT 1318997	T	20061031	PT 2001-971082	20010914	<--
AT 346064	T	20061215	AT 2001-975210	20010914	<--
ES 2266258	T3	20070301	ES 2001-970971	20010914	<--
ES 2266259	T3	20070301	ES 2001-971082	20010914	<--
AT 363284	T	20070615	AT 2001-977783	20010914	<--
NZ 545284	A	20070629	NZ 1984-5452	20010914	<--
CN 100355750	C	20071219	CN 2001-817427	20010914	<--
CA 2432303	A1	20020829	CA 2001-2432303	20011219	<--
AU 2002255452	A1	20020904	AU 2002-255452	20011219	<--
AU 2002255452	B2	20060608			
CA 2432223	A1	20020906	CA 2001-2432223	20011219	<--
CA 2432223	C	20080520			
AU 2001297619	A1	20020912	AU 2001-297619	20011219	<--
AU 2001297619	B2	20060608			
EP 1345922	A1	20030924	EP 2001-271061	20011219	<--
EP 1345922	B1	20060531			

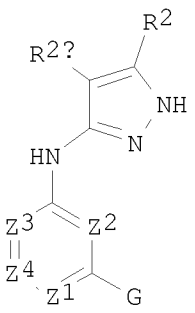
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

EP	1355905	A1	20031029	EP	2001-273861	20011219 <--
EP	1355905	B1	20070221			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
NZ	526472	A	20040430	NZ	2001-526472	20011219 <--
JP	2004518743	T	20040624	JP	2002-565976	20011219 <--
JP	2004519479	T	20040702	JP	2002-567928	20011219 <--
HU	2004000842	A2	20040728	HU	2004-842	20011219 <--
NZ	526473	A	20050624	NZ	2001-526473	20011219 <--
EP	1702920	A1	20060920	EP	2006-11799	20011219 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
ZA	2003001697	A	20040301	ZA	2003-1697	20030228 <--
ZA	2003001699	A	20040301	ZA	2003-1699	20030228 <--
ZA	2003001700	A	20040301	ZA	2003-1700	20030228 <--
ZA	2003001702	A	20040301	ZA	2003-1702	20030228 <--
ZA	2003001704	A	20040301	ZA	2003-1704	20030228 <--
ZA	2003001698	A	20040302	ZA	2003-1698	20030228 <--
MX	2003002289	A	20030606	MX	2003-2289	20030317 <--
ZA	2003004469	A	20040624	ZA	2003-4469	20030609 <--
ZA	2003004470	A	20040624	ZA	2003-4470	20030609 <--
ZA	2003004471	A	20040624	ZA	2003-4471	20030609 <--
ZA	2003004473	A	20040624	ZA	2003-4473	20030609 <--
ZA	2003004475	A	20040624	ZA	2003-4475	20030609 <--
ZA	2003004472	A	20040625	ZA	2003-4472	20030609 <--
ZA	2003004474	A	20040625	ZA	2003-4474	20030609 <--
NO	2003002704	A	20030821	NO	2003-2704	20030613 <--
MX	2003005609	A	20031006	MX	2003-5609	20030620 <--
MX	2003005610	A	20031006	MX	2003-5610	20030620 <--
US	20040224944	A1	20041111	US	2003-624800	20030722 <--
US	7008948	B2	20060307			
US	20040116454	A1	20040617	US	2003-692355	20031023 <--
US	7390815	B2	20080624			
HK	1057887	A1	20061215	HK	2003-108524	20031121 <--
US	20040157893	A1	20040812	US	2003-722374	20031125 <--
US	20040132781	A1	20040708	US	2003-736426	20031215 <--
US	7087603	B2	20060808			
US	20040167141	A1	20040826	US	2004-775699	20040210 <--
US	7427681	B2	20080923			
HK	1060347	A1	20061201	HK	2004-101883	20040315 <--
JP	2005097322	A	20050414	JP	2004-366925	20041217 <--
US	20070270444	A1	20071122	US	2006-369220	20060306 <--
AU	2006201228	A1	20060413	AU	2006-201228	20060321 <--
AU	2006201229	A1	20060413	AU	2006-201229	20060321 <--
AU	2006201229	B2	20081120			
AU	2006201230	A1	20060413	AU	2006-201230	20060321 <--
AU	2006201230	B2	20080911			
AU	2006201262	A1	20060427	AU	2006-201262	20060321 <--
AU	2006201262	B2	20080904			
AU	2006201263	A1	20060427	AU	2006-201263	20060321 <--
AU	2006201263	B2	20081030			
AU	2006201264	A1	20060427	AU	2006-201264	20060321 <--
AU	2006201265	A1	20060427	AU	2006-201265	20060321 <--
AU	2006201265	B2	20080904			
US	20060258658	A1	20061116	US	2006-492450	20060725 <--
IN	2007KN02703	A	20080801	IN	2007-KN2703	20070723 <--
JP	2008115195	A	20080522	JP	2008-15681	20080125 <--
JP	2008189682	A	20080821	JP	2008-95581	20080401 <--
JP	2008260767	A	20081030	JP	2008-95584	20080401 <--
JP	2008222719	A	20080925	JP	2008-97620	20080403 <--
JP	2008189687	A	20080821	JP	2008-98506	20080404 <--
US	20080287444	A1	20081120	US	2008-109598	20080425

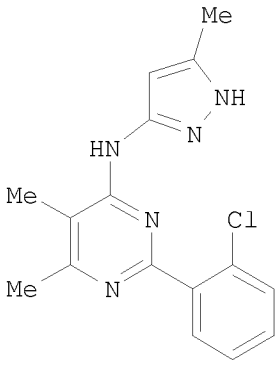
JP 2008201808	A	20080904	JP 2008-121723		20080507 <--
JP 2008247920	A	20081016	JP 2008-121724		20080507 <--
JP 2008247921	A	20081016	JP 2008-121727		20080507 <--
AU 2008252044	A1	20090122	AU 2008-252044		20081203 <--
PRIORITY APPLN. INFO.:			US 2000-232795P	P	20000915 <--
			US 2000-257887P	P	20001221 <--
			US 2001-286949P	P	20010427 <--
			AU 2001-296871	A3	20010914 <--
			AU 2001-296875	A3	20010914 <--
			AU 2001-90914	A	20010914 <--
			AU 2001-90944	A3	20010914 <--
			AU 2001-91013	A3	20010914 <--
			AU 2001-94558	A3	20010914 <--
			AU 2001-96871	T0	20010914 <--
			AU 2001-96875	T0	20010914 <--
			EP 2001-971082	A3	20010914 <--
			JP 2002-526860	A3	20010914 <--
			US 2001-952671	A3	20010914 <--
			US 2001-953471	A3	20010914 <--
			US 2001-955601	A3	20010914 <--
			WO 2001-US28793	W	20010914 <--
			AU 2002-234047	A3	20011219 <--
			AU 2002-34047	T0	20011219 <--
			EP 2001-273861	A	20011219 <--
			EP 2001-994323	A3	20011219 <--
			JP 2002-551561	A3	20011219 <--
			JP 2002-557938	A3	20011219 <--
			JP 2002-559413	A3	20011219 <--
			JP 2002-563142	A3	20011219 <--
			JP 2002-565976	A3	20011219 <--
			JP 2002-567928	A3	20011219 <--
			US 2001-26966	A1	20011219 <--
			WO 2001-US49139	W	20011219 <--
			WO 2001-US50312	W	20011219 <--
			JP 2002-551562	A3	20011220 <--
			JP 2002-559414	A3	20011220 <--
			US 2001-34019	A3	20011220 <--
			US 2001-34683	A1	20011220 <--
			IN 2003-KN795	A3	20030619
			US 2003-624800	A3	20030722
			US 2004-775699	A1	20040210
			AU 2006-201396	A3	20060404

OTHER SOURCE(S): MARPAT 136:247581

GI



I



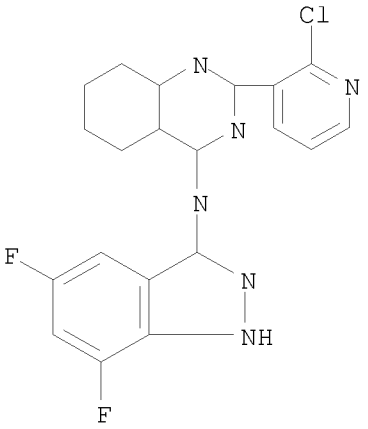
II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrazolamines and indazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N; at least one of Z1 or Z3 = N]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

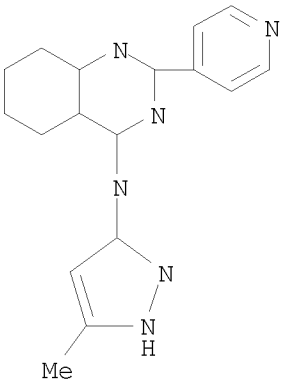
IT 404827-24-3P, [2-(2-Chloropyridin-3-yl)quinazolin-4-yl](5,7-Difluoro-1H-indazol-3-yl)amine 404828-10-0P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine 404828-11-1P, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-12-2P, (6-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-37-1P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-3-ylquinazolin-4-yl)amine 404828-45-1P, (2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine 404828-50-8P , (5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-24-3 CAPLUS

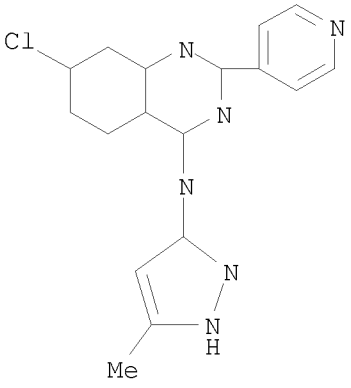
CN 4-Quinazolinamine, 2-(2-chloro-3-pyridinyl)-N-(5,7-difluoro-1H-indazol-3-yl)- (CA INDEX NAME)



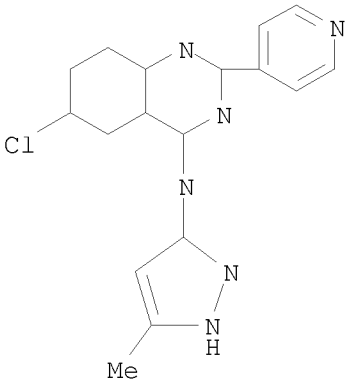
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-10-0 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



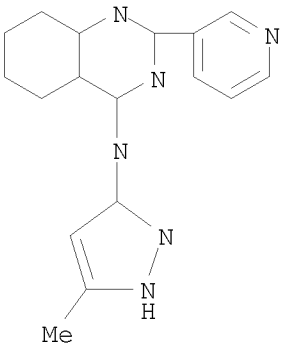
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-11-1 CAPLUS
CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



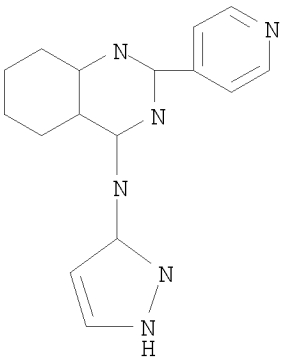
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-12-2 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



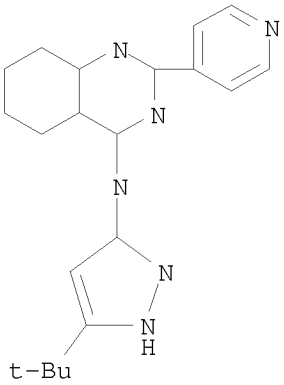
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-37-1 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-45-1 CAPLUS
CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-50-8 CAPLUS
CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 15 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:220580 CAPLUS
DOCUMENT NUMBER: 136:247606
TITLE: Preparation of 3-(4-pyrimidinylamino)pyrazole derivatives as protein kinase inhibitors, especially of Aurora-2 and GSK-3, for treating cancer, diabetes and Alzheimer's disease.
INVENTOR(S): Davies, Robert; Bebbington, David; Binch, Haley; Knegtel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 357 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022604	A1	20020321	WO 2001-US28792	20010914 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422371	A1	20020321	CA 2001-2422371	20010914 <--
AU 2001094558	A	20020326	AU 2001-94558	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		

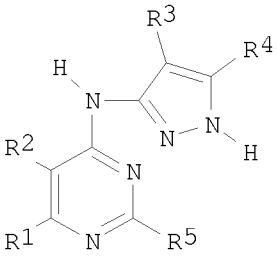
US 20030064982 A1 20030403 US 2001-952875 20010914 <--
US 7473691 B2 20090106
US 20030073687 A1 20030417 US 2001-952671 20010914 <--
US 6660731 B2 20031209
US 20030078166 A1 20030424 US 2001-955601 20010914 <--
US 6696452 B2 20040224
US 20030083327 A1 20030501 US 2001-952833 20010914 <--
US 6610677 B2 20030826
EP 1317450 A1 20030611 EP 2001-975210 20010914 <--
EP 1317450 B1 20061122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
HU 2003002172 A2 20030929 HU 2003-2172 20010914 <--
ZA 2003001701 A 20040301 ZA 2003-1701 20010914 <--
ZA 2003001703 A 20040302 ZA 2003-1703 20010914 <--
JP 2004512277 T 20040422 JP 2002-526857 20010914 <--
JP 4105948 B2 20080625
US 20040097501 A1 20040520 US 2001-953471 20010914 <--
US 7115739 B2 20061003
US 20050004110 A1 20050106 US 2001-952878 20010914 <--
US 7098330 B2 20060829
NZ 525009 A 20050527 NZ 2001-525009 20010914 <--
ES 2242771 T3 20051116 ES 2001-971006 20010914 <--
AT 326458 T 20060615 AT 2001-970969 20010914 <--
AT 327990 T 20060615 AT 2001-970971 20010914 <--
AT 327992 T 20060615 AT 2001-971082 20010914 <--
AT 327991 T 20060615 AT 2001-973050 20010914 <--
AT 326459 T 20060615 AT 2001-977779 20010914 <--
EP 1698627 A1 20060906 EP 2006-10798 20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PT 1318997 T 20061031 PT 2001-971082 20010914 <--
AT 346064 T 20061215 AT 2001-975210 20010914 <--
ES 2266258 T3 20070301 ES 2001-970971 20010914 <--
ES 2266259 T3 20070301 ES 2001-971082 20010914 <--
AT 363284 T 20070615 AT 2001-977783 20010914 <--
NZ 545284 A 20070629 NZ 1984-5452 20010914 <--
CN 100355750 C 20071219 CN 2001-817427 20010914 <--
CA 2432303 A1 20020829 CA 2001-2432303 20011219 <--
AU 2002255452 A1 20020904 AU 2002-255452 20011219 <--
AU 2002255452 B2 20060608
CA 2432223 A1 20020906 CA 2001-2432223 20011219 <--
CA 2432223 C 20080520
AU 2001297619 A1 20020912 AU 2001-297619 20011219 <--
AU 2001297619 B2 20060608
EP 1345922 A1 20030924 EP 2001-271061 20011219 <--
EP 1345922 B1 20060531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 1355905 A1 20031029 EP 2001-273861 20011219 <--
EP 1355905 B1 20070221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
NZ 526472 A 20040430 NZ 2001-526472 20011219 <--
JP 2004518743 T 20040624 JP 2002-565976 20011219 <--
JP 2004519479 T 20040702 JP 2002-567928 20011219 <--
HU 2004000842 A2 20040728 HU 2004-842 20011219 <--
NZ 526473 A 20050624 NZ 2001-526473 20011219 <--
EP 1702920 A1 20060920 EP 2006-11799 20011219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
ZA 2003001697 A 20040301 ZA 2003-1697 20030228 <--

ZA 2003001699	A	20040301	ZA 2003-1699		20030228 <--
ZA 2003001700	A	20040301	ZA 2003-1700		20030228 <--
ZA 2003001702	A	20040301	ZA 2003-1702		20030228 <--
ZA 2003001704	A	20040301	ZA 2003-1704		20030228 <--
ZA 2003001698	A	20040302	ZA 2003-1698		20030228 <--
IN 2003KN00289	A	20050311	IN 2003-KN289		20030307 <--
NO 2003001190	A	20030513	NO 2003-1190		20030314 <--
MX 2003002292	A	20030606	MX 2003-2292		20030317 <--
ZA 2003004469	A	20040624	ZA 2003-4469		20030609 <--
ZA 2003004470	A	20040624	ZA 2003-4470		20030609 <--
ZA 2003004471	A	20040624	ZA 2003-4471		20030609 <--
ZA 2003004473	A	20040624	ZA 2003-4473		20030609 <--
ZA 2003004475	A	20040624	ZA 2003-4475		20030609 <--
ZA 2003004472	A	20040625	ZA 2003-4472		20030609 <--
ZA 2003004474	A	20040625	ZA 2003-4474		20030609 <--
NO 2003002704	A	20030821	NO 2003-2704		20030613 <--
MX 2003005609	A	20031006	MX 2003-5609		20030620 <--
MX 2003005610	A	20031006	MX 2003-5610		20030620 <--
US 20040224944	A1	20041111	US 2003-624800		20030722 <--
US 7008948	B2	20060307			
US 20040116454	A1	20040617	US 2003-692355		20031023 <--
US 7390815	B2	20080624			
US 20040157893	A1	20040812	US 2003-722374		20031125 <--
HK 1057748	A1	20070615	HK 2003-108588		20031125 <--
US 20040132781	A1	20040708	US 2003-736426		20031215 <--
US 7087603	B2	20060808			
US 20040167141	A1	20040826	US 2004-775699		20040210 <--
US 7427681	B2	20080923			
HK 1060347	A1	20061201	HK 2004-101883		20040315 <--
JP 2005097322	A	20050414	JP 2004-366925		20041217 <--
US 20070270444	A1	20071122	US 2006-369220		20060306 <--
AU 2006201228	A1	20060413	AU 2006-201228		20060321 <--
AU 2006201229	A1	20060413	AU 2006-201229		20060321 <--
AU 2006201229	B2	20081120			
AU 2006201230	A1	20060413	AU 2006-201230		20060321 <--
AU 2006201230	B2	20080911			
AU 2006201262	A1	20060427	AU 2006-201262		20060321 <--
AU 2006201262	B2	20080904			
AU 2006201263	A1	20060427	AU 2006-201263		20060321 <--
AU 2006201263	B2	20081030			
AU 2006201264	A1	20060427	AU 2006-201264		20060321 <--
AU 2006201265	A1	20060427	AU 2006-201265		20060321 <--
AU 2006201265	B2	20080904			
US 20060258658	A1	20061116	US 2006-492450		20060725 <--
IN 2007KN02703	A	20080801	IN 2007-KN2703		20070723 <--
JP 2008115195	A	20080522	JP 2008-15681		20080125 <--
JP 2008189682	A	20080821	JP 2008-95581		20080401 <--
JP 2008260767	A	20081030	JP 2008-95584		20080401 <--
JP 2008222719	A	20080925	JP 2008-97620		20080403 <--
JP 2008189687	A	20080821	JP 2008-98506		20080404 <--
US 20080287444	A1	20081120	US 2008-109598		20080425
JP 2008201808	A	20080904	JP 2008-121723		20080507 <--
JP 2008247920	A	20081016	JP 2008-121724		20080507 <--
JP 2008247921	A	20081016	JP 2008-121727		20080507 <--
AU 2008252044	A1	20090122	AU 2008-252044		20081203 <--
PRIORITY APPLN. INFO.:			US 2000-232795P	P	20000915 <--
			US 2000-257887P	P	20001221 <--
			US 2001-286949P	P	20010427 <--
			AU 2001-296871	A3	20010914 <--
			AU 2001-296875	A3	20010914 <--
			AU 2001-90914	A	20010914 <--
			AU 2001-90944	A3	20010914 <--

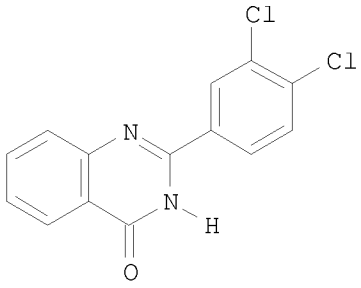
AU 2001-91013	A3	20010914 <--
AU 2001-94558	A3	20010914 <--
AU 2001-96871	T0	20010914 <--
AU 2001-96875	T0	20010914 <--
EP 2001-971082	A3	20010914 <--
JP 2002-526860	A3	20010914 <--
US 2001-952671	A3	20010914 <--
US 2001-953471	A3	20010914 <--
US 2001-955601	A3	20010914 <--
WO 2001-US28792	W	20010914 <--
AU 2002-234047	A3	20011219 <--
AU 2002-34047	T0	20011219 <--
EP 2001-273861	A	20011219 <--
EP 2001-994323	A3	20011219 <--
JP 2002-551561	A3	20011219 <--
JP 2002-557938	A3	20011219 <--
JP 2002-559413	A3	20011219 <--
JP 2002-563142	A3	20011219 <--
JP 2002-565976	A3	20011219 <--
JP 2002-567928	A3	20011219 <--
US 2001-26966	A1	20011219 <--
WO 2001-US49139	W	20011219 <--
WO 2001-US50312	W	20011219 <--
JP 2002-551562	A3	20011220 <--
JP 2002-559414	A3	20011220 <--
US 2001-34019	A3	20011220 <--
US 2001-34683	A1	20011220 <--
IN 2003-KN795	A3	20030619
US 2003-624800	A3	20030722
US 2004-775699	A1	20040210
AU 2006-201396	A3	20060404

OTHER SOURCE(S): MARPAT 136:247606

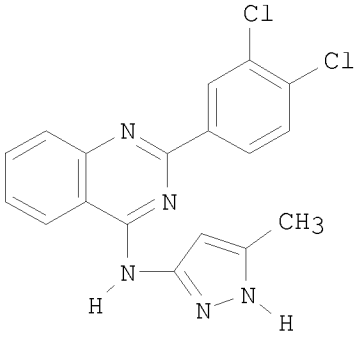
GI



I



II



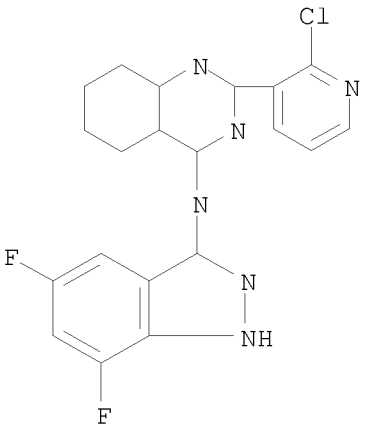
III

AB The preparation of title compds. I and their pharmaceutically acceptable salts or prodrugs is described [wherein: R1, R2 = dependently form (un)substituted fused, unsatd. or partially unsatd., 5-8 membered carbocyclo ring; R3, R4 = independently H, aliphatic, aryl, heteroaryl, heterocyclyl, or wide variety of functionalized sidechains; or dependently form a fused, 5-8 membered, unsatd. or partially unsatd. ring having 0-3 ring heteroatoms (N, S, O); R5 = fused, (un)substituted 5-7 membered monocyclic ring or 8-10 membered bicyclic ring (aryl, heteroaryl, heterocyclyl or carbocyclyl, said heteroaryl or heterocyclyl ring having 1-4 ring heteroatoms (N, S, O))]. For example, chlorination of quinazolone II with phosphorus oxychloride, followed by condensation with 3-amino-5-methylpyrazole afforded claimed compound III. Compds. I are inhibitors of GSK-3 and Aurora-2 protein kinases. The invention also relates to methods of treating diseases associated with these protein kinases, such as diabetes, cancer and Alzheimer's disease. In bioassays, compds. I inhibited the following kinases with Kis reported < 100 nM: GSK-3β (163 compds.), AURORA-2 (65 compds.), CDK-2 (no data), ERK2 (8 compds.), AKT (no data), and Human Src kinase (21 compds.). Claims included 146 specific compds., and 188 examples were given. The syntheses of 6 compds. and 46 intermediates are described.

IT 404827-24-3P 404828-10-0P 404828-11-1P
404828-12-2P 404828-37-1P 404828-45-1P
404828-50-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-(4-pyrimidinylamino)pyrazole compds. as protein kinase inhibitors)

RN 404827-24-3 CAPLUS

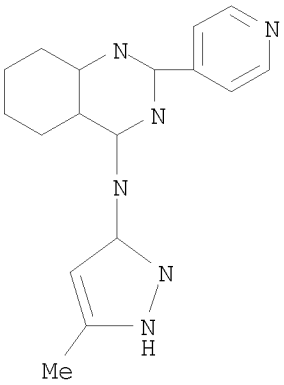
CN 4-Quinazolinamine, 2-(2-chloro-3-pyridinyl)-N-(5,7-difluoro-1H-indazol-3-yl)- (CA INDEX NAME)



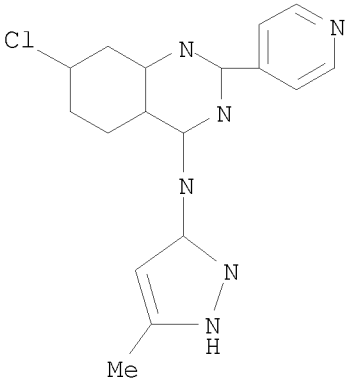
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-10-0 CAPLUS

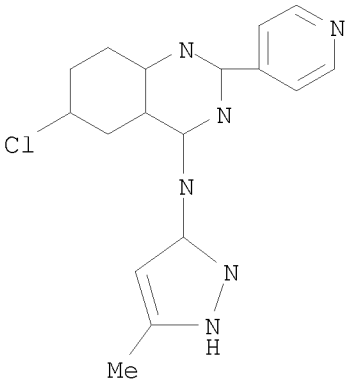
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-11-1 CAPLUS
CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-
(CA INDEX NAME)

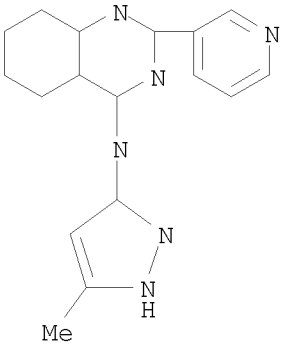


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-12-2 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-
(CA INDEX NAME)

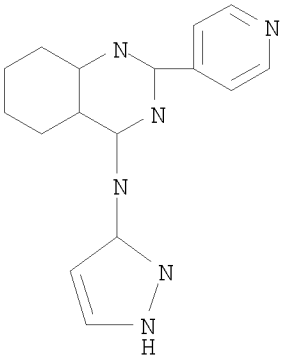


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

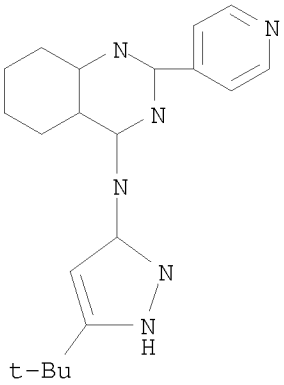
RN 404828-37-1 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-45-1 CAPLUS
CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-50-8 CAPLUS
CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 16 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:220579 CAPLUS
DOCUMENT NUMBER: 136:247580
TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S): Davies, Robert; Li, Pan; Golec, Julian; Bebbington, David
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 406 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022603	A1	20020321	WO 2001-US28738	20010914 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422367	A1	20020321	CA 2001-2422367	20010914 <--
AU 2001090912	A	20020326	AU 2001-90912	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--
US 7473691	B2	20090106		
US 20030073687	A1	20030417	US 2001-952671	20010914 <--
US 6660731	B2	20031209		
US 20030078166	A1	20030424	US 2001-955601	20010914 <--
US 6696452	B2	20040224		
US 20030083327	A1	20030501	US 2001-952833	20010914 <--
US 6610677	B2	20030826		
EP 1317447	A1	20030611	EP 2001-970969	20010914 <--
EP 1317447	B1	20060517		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001701	A	20040301	ZA 2003-1701	20010914 <--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914 <--
US 20040097501	A1	20040520	US 2001-953471	20010914 <--
US 7115739	B2	20061003		
JP 2004525075	T	20040819	JP 2002-526856	20010914 <--
US 20050004110	A1	20050106	US 2001-952878	20010914 <--
US 7098330	B2	20060829		
ES 2242771	T3	20051116	ES 2001-971006	20010914 <--
AT 326458	T	20060615	AT 2001-970969	20010914 <--
AT 327990	T	20060615	AT 2001-970971	20010914 <--
AT 327992	T	20060615	AT 2001-971082	20010914 <--
AT 327991	T	20060615	AT 2001-973050	20010914 <--
AT 326459	T	20060615	AT 2001-977779	20010914 <--

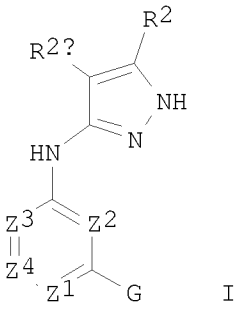
EP 1698627 A1 20060906 EP 2006-10798 20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PT 1318997 T 20061031 PT 2001-971082 20010914 <--
AT 346064 T 20061215 AT 2001-975210 20010914 <--
ES 2266258 T3 20070301 ES 2001-970971 20010914 <--
ES 2266259 T3 20070301 ES 2001-971082 20010914 <--
AT 363284 T 20070615 AT 2001-977783 20010914 <--
NZ 545284 A 20070629 NZ 1984-5452 20010914 <--
CN 100355750 C 20071219 CN 2001-817427 20010914 <--
CA 2432303 A1 20020829 CA 2001-2432303 20011219 <--
AU 2002255452 A1 20020904 AU 2002-255452 20011219 <--
AU 2002255452 B2 20060608
CA 2432223 A1 20020906 CA 2001-2432223 20011219 <--
CA 2432223 C 20080520
AU 2001297619 A1 20020912 AU 2001-297619 20011219 <--
AU 2001297619 B2 20060608
EP 1345922 A1 20030924 EP 2001-271061 20011219 <--
EP 1345922 B1 20060531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 1355905 A1 20031029 EP 2001-273861 20011219 <--
EP 1355905 B1 20070221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
NZ 526472 A 20040430 NZ 2001-526472 20011219 <--
JP 2004518743 T 20040624 JP 2002-565976 20011219 <--
JP 2004519479 T 20040702 JP 2002-567928 20011219 <--
HU 2004000842 A2 20040728 HU 2004-842 20011219 <--
NZ 526473 A 20050624 NZ 2001-526473 20011219 <--
EP 1702920 A1 20060920 EP 2006-11799 20011219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
ZA 2003001697 A 20040301 ZA 2003-1697 20030228 <--
ZA 2003001699 A 20040301 ZA 2003-1699 20030228 <--
ZA 2003001700 A 20040301 ZA 2003-1700 20030228 <--
ZA 2003001702 A 20040301 ZA 2003-1702 20030228 <--
ZA 2003001704 A 20040301 ZA 2003-1704 20030228 <--
ZA 2003001698 A 20040302 ZA 2003-1698 20030228 <--
MX 2003002295 A 20030606 MX 2003-2295 20030317 <--
ZA 2003004469 A 20040624 ZA 2003-4469 20030609 <--
ZA 2003004470 A 20040624 ZA 2003-4470 20030609 <--
ZA 2003004471 A 20040624 ZA 2003-4471 20030609 <--
ZA 2003004473 A 20040624 ZA 2003-4473 20030609 <--
ZA 2003004475 A 20040624 ZA 2003-4475 20030609 <--
ZA 2003004472 A 20040625 ZA 2003-4472 20030609 <--
ZA 2003004474 A 20040625 ZA 2003-4474 20030609 <--
NO 2003002704 A 20030821 NO 2003-2704 20030613 <--
MX 2003005609 A 20031006 MX 2003-5609 20030620 <--
MX 2003005610 A 20031006 MX 2003-5610 20030620 <--
US 20040224944 A1 20041111 US 2003-624800 20030722 <--
US 7008948 B2 20060307
US 20040116454 A1 20040617 US 2003-692355 20031023 <--
US 7390815 B2 20080624
HK 1057747 A1 20061201 HK 2003-108474 20031120 <--
US 20040157893 A1 20040812 US 2003-722374 20031125 <--
US 20040132781 A1 20040708 US 2003-736426 20031215 <--
US 7087603 B2 20060808
US 20040167141 A1 20040826 US 2004-775699 20040210 <--
US 7427681 B2 20080923
HK 1060347 A1 20061201 HK 2004-101883 20040315 <--
JP 2005097322 A 20050414 JP 2004-366925 20041217 <--

US	20070270444	A1	20071122	US 2006-369220		20060306 <--
AU	2006201228	A1	20060413	AU 2006-201228		20060321 <--
AU	2006201229	A1	20060413	AU 2006-201229		20060321 <--
AU	2006201229	B2	20081120			
AU	2006201230	A1	20060413	AU 2006-201230		20060321 <--
AU	2006201230	B2	20080911			
AU	2006201262	A1	20060427	AU 2006-201262		20060321 <--
AU	2006201262	B2	20080904			
AU	2006201263	A1	20060427	AU 2006-201263		20060321 <--
AU	2006201263	B2	20081030			
AU	2006201264	A1	20060427	AU 2006-201264		20060321 <--
AU	2006201265	A1	20060427	AU 2006-201265		20060321 <--
AU	2006201265	B2	20080904			
AU	2006201391	A1	20060427	AU 2006-201391		20060404 <--
AU	2006201391	B2	20081113			
US	20060258658	A1	20061116	US 2006-492450		20060725 <--
IN	2007KN02703	A	20080801	IN 2007-KN2703		20070723 <--
JP	2008115195	A	20080522	JP 2008-15681		20080125 <--
JP	2008189682	A	20080821	JP 2008-95581		20080401 <--
JP	2008260767	A	20081030	JP 2008-95584		20080401 <--
JP	2008222719	A	20080925	JP 2008-97620		20080403 <--
JP	2008189687	A	20080821	JP 2008-98506		20080404 <--
US	20080287444	A1	20081120	US 2008-109598		20080425
JP	2008201808	A	20080904	JP 2008-121723		20080507 <--
JP	2008247920	A	20081016	JP 2008-121724		20080507 <--
JP	2008247921	A	20081016	JP 2008-121727		20080507 <--
AU	2008252044	A1	20090122	AU 2008-252044		20081203 <--
PRIORITY APPLN. INFO.:				US 2000-232795P	P	20000915 <--
				US 2000-257887P	P	20001221 <--
				US 2001-286949P	P	20010427 <--
				AU 2001-296871	A3	20010914 <--
				AU 2001-296875	A3	20010914 <--
				AU 2001-90912	A3	20010914 <--
				AU 2001-90914	A	20010914 <--
				AU 2001-90944	A3	20010914 <--
				AU 2001-91013	A3	20010914 <--
				AU 2001-94558	A3	20010914 <--
				AU 2001-96871	T0	20010914 <--
				AU 2001-96875	T0	20010914 <--
				EP 2001-971082	A3	20010914 <--
				JP 2002-526860	A3	20010914 <--
				US 2001-952671	A3	20010914 <--
				US 2001-953471	A3	20010914 <--
				US 2001-955601	A3	20010914 <--
				WO 2001-US28738	W	20010914 <--
				AU 2002-234047	A3	20011219 <--
				AU 2002-34047	T0	20011219 <--
				EP 2001-273861	A	20011219 <--
				EP 2001-994323	A3	20011219 <--
				JP 2002-551561	A3	20011219 <--
				JP 2002-557938	A3	20011219 <--
				JP 2002-559413	A3	20011219 <--
				JP 2002-563142	A3	20011219 <--
				JP 2002-565976	A3	20011219 <--
				JP 2002-567928	A3	20011219 <--
				US 2001-26966	A1	20011219 <--
				WO 2001-US49139	W	20011219 <--
				WO 2001-US50312	W	20011219 <--
				JP 2002-551562	A3	20011220 <--
				JP 2002-559414	A3	20011220 <--
				US 2001-34019	A3	20011220 <--
				US 2001-34683	A1	20011220 <--

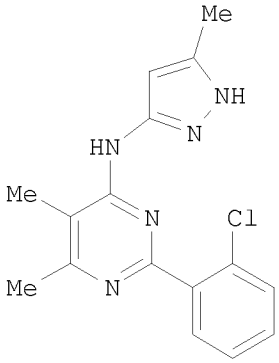
IN 2003-KN795	A3	20030619
US 2003-624800	A3	20030722
US 2004-775699	A1	20040210
AU 2006-201396	A3	20060404

OTHER SOURCE(S): MARPAT 136:247580

GI



I



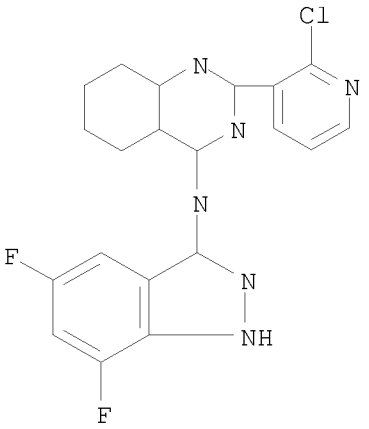
II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (triazinyl)pyrazolamines and indazolamines I [wherein Z1, Z2, and Z3 = N; Z4 = CRy]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT 404827-24-3P, [2-(2-Chloropyridin-3-yl)quinazolin-4-yl](5,7-Difluoro-1H-indazol-3-yl)amine 404828-10-0P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine 404828-11-1P, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-12-2P, (6-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-37-1P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-3-ylquinazolin-

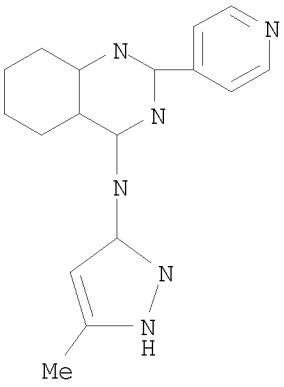
4-yl)amine 404828-45-1P,
(2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine 404828-50-8P
, (5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and
analogs as protein kinase inhibitors for treatment of cancer, diabetes,
and Alzheimer's disease)

RN 404827-24-3 CAPLUS
CN 4-Quinazolinamine, 2-(2-chloro-3-pyridinyl)-N-(5,7-difluoro-1H-indazol-3-yl)- (CA INDEX NAME)



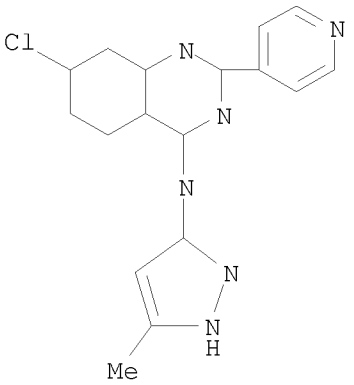
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-10-0 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)

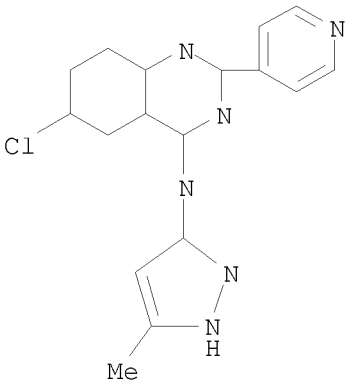


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

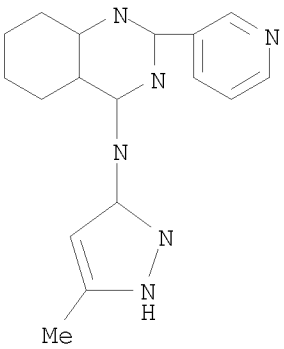
RN 404828-11-1 CAPLUS
CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



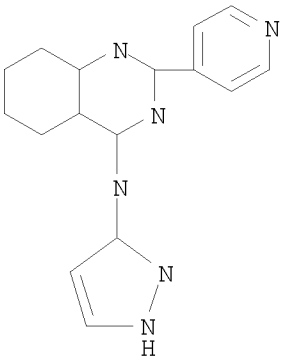
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-12-2 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



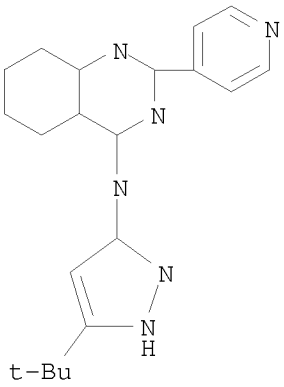
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-37-1 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-45-1 CAPLUS
CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-50-8 CAPLUS
CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:220578 CAPLUS
DOCUMENT NUMBER: 136:263164
TITLE: Preparation of triazolamines as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S): Bebbington, David; Knegtel, Ronald; Binch, Haley; Golec, Julian M. C.; Li, Pan; Charrier, Jean-Damien
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 377 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022602	A2	20020321	WO 2001-US42162	20010914 <--

```
WO 2002022602         A3    20020627
    W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
        CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
        GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
        LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
        PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
        US, UZ, VN, YU, ZA, ZW
    RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
        DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
        BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA 2422299            A1    20020321    CA 2001-2422299         20010914 <--
AU 2001096875         A     20020326    AU 2001-96875           20010914 <--
US 20030055044        A1    20030320    US 2001-953505          20010914 <--
US 6638926            B2    20031028
US 20030064981        A1    20030403    US 2001-952836          20010914 <--
US 6613776            B2    20030902
US 20030064982        A1    20030403    US 2001-952875          20010914 <--
US 7473691            B2    20090106
US 20030073687        A1    20030417    US 2001-952671          20010914 <--
US 6660731            B2    20031209
US 20030078166        A1    20030424    US 2001-955601          20010914 <--
US 6696452            B2    20040224
US 20030083327        A1    20030501    US 2001-952833          20010914 <--
US 6610677            B2    20030826
EP 1318814            A2    20030618    EP 2001-977783          20010914 <--
EP 1318814            B1    20070530
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
ZA 2003001701         A     20040301    ZA 2003-1701            20010914 <--
ZA 2003001703         A     20040302    ZA 2003-1703            20010914 <--
JP 2004509114         T     20040325    JP 2002-526855          20010914 <--
JP 4105947            B2    20080625
US 20040097501        A1    20040520    US 2001-953471          20010914 <--
US 7115739            B2    20061003
US 20050004110        A1    20050106    US 2001-952878          20010914 <--
US 7098330            B2    20060829
ES 2242771            T3    20051116    ES 2001-971006          20010914 <--
AT 326458             T     20060615    AT 2001-970969          20010914 <--
AT 327990             T     20060615    AT 2001-970971          20010914 <--
AT 327992             T     20060615    AT 2001-971082          20010914 <--
AT 327991             T     20060615    AT 2001-973050          20010914 <--
AT 326459             T     20060615    AT 2001-977779          20010914 <--
EP 1698627            A1    20060906    EP 2006-10798           20010914 <--
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PT 1318997            T     20061031    PT 2001-971082          20010914 <--
AT 346064             T     20061215    AT 2001-975210          20010914 <--
ES 2266258            T3    20070301    ES 2001-970971          20010914 <--
ES 2266259            T3    20070301    ES 2001-971082          20010914 <--
AT 363284             T     20070615    AT 2001-977783          20010914 <--
NZ 545284             A     20070629    NZ 1984-5452            20010914 <--
CN 100355750          C     20071219    CN 2001-817427          20010914 <--
CA 2432303            A1    20020829    CA 2001-2432303         20011219 <--
AU 2002255452         A1    20020904    AU 2002-255452          20011219 <--
AU 2002255452         B2    20060608
CA 2432223            A1    20020906    CA 2001-2432223         20011219 <--
CA 2432223            C     20080520
AU 2001297619         A1    20020912    AU 2001-297619          20011219 <--
AU 2001297619         B2    20060608
EP 1345922            A1    20030924    EP 2001-271061          20011219 <--
EP 1345922            B1    20060531
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
```

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

EP 1355905	A1	20031029	EP 2001-273861	20011219	<--
EP 1355905	B1	20070221			

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

NZ 526472	A	20040430	NZ 2001-526472	20011219	<--
JP 2004518743	T	20040624	JP 2002-565976	20011219	<--
JP 2004519479	T	20040702	JP 2002-567928	20011219	<--
HU 2004000842	A2	20040728	HU 2004-842	20011219	<--
NZ 526473	A	20050624	NZ 2001-526473	20011219	<--
EP 1702920	A1	20060920	EP 2006-11799	20011219	<--

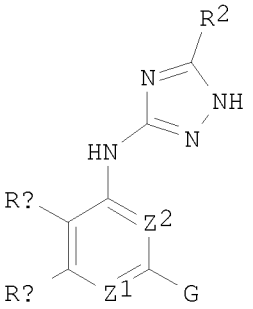
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

ZA 2003001697	A	20040301	ZA 2003-1697	20030228	<--
ZA 2003001699	A	20040301	ZA 2003-1699	20030228	<--
ZA 2003001700	A	20040301	ZA 2003-1700	20030228	<--
ZA 2003001702	A	20040301	ZA 2003-1702	20030228	<--
ZA 2003001704	A	20040301	ZA 2003-1704	20030228	<--
ZA 2003001698	A	20040302	ZA 2003-1698	20030228	<--
MX 2003002297	A	20030606	MX 2003-2297	20030317	<--
ZA 2003004469	A	20040624	ZA 2003-4469	20030609	<--
ZA 2003004470	A	20040624	ZA 2003-4470	20030609	<--
ZA 2003004471	A	20040624	ZA 2003-4471	20030609	<--
ZA 2003004473	A	20040624	ZA 2003-4473	20030609	<--
ZA 2003004475	A	20040624	ZA 2003-4475	20030609	<--
ZA 2003004472	A	20040625	ZA 2003-4472	20030609	<--
ZA 2003004474	A	20040625	ZA 2003-4474	20030609	<--
NO 2003002704	A	20030821	NO 2003-2704	20030613	<--
MX 2003005609	A	20031006	MX 2003-5609	20030620	<--
MX 2003005610	A	20031006	MX 2003-5610	20030620	<--
US 20040224944	A1	20041111	US 2003-624800	20030722	<--
US 7008948	B2	20060307			
US 20040116454	A1	20040617	US 2003-692355	20031023	<--
US 7390815	B2	20080624			
US 20040157893	A1	20040812	US 2003-722374	20031125	<--
HK 1057702	A1	20071102	HK 2003-108682	20031127	<--
US 20040132781	A1	20040708	US 2003-736426	20031215	<--
US 7087603	B2	20060808			
US 20040167141	A1	20040826	US 2004-775699	20040210	<--
US 7427681	B2	20080923			
HK 1060347	A1	20061201	HK 2004-101883	20040315	<--
JP 2005097322	A	20050414	JP 2004-366925	20041217	<--
US 20070270444	A1	20071122	US 2006-369220	20060306	<--
AU 2006201228	A1	20060413	AU 2006-201228	20060321	<--
AU 2006201229	A1	20060413	AU 2006-201229	20060321	<--
AU 2006201229	B2	20081120			
AU 2006201230	A1	20060413	AU 2006-201230	20060321	<--
AU 2006201230	B2	20080911			
AU 2006201262	A1	20060427	AU 2006-201262	20060321	<--
AU 2006201262	B2	20080904			
AU 2006201263	A1	20060427	AU 2006-201263	20060321	<--
AU 2006201263	B2	20081030			
AU 2006201264	A1	20060427	AU 2006-201264	20060321	<--
AU 2006201265	A1	20060427	AU 2006-201265	20060321	<--
AU 2006201265	B2	20080904			
US 20060258658	A1	20061116	US 2006-492450	20060725	<--
IN 2007KN02703	A	20080801	IN 2007-KN2703	20070723	<--
JP 2008115195	A	20080522	JP 2008-15681	20080125	<--
JP 2008189682	A	20080821	JP 2008-95581	20080401	<--
JP 2008260767	A	20081030	JP 2008-95584	20080401	<--
JP 2008222719	A	20080925	JP 2008-97620	20080403	<--
JP 2008189687	A	20080821	JP 2008-98506	20080404	<--

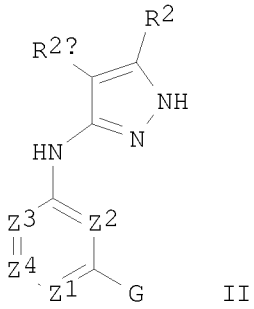
US 20080287444	A1	20081120	US 2008-109598		20080425	
JP 2008201808	A	20080904	JP 2008-121723		20080507	<--
JP 2008247920	A	20081016	JP 2008-121724		20080507	<--
JP 2008247921	A	20081016	JP 2008-121727		20080507	<--
AU 2008252044	A1	20090122	AU 2008-252044		20081203	<--
PRIORITY APPLN. INFO.:			US 2000-232795P	P	20000915	<--
			US 2000-257887P	P	20001221	<--
			US 2001-286949P	P	20010427	<--
			AU 2001-296871	A3	20010914	<--
			AU 2001-296875	A3	20010914	<--
			AU 2001-90914	A	20010914	<--
			AU 2001-90944	A3	20010914	<--
			AU 2001-91013	A3	20010914	<--
			AU 2001-94558	A3	20010914	<--
			AU 2001-96871	T0	20010914	<--
			AU 2001-96875	T0	20010914	<--
			EP 2001-971082	A3	20010914	<--
			JP 2002-526860	A3	20010914	<--
			US 2001-952671	A3	20010914	<--
			US 2001-953471	A3	20010914	<--
			US 2001-955601	A3	20010914	<--
			WO 2001-US42162	W	20010914	<--
			AU 2002-234047	A3	20011219	<--
			AU 2002-34047	T0	20011219	<--
			EP 2001-273861	A	20011219	<--
			EP 2001-994323	A3	20011219	<--
			JP 2002-551561	A3	20011219	<--
			JP 2002-557938	A3	20011219	<--
			JP 2002-559413	A3	20011219	<--
			JP 2002-563142	A3	20011219	<--
			JP 2002-565976	A3	20011219	<--
			JP 2002-567928	A3	20011219	<--
			US 2001-26966	A1	20011219	<--
			WO 2001-US49139	W	20011219	<--
			WO 2001-US50312	W	20011219	<--
			JP 2002-551562	A3	20011220	<--
			JP 2002-559414	A3	20011220	<--
			US 2001-34019	A3	20011220	<--
			US 2001-34683	A1	20011220	<--
			IN 2003-KN795	A3	20030619	
			US 2003-624800	A3	20030722	
			US 2004-775699	A1	20040210	
			AU 2006-201396	A3	20060404	

OTHER SOURCE(S): MARPAT 136:263164

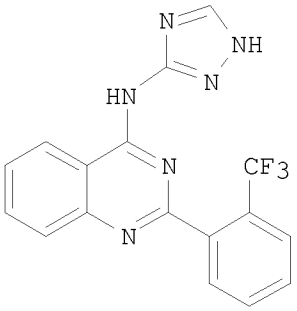
GI



I



II



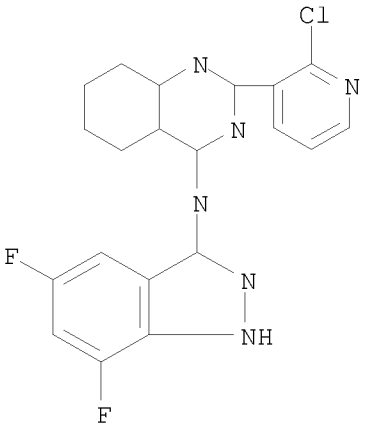
III

AB Triazolamines I and pyrazolamines II [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (heterocyclyl)triazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; R9 is defined above]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-quinazolinyl)-1H-1,2,4-triazol-3-amine III was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 1.0-20 μM for Aurora-2.

IT 404827-24-3P, [2-(2-Chloropyridin-3-yl)quinazolin-4-yl](5,7-Difluoro-1H-indazol-3-yl)amine 404828-10-0P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine 404828-11-1P, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-12-2P, (6-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-37-1P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-3-ylquinazolin-

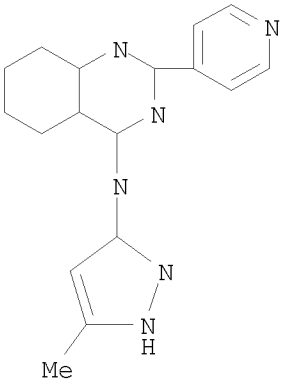
4-yl)amine 404828-45-1P,
(2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine 404828-50-8P
, (5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine
404889-58-3P 404891-18-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(protein kinase inhibitor; preparation of triazolamines, pyrazolamines, and
analogs as protein kinase inhibitors for treatment of cancer, diabetes,
and Alzheimer's disease)

RN 404827-24-3 CAPLUS
CN 4-Quinazolinamine, 2-(2-chloro-3-pyridinyl)-N-(5,7-difluoro-1H-indazol-3-yl)- (CA INDEX NAME)



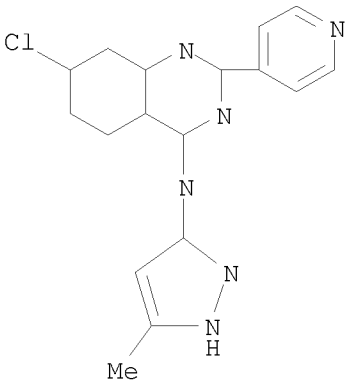
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-10-0 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)

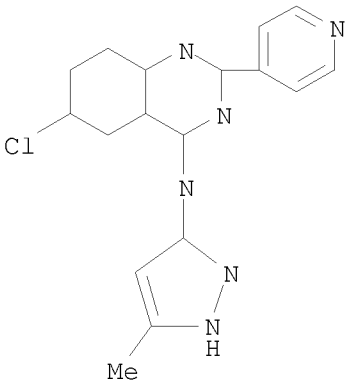


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

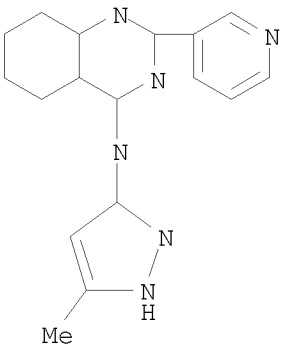
RN 404828-11-1 CAPLUS
CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



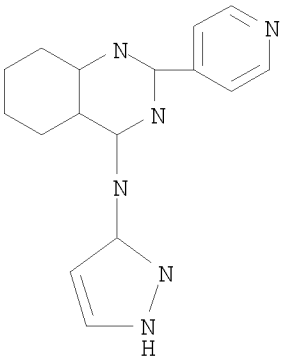
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-12-2 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



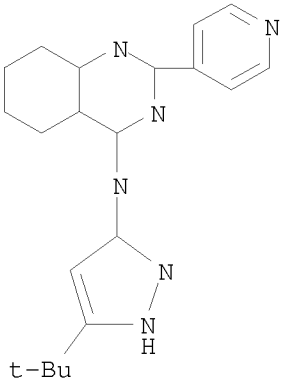
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-37-1 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (CA INDEX NAME)



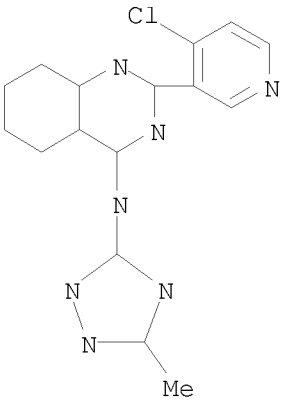
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-45-1 CAPLUS
CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-50-8 CAPLUS
CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (CA INDEX NAME)

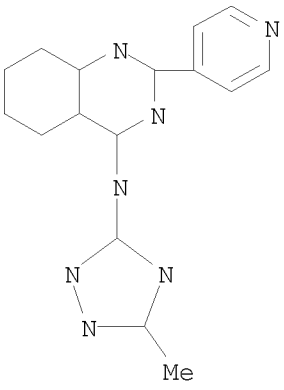


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404889-58-3 CAPLUS
CN 4-Quinazolinamine, 2-(4-chloro-3-pyridinyl)-N-(3-methyl-1H-1,2,4-triazol-5-yl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404891-18-5 CAPLUS
CN 4-Quinazolinamine, N-(3-methyl-1H-1,2,4-triazol-5-yl)-2-(4-pyridinyl)-
(CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:220577 CAPLUS
DOCUMENT NUMBER: 136:247579
TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S): Knegtel, Ronald; Bebbington, David; Binch, Hayley; Golec, Julian; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Forster, Cornelia; Pierce, Albert
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 376 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022601	A1	20020321	WO 2001-US28740	20010914 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422354	A1	20020321	CA 2001-2422354	20010914 <--
AU 2001090914	A	20020326	AU 2001-90914	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--

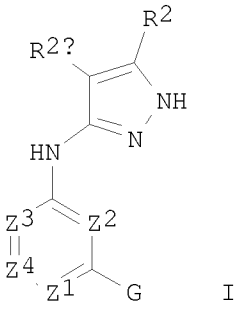
```
US 7473691           B2    20090106
US 20030073687       A1    20030417    US 2001-952671         20010914 <--
US 6660731           B2    20031209
US 20030078166       A1    20030424    US 2001-955601         20010914 <--
US 6696452           B2    20040224
US 20030083327       A1    20030501    US 2001-952833         20010914 <--
US 6610677           B2    20030826
EP 1317444           A1    20030611    EP 2001-970971         20010914 <--
EP 1317444           B1    20060531
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
ZA 2003001701        A     20040301    ZA 2003-1701           20010914 <--
ZA 2003001703        A     20040302    ZA 2003-1703           20010914 <--
JP 2004509113        T     20040325    JP 2002-526854         20010914 <--
JP 4111824           B2    20080702
US 20040097501       A1    20040520    US 2001-953471         20010914 <--
US 7115739           B2    20061003
US 20050004110       A1    20050106    US 2001-952878         20010914 <--
US 7098330           B2    20060829
ES 2242771           T3    20051116    ES 2001-971006         20010914 <--
AT 326458            T     20060615    AT 2001-970969         20010914 <--
AT 327990            T     20060615    AT 2001-970971         20010914 <--
AT 327992            T     20060615    AT 2001-971082         20010914 <--
AT 327991            T     20060615    AT 2001-973050         20010914 <--
AT 326459            T     20060615    AT 2001-977779         20010914 <--
EP 1698627           A1    20060906    EP 2006-10798          20010914 <--
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PT 1318997           T     20061031    PT 2001-971082         20010914 <--
AT 346064            T     20061215    AT 2001-975210         20010914 <--
ES 2266258           T3    20070301    ES 2001-970971         20010914 <--
ES 2266259           T3    20070301    ES 2001-971082         20010914 <--
AT 363284            T     20070615    AT 2001-977783         20010914 <--
NZ 545284            A     20070629    NZ 1984-5452           20010914 <--
CN 100355750         C     20071219    CN 2001-817427         20010914 <--
CA 2432303           A1    20020829    CA 2001-2432303        20011219 <--
AU 2002255452        A1    20020904    AU 2002-255452         20011219 <--
AU 2002255452        B2    20060608
CA 2432223           A1    20020906    CA 2001-2432223        20011219 <--
CA 2432223           C     20080520
AU 2001297619        A1    20020912    AU 2001-297619         20011219 <--
AU 2001297619        B2    20060608
EP 1345922           A1    20030924    EP 2001-271061         20011219 <--
EP 1345922           B1    20060531
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 1355905           A1    20031029    EP 2001-273861         20011219 <--
EP 1355905           B1    20070221
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
NZ 526472            A     20040430    NZ 2001-526472         20011219 <--
JP 2004518743        T     20040624    JP 2002-565976         20011219 <--
JP 2004519479        T     20040702    JP 2002-567928         20011219 <--
HU 2004000842        A2    20040728    HU 2004-842            20011219 <--
NZ 526473            A     20050624    NZ 2001-526473         20011219 <--
EP 1702920           A1    20060920    EP 2006-11799          20011219 <--
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
ZA 2003001697        A     20040301    ZA 2003-1697           20030228 <--
ZA 2003001699        A     20040301    ZA 2003-1699           20030228 <--
ZA 2003001700        A     20040301    ZA 2003-1700           20030228 <--
ZA 2003001702        A     20040301    ZA 2003-1702           20030228 <--
```

ZA 2003001704	A	20040301	ZA 2003-1704		20030228 <--
ZA 2003001698	A	20040302	ZA 2003-1698		20030228 <--
MX 2003002294	A	20050908	MX 2003-2294		20030317 <--
ZA 2003004469	A	20040624	ZA 2003-4469		20030609 <--
ZA 2003004470	A	20040624	ZA 2003-4470		20030609 <--
ZA 2003004471	A	20040624	ZA 2003-4471		20030609 <--
ZA 2003004473	A	20040624	ZA 2003-4473		20030609 <--
ZA 2003004475	A	20040624	ZA 2003-4475		20030609 <--
ZA 2003004472	A	20040625	ZA 2003-4472		20030609 <--
ZA 2003004474	A	20040625	ZA 2003-4474		20030609 <--
NO 2003002704	A	20030821	NO 2003-2704		20030613 <--
MX 2003005609	A	20031006	MX 2003-5609		20030620 <--
MX 2003005610	A	20031006	MX 2003-5610		20030620 <--
US 20040224944	A1	20041111	US 2003-624800		20030722 <--
US 7008948	B2	20060307			
US 20040116454	A1	20040617	US 2003-692355		20031023 <--
US 7390815	B2	20080624			
HK 1057543	A1	20061215	HK 2003-108309		20031114 <--
US 20040157893	A1	20040812	US 2003-722374		20031125 <--
US 20040132781	A1	20040708	US 2003-736426		20031215 <--
US 7087603	B2	20060808			
US 20040167141	A1	20040826	US 2004-775699		20040210 <--
US 7427681	B2	20080923			
HK 1060347	A1	20061201	HK 2004-101883		20040315 <--
JP 2005097322	A	20050414	JP 2004-366925		20041217 <--
US 20070270444	A1	20071122	US 2006-369220		20060306 <--
AU 2006201228	A1	20060413	AU 2006-201228		20060321 <--
AU 2006201229	A1	20060413	AU 2006-201229		20060321 <--
AU 2006201229	B2	20081120			
AU 2006201230	A1	20060413	AU 2006-201230		20060321 <--
AU 2006201230	B2	20080911			
AU 2006201262	A1	20060427	AU 2006-201262		20060321 <--
AU 2006201262	B2	20080904			
AU 2006201263	A1	20060427	AU 2006-201263		20060321 <--
AU 2006201263	B2	20081030			
AU 2006201264	A1	20060427	AU 2006-201264		20060321 <--
AU 2006201265	A1	20060427	AU 2006-201265		20060321 <--
AU 2006201265	B2	20080904			
AU 2006201396	A1	20060504	AU 2006-201396		20060404 <--
AU 2006201396	B2	20081002			
US 20060258658	A1	20061116	US 2006-492450		20060725 <--
IN 2007KN02703	A	20080801	IN 2007-KN2703		20070723 <--
JP 2008115195	A	20080522	JP 2008-15681		20080125 <--
JP 2008189682	A	20080821	JP 2008-95581		20080401 <--
JP 2008260767	A	20081030	JP 2008-95584		20080401 <--
JP 2008222719	A	20080925	JP 2008-97620		20080403 <--
JP 2008189687	A	20080821	JP 2008-98506		20080404 <--
US 20080287444	A1	20081120	US 2008-109598		20080425
JP 2008201808	A	20080904	JP 2008-121723		20080507 <--
JP 2008247920	A	20081016	JP 2008-121724		20080507 <--
JP 2008247921	A	20081016	JP 2008-121727		20080507 <--
AU 2008252044	A1	20090122	AU 2008-252044		20081203 <--
PRIORITY APPLN. INFO.:			US 2000-232795P	P	20000915 <--
			US 2000-257887P	P	20001221 <--
			US 2001-286949P	P	20010427 <--
			AU 2001-296871	A3	20010914 <--
			AU 2001-296875	A3	20010914 <--
			AU 2001-90914	A3	20010914 <--
			AU 2001-90944	A3	20010914 <--
			AU 2001-91013	A3	20010914 <--
			AU 2001-94558	A3	20010914 <--
			AU 2001-96871	T0	20010914 <--

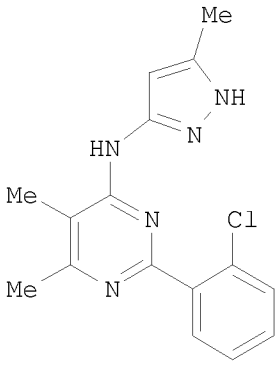
AU 2001-96875	T0	20010914 <--
EP 2001-971082	A3	20010914 <--
JP 2002-526860	A3	20010914 <--
US 2001-952671	A3	20010914 <--
US 2001-953471	A3	20010914 <--
US 2001-955601	A3	20010914 <--
WO 2001-US28740	W	20010914 <--
AU 2002-234047	A3	20011219 <--
AU 2002-34047	T0	20011219 <--
EP 2001-273861	A	20011219 <--
EP 2001-994323	A3	20011219 <--
JP 2002-551561	A3	20011219 <--
JP 2002-557938	A3	20011219 <--
JP 2002-559413	A3	20011219 <--
JP 2002-563142	A3	20011219 <--
JP 2002-565976	A3	20011219 <--
JP 2002-567928	A3	20011219 <--
US 2001-26966	A1	20011219 <--
WO 2001-US49139	W	20011219 <--
WO 2001-US50312	W	20011219 <--
JP 2002-551562	A3	20011220 <--
JP 2002-559414	A3	20011220 <--
US 2001-34019	A3	20011220 <--
US 2001-34683	A1	20011220 <--
IN 2003-KN795	A3	20030619
US 2003-624800	A3	20030722
US 2004-775699	A1	20040210
AU 2006-201396	A3	20060404

OTHER SOURCE(S): MARPAT 136:247579

GI



I



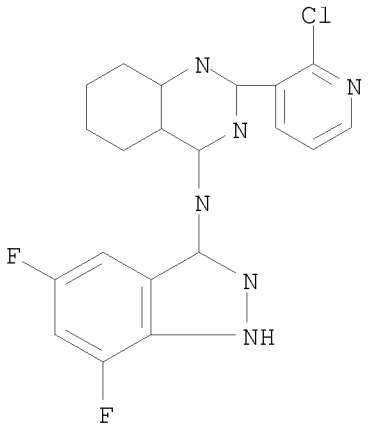
II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR,

CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrimidinyl- and pyridinyl- pyrazolamines and indazolamines I [wherein Z1 = N, CRa, or CH; Z2 = N or CH; and at least one of Z1 or Z2 = N; Z3 = CRx; Z4 = CRy; Ra = halo, OR, COR, CO2R, COCOR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, etc.; R and R4 are defined above]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

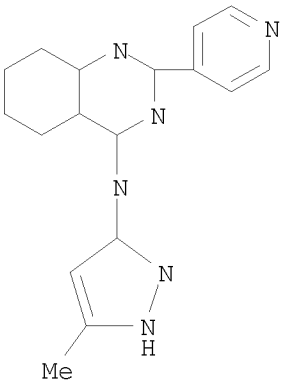
IT 404827-24-3P, [2-(2-Chloropyridin-3-yl)quinazolin-4-yl](5,7-Difluoro-1H-indazol-3-yl)amine 404828-10-0P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine 404828-11-1P, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-12-2P, (6-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-37-1P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-3-ylquinazolin-4-yl)amine 404828-45-1P, (2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine 404828-50-8P, (5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-24-3 CAPLUS
CN 4-Quinazolinamine, 2-(2-chloro-3-pyridinyl)-N-(5,7-difluoro-1H-indazol-3-yl)- (CA INDEX NAME)

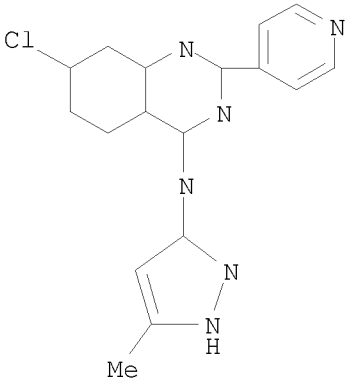


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

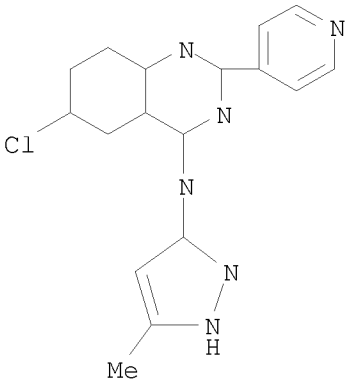
RN 404828-10-0 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-11-1 CAPLUS
CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-
(CA INDEX NAME)

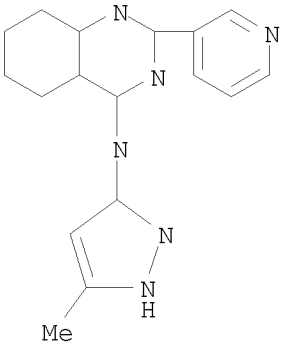


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-12-2 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-
(CA INDEX NAME)

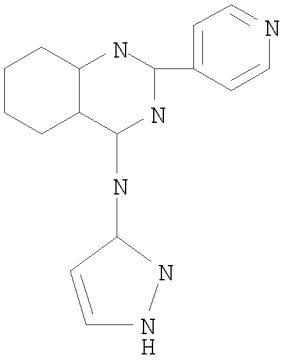


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

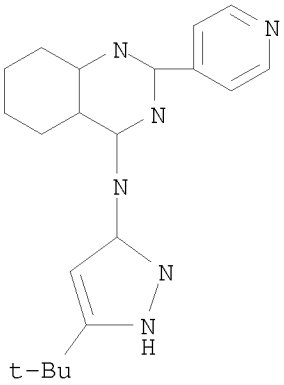
RN 404828-37-1 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-45-1 CAPLUS
CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-50-8 CAPLUS
CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (CA INDEX NAME)



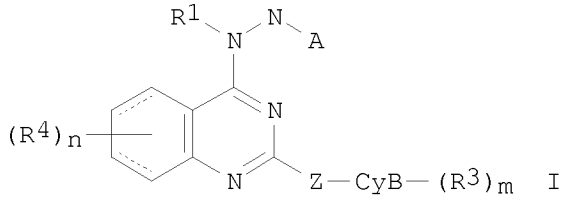
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

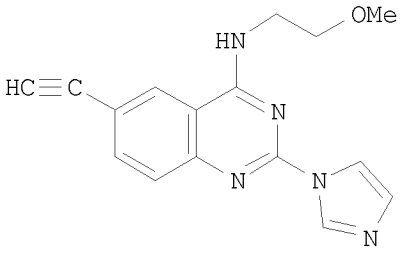
L7 ANSWER 19 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:158388 CAPLUS
DOCUMENT NUMBER: 136:200203
TITLE: Preparation of 4-aminoquinazolines for use in inhibiting neoplastic cells and related conditions
INVENTOR(S): Pamukcu, Rifat; Piazza, Gary
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 23 pp., Cont. of U.S. Ser. No. 60,444, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020025968	A1	20020228	US 2001-952769	20010914 <--
PRIORITY APPLN. INFO.:			US 1998-60444 B1	19980415 <--

OTHER SOURCE(S): MARPAT 136:200203
GI



I



II

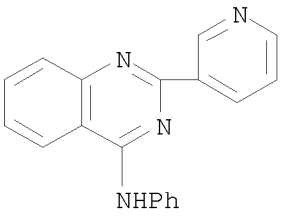
AB Title compds. I [wherein R1 = H or alkyl; Y = alkylene; A = ORa or S(O)pRa; Ra = alkylhydroxy; p = 0-2; Z = single bond, methylene, ethylene, vinylene, or ethynylene; CyB = heterocyclic ring; R3 = H, alkyl, alkoxy, halo, or CF3; R4 = H, alkyl, alkoxy, CO2H, carboxy ester, alkanoylamino, alkylsulfonylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, ethynyl, hydroxymethyl, acetyl, or (un)substituted sulfamoyl, carbamoyl, etc.; m and n = independently 1-2; or pharmaceutically acceptable salts or hydrates thereof] were prepared for inhibiting neoplastic cells and related conditions. For example, amination of 2,4-dichloro-6-(2-triethylsilylethynyl)quinazolin-2,4-dione (preparation given) with 2-methoxyethylamine in CHCl3, followed by addition of imidazole in EtOH and deprotection using NBu4F, afforded II. I are useful in the treatment of precancerous and cancerous lesions, including malignant melanomas,

breast cancer, and colon cancer (no data).

IT 157862-81-2 157862-82-3 157862-83-4
157862-85-6 157862-87-8 157862-88-9
157862-91-4 157862-94-7 157862-96-9
157862-99-2 157863-06-4 157863-12-2
157863-15-5 157863-17-7 157863-19-9
157863-22-4 157863-99-5 1102370-06-8
1102370-08-0 1102370-09-1 1102370-10-4
1102370-11-5 1102370-12-6 1102370-13-7
1102370-14-8 1102370-17-1 1102370-18-2
1102370-19-3 1102370-20-6 1102370-44-4
RL: PRPH (Prophetic)
(Preparation of 4-aminoquinazolines for use in inhibiting neoplastic cells and related conditions)

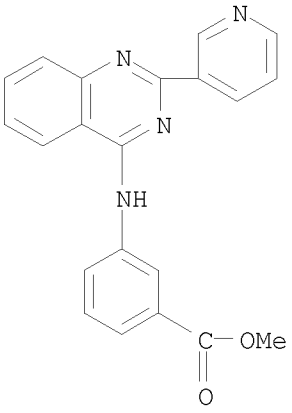
RN 157862-81-2 CAPLUS

CN 4-Quinazolinamine, N-phenyl-2-(3-pyridinyl)- (CA INDEX NAME)



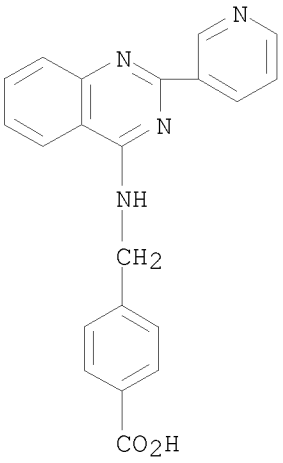
RN 157862-82-3 CAPLUS

CN Benzoic acid, 3-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-, methyl ester (CA INDEX NAME)

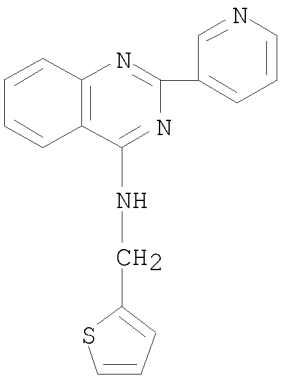


RN 157862-83-4 CAPLUS

CN Benzoic acid, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]- (CA INDEX NAME)

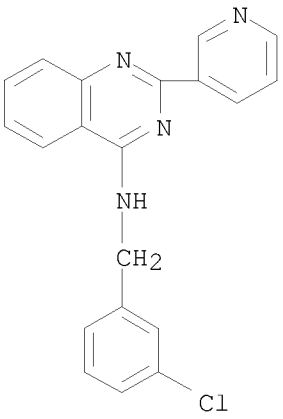


RN 157862-85-6 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(2-thienylmethyl)-, hydrochloride (1:2) (CA INDEX NAME)



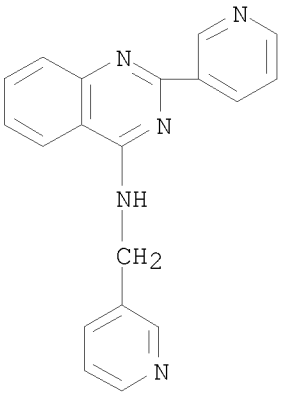
●2 HCl

RN 157862-87-8 CAPLUS
CN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

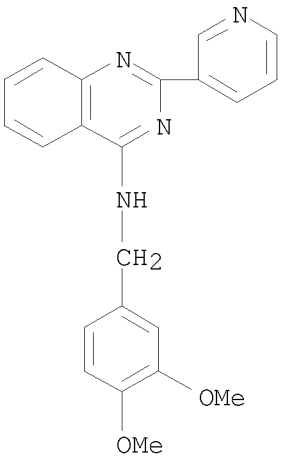


●2 HCl

RN 157862-88-9 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)- (CA INDEX NAME)

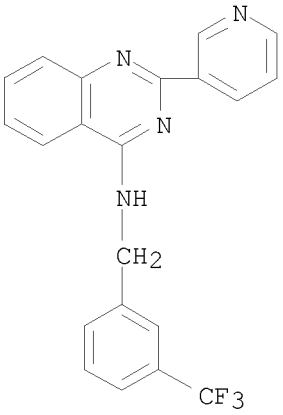


RN 157862-91-4 CAPLUS
CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



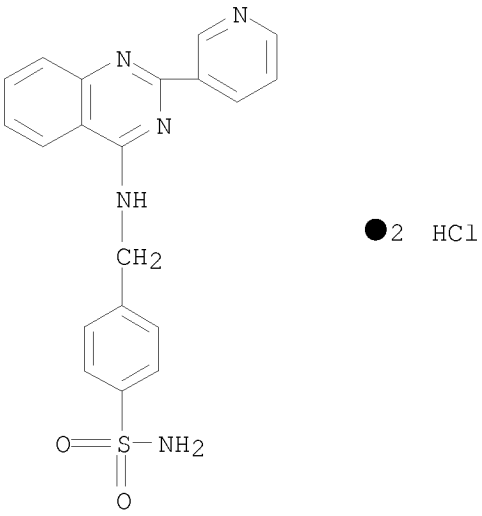
●2 HCl

RN 157862-94-7 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)



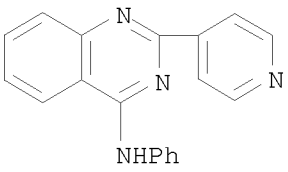
●2 HCl

RN 157862-96-9 CAPLUS
CN Benzenesulfonamide, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

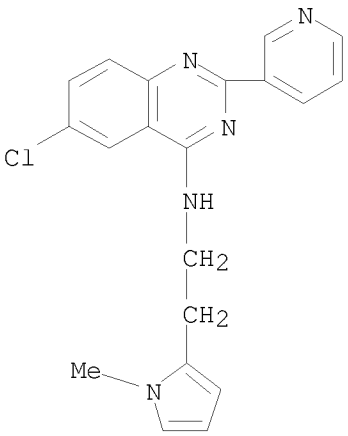


●2 HCl

RN 157862-99-2 CAPLUS
CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)



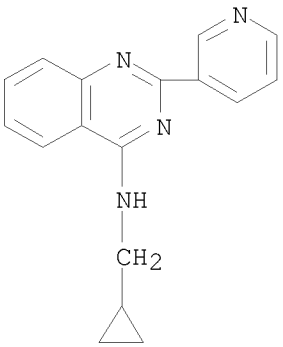
RN 157863-06-4 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

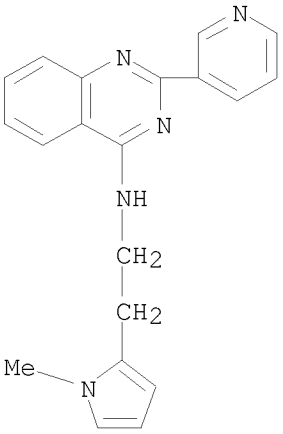
RN 157863-12-2 CAPLUS
CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)-, hydrochloride

(1:2) (CA INDEX NAME)

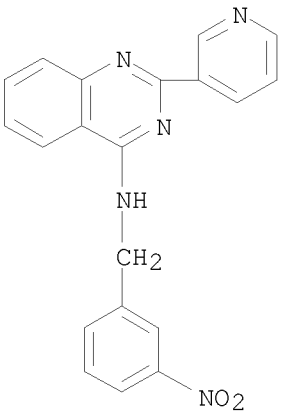


●2 HCl

RN 157863-15-5 CAPLUS
CN 4-Quinazolinamine, N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)-
(CA INDEX NAME)

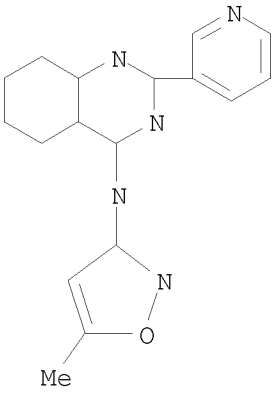


RN 157863-17-7 CAPLUS
CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)-,
hydrochloride (1:2) (CA INDEX NAME)



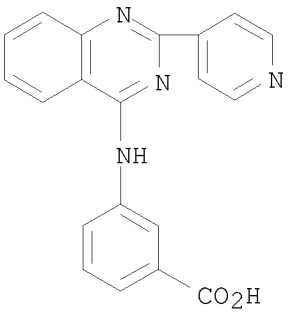
● 2 HCl

RN 157863-19-9 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-3-isoxazolyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

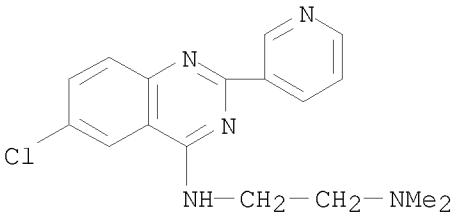


● 2 HCl

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 157863-22-4 CAPLUS
CN Benzoic acid, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)

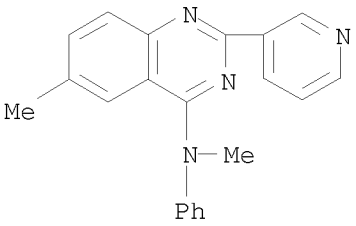


RN 157863-99-5 CAPLUS
CN 1,2-Ethanediamine, N2-[6-chloro-2-(3-pyridinyl)-4-quinazolinyl]-N1,N1-dimethyl-, hydrochloride (1:3) (CA INDEX NAME)

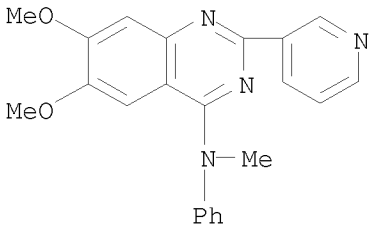


●3 HCl

RN 1102370-06-8 CAPLUS
CN 4-Quinazolinamine, N,6-dimethyl-N-phenyl-2-(3-pyridinyl)- (CA INDEX NAME)

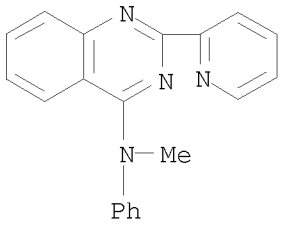


RN 1102370-08-0 CAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-N-methyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



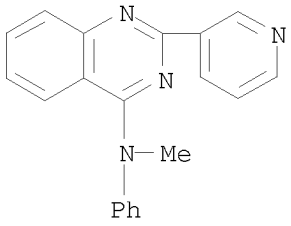
●2 HCl

RN 1102370-09-1 CAPLUS
CN 4-Quinazolinamine, N-methyl-N-phenyl-2-(2-pyridinyl)-, hydrochloride (1:2)
(CA INDEX NAME)



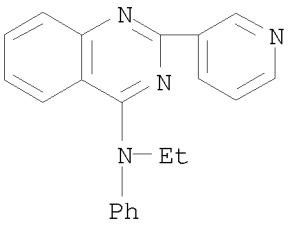
●2 HCl

RN 1102370-10-4 CAPLUS
CN 4-Quinazolinamine, N-methyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2)
(CA INDEX NAME)



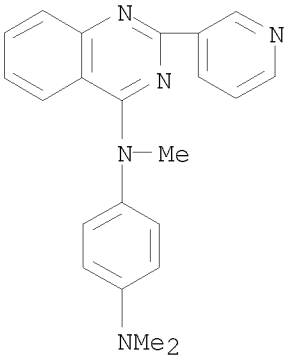
●2 HCl

RN 1102370-11-5 CAPLUS
CN 4-Quinazolinamine, N-ethyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2)
(CA INDEX NAME)



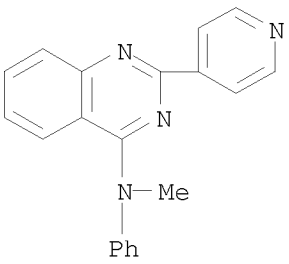
●2 HCl

RN 1102370-12-6 CAPLUS
CN 1,4-Benzenediamine, N1,N1,N4-trimethyl-N4-[2-(3-pyridinyl)-4-quinazolinyl]-, hydrochloride (1:3) (CA INDEX NAME)



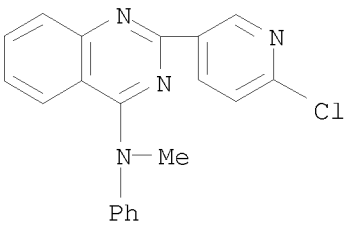
●3 HCl

RN 1102370-13-7 CAPLUS
CN 4-Quinazolinamine, N-methyl-N-phenyl-2-(4-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

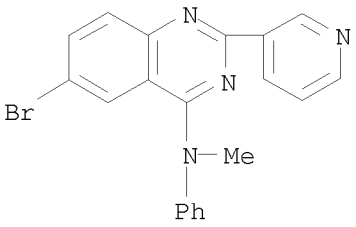


●2 HCl

RN 1102370-14-8 CAPLUS
CN 4-Quinazolinamine, 2-(6-chloro-3-pyridinyl)-N-methyl-N-phenyl- (CA INDEX NAME)

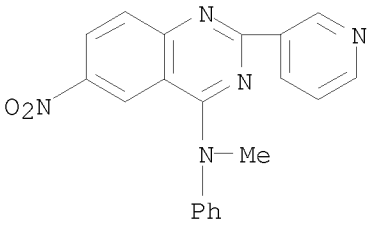


RN 1102370-17-1 CAPLUS
CN 4-Quinazolinamine, 6-bromo-N-methyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



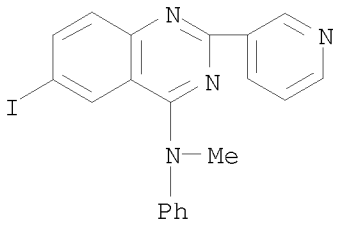
●2 HCl

RN 1102370-18-2 CAPLUS
CN 4-Quinazolinamine, N-methyl-6-nitro-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



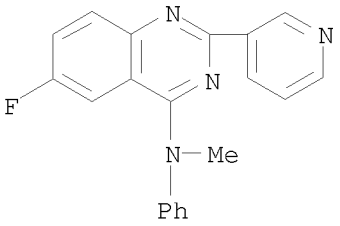
●2 HCl

RN 1102370-19-3 CAPLUS
CN 4-Quinazolinamine, 6-iodo-N-methyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



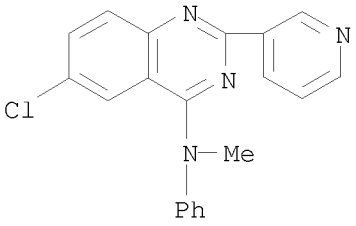
●2 HCl

RN 1102370-20-6 CAPLUS
CN 4-Quinazolinamine, 6-fluoro-N-methyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

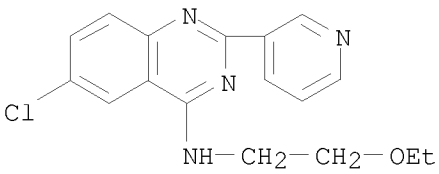
RN 1102370-44-4 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-methyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

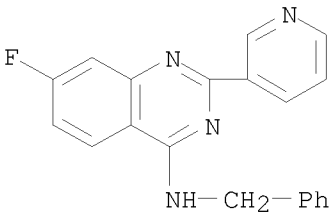
IT 171661-62-4P, 6-Chloro-4-(2-Ethoxyethyl)Amino-2-(3-Pyridyl)Quinazoline
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(antineoplastic agent; preparation of aminoquinazolines for use in inhibiting neoplastic cells and related conditions)
RN 171661-62-4 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(2-ethoxyethyl)-2-(3-pyridinyl)- (CA INDEX

NAME)

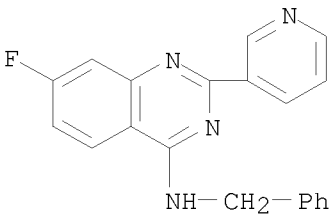


IT 157862-69-6P, 4-Phenylmethylamino-7-Fluoro-2-(3-Pyridyl)Quinazoline 157862-70-9P, 4-Phenylmethylamino-7-Fluoro-2-(3-Pyridyl)Quinazoline Dihydrochloride 157863-23-5P, 6-Acetylamino-4-Phenylmethylamino-2-(3-Pyridyl)Quinazoline 401520-93-2P, 6-Chloro-4-[(2-ethoxyethyl)amino]-2-(3-pyridyl)quinazoline hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antineoplastic agent; preparation of aminoquinazolines for use in inhibiting neoplastic cells and related conditions)

RN 157862-69-6 CAPLUS
CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

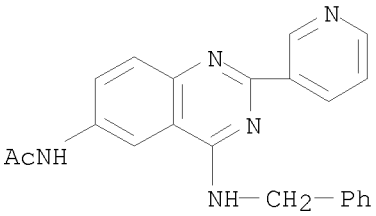


RN 157862-70-9 CAPLUS
CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

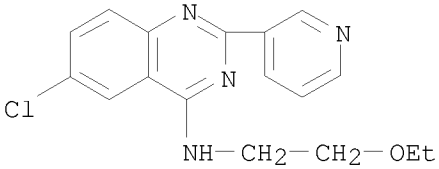


●2 HCl

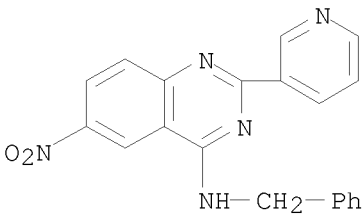
RN 157863-23-5 CAPLUS
CN Acetamide, N-[4-[(phenylmethyl)amino]-2-(3-pyridinyl)-6-quinazolinyl]- (CA INDEX NAME)



RN 401520-93-2 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(2-ethoxyethyl)-2-(3-pyridinyl)-, hydrochloride (1:1) (CA INDEX NAME)



IT 157863-09-7, 4-Phenylmethylamino-6-nitro-2-(3-pyridyl)quinazoline
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of aminoquinazolines for use in inhibiting neoplastic cells and related conditions)
RN 157863-09-7 CAPLUS
CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



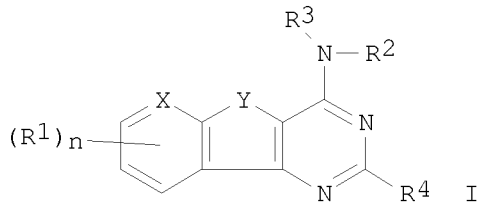
L7 ANSWER 20 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:816643 CAPLUS
DOCUMENT NUMBER: 135:344500
TITLE: Preparation of condensed heteroaryl derivatives as phosphatidylinositol 3-kinase inhibitors and anticancer agents
INVENTOR(S): Hayakawa, Masahiko; Kaizawa, Hiroyuki; Moritomo, Hiroyuki; Kawaguchi, Ken-ichi; Koizumi, Tomonobu; Yamano, Mayumi; Matsuda, Koyo; Okada, Minoru; Ohta, Mitsuaki
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Ludwig Institute for Cancer Research; Imperial Cancer Research Technology Ltd.
SOURCE: PCT Int. Appl., 84 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083456	A1	20011108	WO 2001-JP3650	20010426 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2407593	A1	20011108	CA 2001-2407593	20010426 <--
AU 2001052610	A	20011112	AU 2001-52610	20010426 <--
US 20020151544	A1	20021017	US 2001-843615	20010426 <--
US 6608053	B2	20030819		
EP 1277738	A1	20030122	EP 2001-925981	20010426 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1186324	C	20050126	CN 2001-808654	20010426 <--
JP 3649395	B2	20050518	JP 2001-580885	20010426 <--
CN 1629145	A	20050622	CN 2004-10055760	20010426 <--
CN 100345830	C	20071031		
US 6608056	B1	20030819	US 2002-243416	20020913 <--
KR 774855	B1	20071108	KR 2002-714412	20021025 <--
US 20030236271	A1	20031225	US 2003-459002	20030610 <--
US 6838457	B2	20050104		
US 20040009978	A1	20040115	US 2003-459220	20030610 <--
US 6770641	B2	20040803		
US 20050014771	A1	20050120	US 2004-918094	20040813 <--
US 7037915	B2	20060502		
JP 2005120102	A	20050512	JP 2004-332225	20041116 <--
JP 3810017	B2	20060816		
US 20060058321	A1	20060316	US 2005-250782	20051014 <--
US 7173029	B2	20070206		
US 20070037805	A1	20070215	US 2006-544144	20061006 <--

PRIORITY APPLN. INFO.:	JP 2000-128472	A	20000427 <--
	US 2000-200537P	P	20000427 <--
	US 2000-200481P	P	20000428 <--
	JP 2001-580885	A3	20010426 <--
	US 2001-843615	A3	20010426 <--
	WO 2001-JP3650	W	20010426 <--
	US 2002-243416	A3	20020913 <--
	US 2003-459002	A1	20030610
	US 2004-918094	A1	20040813
	US 2005-250782	A1	20051014

OTHER SOURCE(S): MARPAT 135:344500
GI



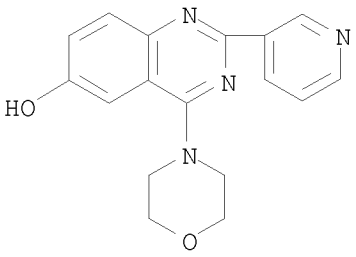
I

AB The title compds, e.g. I [n = 0 - 3; R1 = alkyl, etc.; R2, R3 = H, alkyl, etc; further detail on R2 and R3 is given; R4 = (un)substituted aryl, etc.; X = N, CH; Y = O, S, NH], are prepared Several compds. of this invention in vitro showed IC50 values of ≤ 1 μM against phosphatidylinositol 3-kinase (p110 α subtype). The antitumor activity of compds. of this invention is also demonstrated.

IT 371939-28-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of condensed heteroaryl derivs. as phosphatidylinositol 3-kinase inhibitors and anticancer agents)

RN 371939-28-5 CAPLUS

CN 6-Quinazolinol, 4-(4-morpholinyl)-2-(3-pyridinyl)- (CA INDEX NAME)



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 21 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:441612 CAPLUS

DOCUMENT NUMBER: 133:63991

TITLE: cGMP phosphodiesterase 5 inhibitors for inhalation in the treatment of sexual dysfunction

INVENTOR(S): Naef, Reto

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.

SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000037061	A2	20000629	WO 1999-EP10250	19991221 <--
WO 2000037061	A3	20001026		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN,

IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,
SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2355368	A1	20000629	CA 1999-2355368	19991221	<--
EP 1140044	A2	20011010	EP 1999-964644	19991221	<--
EP 1140044	B1	20060315			

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, CY

JP 2002532542	T	20021002	JP 2000-589172	19991221	<--
AT 320247	T	20060415	AT 1999-964644	19991221	<--
PT 1140044	T	20060731	PT 1999-964644	19991221	<--
ES 2260952	T3	20061101	ES 1999-964644	19991221	<--
US 20010055570	A1	20011227	US 2001-883572	20010618	<--
US 20040214831	A1	20041028	US 2004-851603	20040521	<--
US 20070197560	A1	20070823	US 2006-644659	20061222	<--

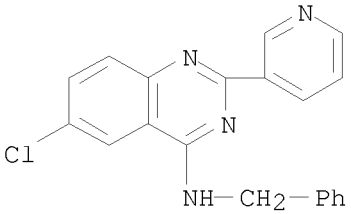
PRIORITY APPLN. INFO.:	GB 1998-28340	A	19981222	<--
	WO 1999-EP10250	W	19991221	<--
	US 2001-883572	A1	20010618	<--

AB Treatment of sexual dysfunction is carried out by inhalation of a cGMP PDE 5 inhibitor, especially, 5-[2-ethoxy-5-(4-methylpiperazinylsulfonyl)phenyl]-1-methyl-3-n-propyl-1,6-dihydro-7H-pyrazolo[4,3-d]pyrimidin-7-one (I), 4-phenylmethylamino-6-chloro-2-(1-imidazolyl)quinazoline, 4-phenylmethylamino-6-chloro-2-(3-pyridyl)quinazoline, 1,3-dimethyl-6-(2-propoxy-5-methanesulfonylamidophenyl)-1,5-dihydropyrazolo[3,4-d]pyrimidin-4-one or 1-cyclopentyl-3-ethyl-6-(3-ethoxy-4-pyridyl)pyrazolo[3,4-d]pyrimidin-4-one. Gelatin capsules suitable for use in a capsule inhaler are prepared, each capsule containing a dry powder consisting of 10 mg I, which had been ground to a mean particle diameter of 1-5 μm, and 10 mg of lactose monohydrate having a particle diameter below 212 μm. These capsules are used in the treatment of erectile dysfunction patients by inserting a capsule into the capsule chamber of an inhaler.

IT 157862-73-2
RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(cGMP phosphodiesterase inhibitors for inhalation in treatment of sexual dysfunction)

RN 157862-73-2 CAPLUS

CN 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 22 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:161275 CAPLUS

DOCUMENT NUMBER: 132:194387

TITLE: Preparation of quinazolines as p38-α kinase and

TGF-β inhibitors

INVENTOR(S): Chakravarty, Sarvajit; Dugar, Sundeep; Perumattam, John J.; Schreiner, George F.; Liu, David Y.; Lewicki, John A.

PATENT ASSIGNEE(S): Scios Inc., USA

SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2

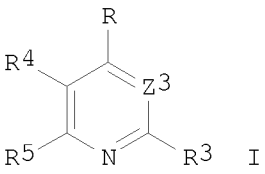
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012497	A2	20000309	WO 1999-US19846	19990827 <--
WO 2000012497	A3	20000629		
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, EE, GE, HU, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6184226	B1	20010206	US 1998-141916	19980828
CA 2342250	A1	20000309	CA 1999-2342250	19990827 <--
AU 9962413	A	20000321	AU 1999-62413	19990827 <--
AU 771947	B2	20040408		
EP 1107959	A2	20010620	EP 1999-949568	19990827 <--
EP 1107959	B1	20061011		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
BR 9913648	A	20020102	BR 1999-13648	19990827 <--
JP 2002523502	T	20020730	JP 2000-567525	19990827 <--
CN 1152867	C	20040609	CN 1999-811659	19990827 <--
AT 342256	T	20061115	AT 1999-949568	19990827 <--
ES 2274642	T3	20070516	ES 1999-949568	19990827 <--
MX 2001002175	A	20030714	MX 2001-2175	20010228 <--
HK 1035897	A1	20070601	HK 2001-106212	20010904 <--

PRIORITY APPLN. INFO.: US 1998-141916 A 19980828 <--
WO 1999-US19846 W 19990827 <--

OTHER SOURCE(S): MARPAT 132:194387

GI

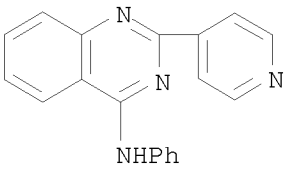


I

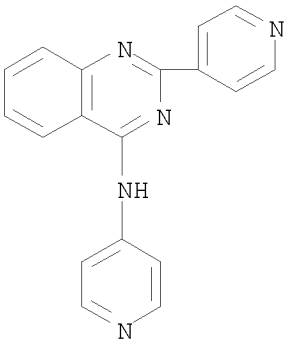
AB Title compds. [I; R = ZR1; R1 = (un)substituted cyclic (hetero)aliphatic group, -(hetero)aryl; R3 = noninterfering substituent (sic); R4R5 = atoms to complete a 6-membered aromatic ring containing 0, 1, or 2 nonadjacent N atoms and noninterfering substituent(s) (sic); z = bond or linker (sic); Z3 = CR2 or N; R2 = noninterfering substituent (sic)] were prepared Thus, prepn of, e.g., 4-(4-pyridinylamino)-2-phenylquinazoline was described. Data for biol. activity of I were given.

IT 157862-99-2 474289-44-6

RL: PRPH (Prophetic)
(Preparation of quinazolines as p38-α kinase and TGF-β inhibitors)
RN 157862-99-2 CAPLUS
CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 474289-44-6 CAPLUS
CN 4-Quinazolinamine, N,2-di-4-pyridinyl- (CA INDEX NAME)

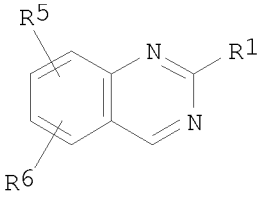


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

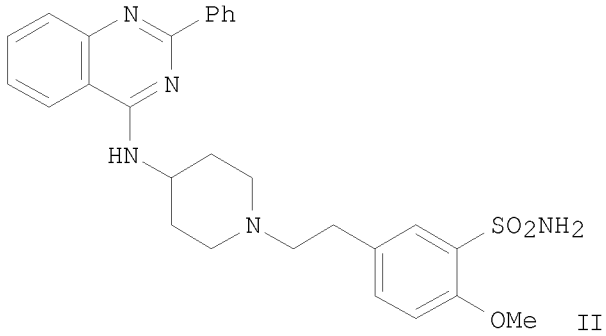
L7 ANSWER 23 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:567069 CAPLUS
DOCUMENT NUMBER: 125:221856
ORIGINAL REFERENCE NO.: 125:41465a,41468a
TITLE: Preparation of quinazoline derivatives as adrenergic α1C receptor antagonists
INVENTOR(S): Andrews, Robert Carl; Brown, Peter Jonathan; Deaton, David Norman; Drewry, David Harold; Foley, Michael Andrew; Garrison, Deanna T.; Marron, Brian Edward; Smalley, Terrence L.; Berman, Judd M.; Noble, Stewart Alywyn
PATENT ASSIGNEE(S): Glaxo Inc, USA
SOURCE: Brit. UK Pat. Appl., 190 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2295387	A	19960529	GB 1994-23635	19941123 <--
PRIORITY APPLN. INFO.:			GB 1994-23635	19941123 <--

OTHER SOURCE(S): MARPAT 125:221856
GI



I



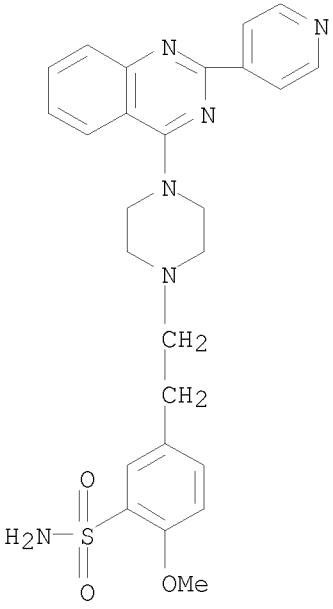
II

AB Title compds. [I; R = Z1Z2 = R4; R1 = H, halo, alkyl, alkoxy, etc.; R4 = H, (di)(alkyl)amino, phenyl(oxy), etc.; R5,R6 = H, OH, halo, alkyl, alkoxy; Z1 = NH, 2-(piperazine-1,4-diyl)ethylimino, iminopyridine-5,2-diylimino, etc.; Z2 = bond, (un)substituted alkylene] were prepared as adrenergic α1C receptor antagonists (no data). Thus, 4-chloro-2-phenylquinazoline was aminated by 4-amino-1-benzylpiperidine and the deprotected product N-alkylated by 5-(2-chloroethyl)-2-methoxybenzenesulfonamide (preparation given) to give title compound II.

IT 181113-88-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazoline derivs. as adrenergic α1C receptor antagonists)

RN 181113-88-2 CAPLUS

CN Benzenesulfonamide, 2-methoxy-5-[2-[4-[2-(4-pyridinyl)-4-quinazolinyl]-1-piperazinyl]ethyl]- (CA INDEX NAME)



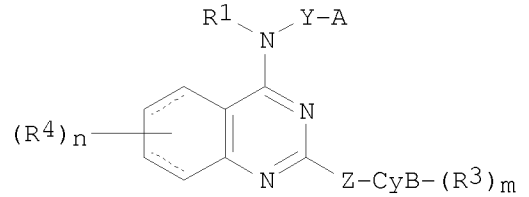
L7 ANSWER 24 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:795361 CAPLUS

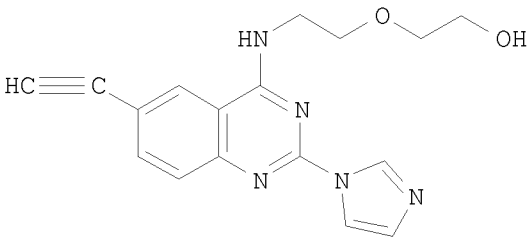
DOCUMENT NUMBER: 124:29779
ORIGINAL REFERENCE NO.: 124:5715a,5718a
TITLE: 4-Aminoquinazoline derivatives as inhibitors of cGMP phosphodiesterase and TXA2 synthetase
INVENTOR(S): Lee, Sung J.; Konishi, Yoshitaka; Macina, Orest T.; Kondo, Kigen; Yu, Dingwei T.
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 42 pp. Cont.-in-part of U.S. Ser. No. 76,431, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5439895	A	19950808	US 1993-154691	19931119 <--
JP 06192235	A	19940712	JP 1993-197039	19930714 <--
CA 2100626	A1	19940116	CA 1993-2100626	19930715 <--
KR 191416	B1	19990615	KR 1993-13549	19930715 <--
AT 208771	T	20011115	AT 1993-305557	19930715 <--
ES 2167325	T3	20020516	ES 1993-305557	19930715 <--
PT 579496	T	20020531	PT 1993-305557	19930715 <--
JP 08099962	A	19960416	JP 1995-264667	19950920 <--
JP 2923742	B2	19990726		

PRIORITY APPLN. INFO.: US 1992-913473 B2 19920715 <--
US 1993-76431 B2 19930614 <--
OTHER SOURCE(S): MARPAT 124:29779
GI



I



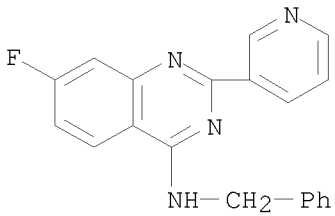
II

AB The compds. of the formula I and acid addition salts thereof, salts thereof, and hydrates thereof wherein R1 is hydrogen or C1-4 alkyl; Y is C1-6 alkylene; A is OR0 or S(O)pR0, in which R0 is C1-4 alkyl-hydroxy; p is 0-2; Z is single bond, methylene, ethylene, vinylene or ethynylene; CyB is (1) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, (2) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, two or

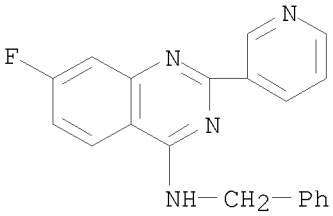
three nitrogen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atom, one nitrogen atom, (4) 4- or 5-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, or (5) 4-7 membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one or two oxygen atoms, or one or two sulfur atoms; R3 = e.g., H, C1-4 alkyl, C1-4 alkoxy; R4 = e.g., H, C1-4 alkyl, C1-4 alkoxy; and m and n independently are 1 or 2; with the proviso that (1) a CyB ring does not bond to Z through a nitrogen atom in the CyB ring when Z is vinylene or ethynylene, have inhibitory effect on cGMP-PDE, and addnl. on TXA2 synthetase. Thus, e.g., 2-(1-imidazolyl)-4-[2-(2-hydroxyethoxy)ethyl]amino-6-ethynylquinazoline.2HCl (II.2HCl) (prepared by desilylation of a silylacetylene precursor) exhibited inhibitory effect on cGMP-PDE and TXA2 synthetase with IC50 = 4.6 + 10-8 M and 1.33 + 10-6 M, resp. Pharmaceutical formulations were given.

IT 157862-69-6P 157862-70-9P 157862-71-0P
157862-72-1P 157862-73-2P 157862-74-3P
157862-75-4P 157862-76-5P 157862-77-6P
157862-78-7P 157862-79-8P 157862-80-1P
157862-81-2P 157862-82-3P 157862-83-4P
157862-84-5P 157862-85-6P 157862-86-7P
157862-87-8P 157862-88-9P 157862-89-0P
157862-90-3P 157862-91-4P 157862-92-5P
157862-93-6P 157862-94-7P 157862-95-8P
157862-96-9P 157862-97-0P 157862-98-1P
157862-99-2P 157863-00-8P 157863-05-3P
157863-06-4P 157863-07-5P 157863-08-6P
157863-09-7P 157863-10-0P 157863-11-1P
157863-12-2P 157863-13-3P 157863-14-4P
157863-15-5P 157863-16-6P 157863-17-7P
157863-18-8P 157863-19-9P 157863-20-2P
157863-21-3P 157863-22-4P 157863-23-5P
157863-99-5P 171661-62-4P 171661-63-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(4-aminoquinazoline derivs. as inhibitors of cGMP phosphodiesterase and TXA2 synthetase)

RN 157862-69-6 CAPLUS
CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

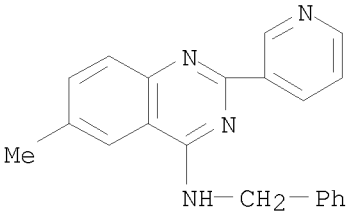


RN 157862-70-9 CAPLUS
CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

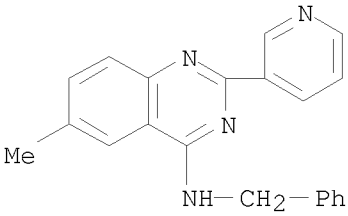


●2 HCl

RN 157862-71-0 CAPLUS
CN 4-Quinazolinamine, 6-methyl-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

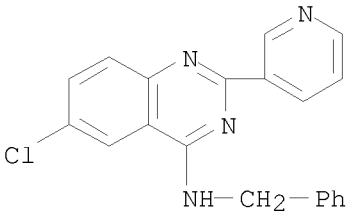


RN 157862-72-1 CAPLUS
CN 4-Quinazolinamine, 6-methyl-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

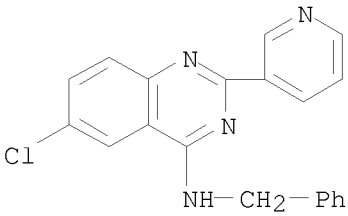


●2 HCl

RN 157862-73-2 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

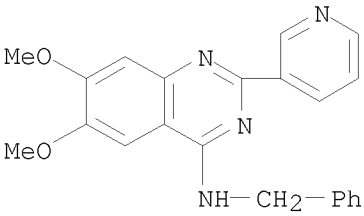


RN 157862-74-3 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

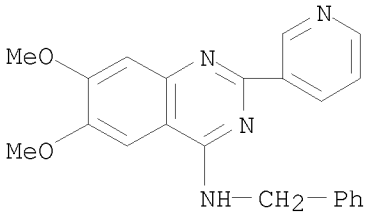


●2 HCl

RN 157862-75-4 CAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

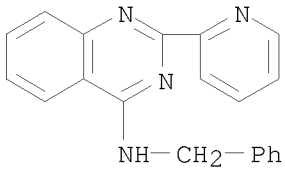


RN 157862-76-5 CAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

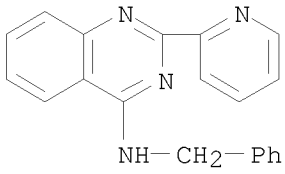


●2 HCl

RN 157862-77-6 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(2-pyridinyl)- (CA INDEX NAME)

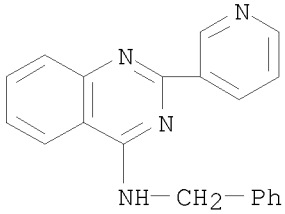


RN 157862-78-7 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(2-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

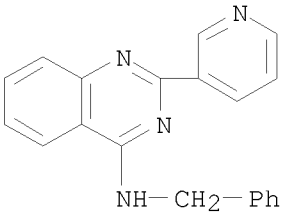


●2 HCl

RN 157862-79-8 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

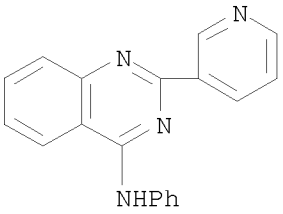


RN 157862-80-1 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

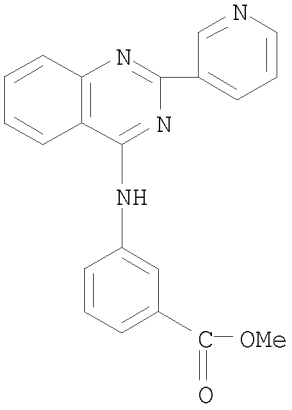


● 2 HCl

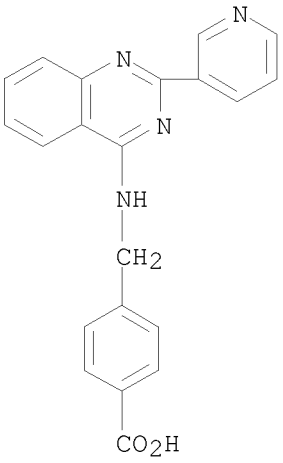
RN 157862-81-2 CAPLUS
CN 4-Quinazolinamine, N-phenyl-2-(3-pyridinyl)- (CA INDEX NAME)



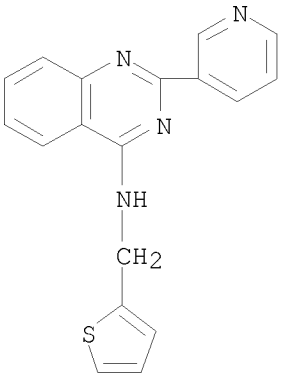
RN 157862-82-3 CAPLUS
CN Benzoic acid, 3-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-, methyl ester (CA INDEX NAME)



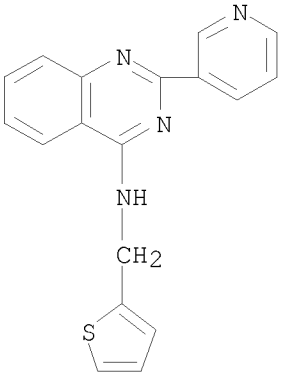
RN 157862-83-4 CAPLUS
CN Benzoic acid, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]- (CA INDEX NAME)



RN 157862-84-5 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(2-thienylmethyl)- (CA INDEX NAME)

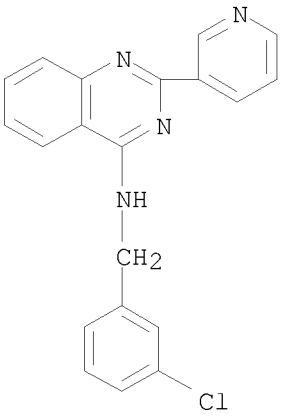


RN 157862-85-6 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(2-thienylmethyl)-, hydrochloride (1:2) (CA INDEX NAME)

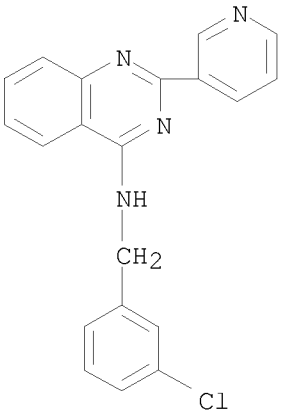


●2 HCl

RN 157862-86-7 CAPLUS
CN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

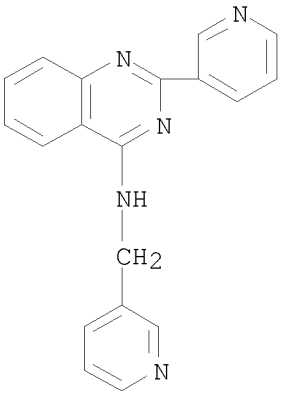


RN 157862-87-8 CAPLUS
CN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

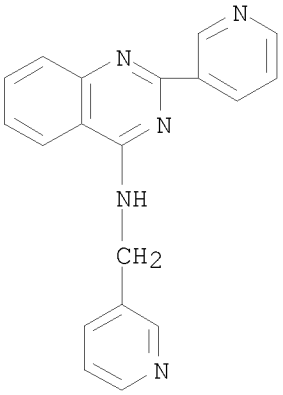


● 2 HCl

RN 157862-88-9 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)- (CA INDEX NAME)

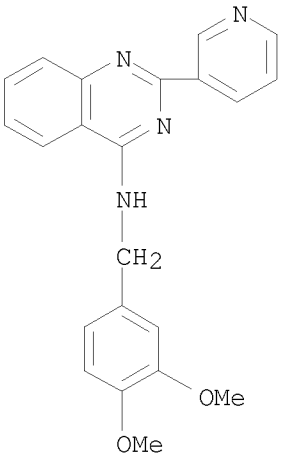


RN 157862-89-0 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)-, hydrochloride (1:3) (CA INDEX NAME)

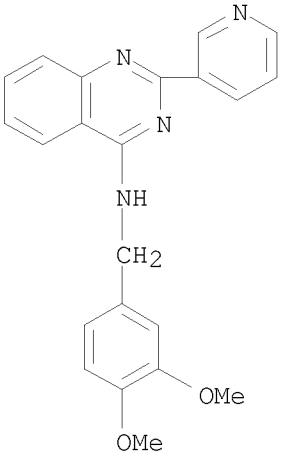


●3 HCl

RN 157862-90-3 CAPLUS
CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

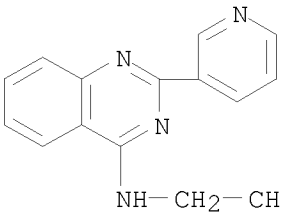


RN 157862-91-4 CAPLUS
CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



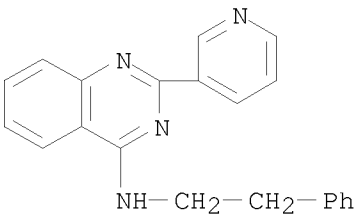
●2 HCl

RN 157862-92-5 CAPLUS
CN 4-Quinazolinamine, N-(2-phenylethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



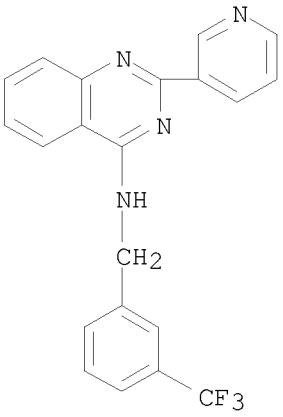
RN 157862-93-6 CAPLUS
CN 4-Quinazolinamine, N-(2-phenylethyl)-2-(3-pyridinyl)-, hydrochloride (1:2)

(CA INDEX NAME)



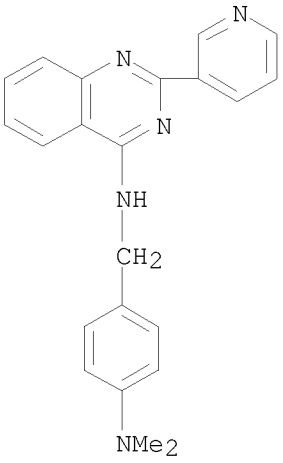
●2 HCl

RN 157862-94-7 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)



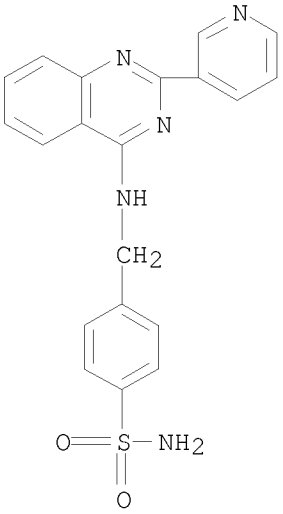
●2 HCl

RN 157862-95-8 CAPLUS
CN 4-Quinazolinamine, N-[[4-(dimethylamino)phenyl]methyl]-2-(3-pyridinyl)-, hydrochloride (1:3) (CA INDEX NAME)



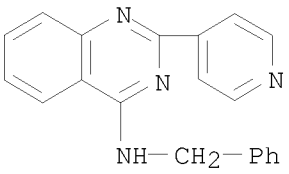
●3 HCl

RN 157862-96-9 CAPLUS
CN Benzenesulfonamide, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]-, hydrochloride (1:2) (CA INDEX NAME)



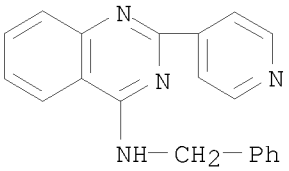
●2 HCl

RN 157862-97-0 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)- (CA INDEX NAME)



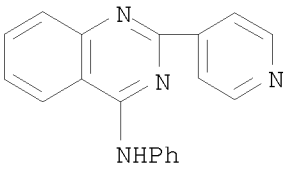
RN 157862-98-1 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)-, hydrochloride (1:2)

(CA INDEX NAME)

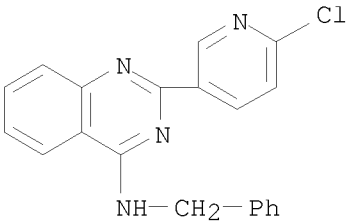


●2 HCl

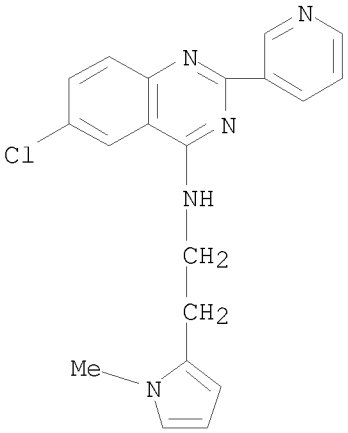
RN 157862-99-2 CAPLUS
CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)



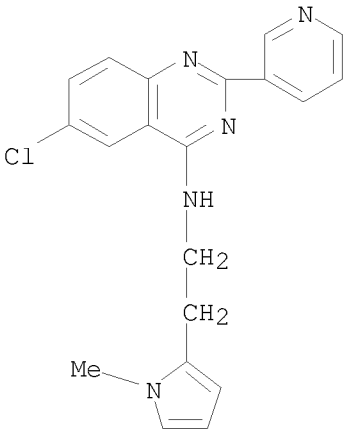
RN 157863-00-8 CAPLUS
CN 4-Quinazolinamine, 2-(6-chloro-3-pyridinyl)-N-(phenylmethyl)- (CA INDEX NAME)



RN 157863-05-3 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)- (CA INDEX NAME)

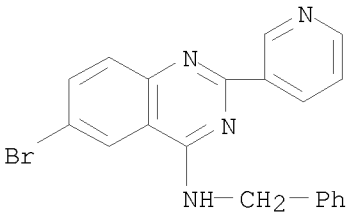


RN 157863-06-4 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



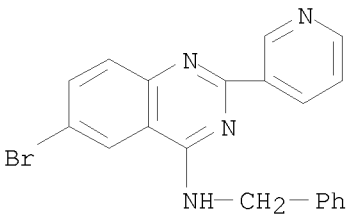
●2 HCl

RN 157863-07-5 CAPLUS
CN 4-Quinazolinamine, 6-bromo-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



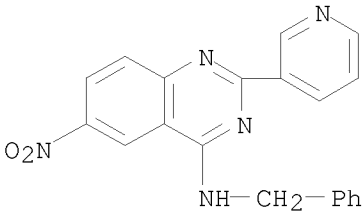
RN 157863-08-6 CAPLUS
CN 4-Quinazolinamine, 6-bromo-N-(phenylmethyl)-2-(3-pyridinyl)-,

hydrochloride (1:2) (CA INDEX NAME)

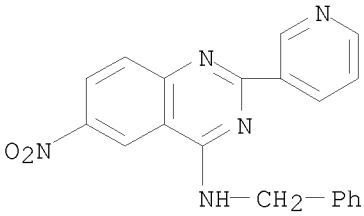


●2 HCl

RN 157863-09-7 CAPLUS
CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

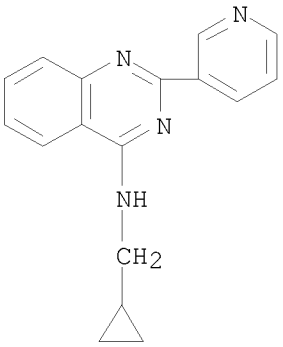


RN 157863-10-0 CAPLUS
CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

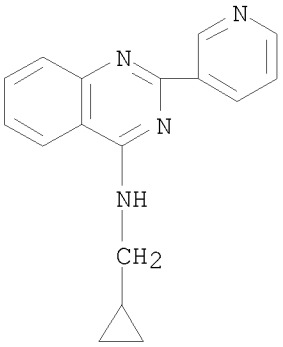


●2 HCl

RN 157863-11-1 CAPLUS
CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

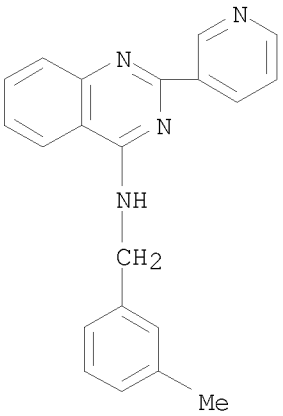


RN 157863-12-2 CAPLUS
CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



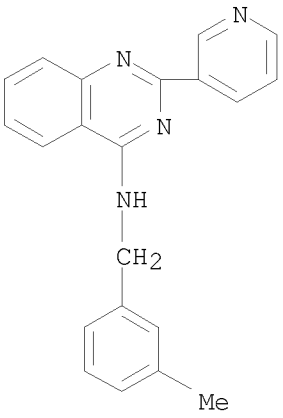
●2 HCl

RN 157863-13-3 CAPLUS
CN 4-Quinazolinamine, N-[(3-methylphenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)



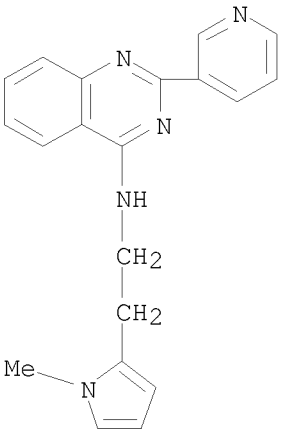
RN 157863-14-4 CAPLUS

CN 4-Quinazolinamine, N-[(3-methylphenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

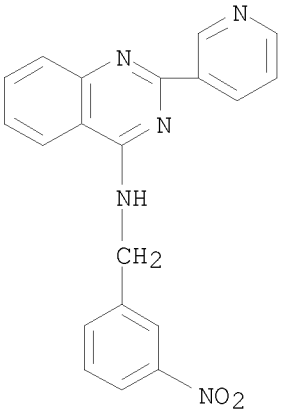


●2 HCl

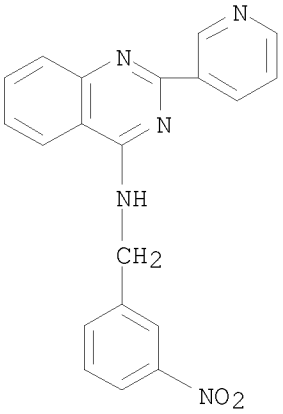
RN 157863-15-5 CAPLUS
CN 4-Quinazolinamine, N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157863-16-6 CAPLUS
CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

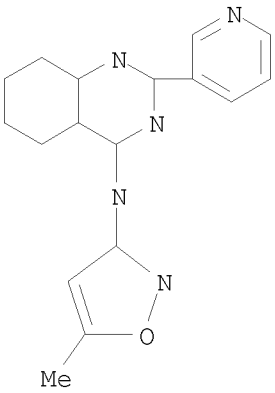


RN 157863-17-7 CAPLUS
CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

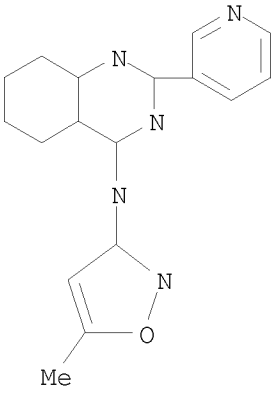


●2 HCl

RN 157863-18-8 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-3-isoxazolyl)-2-(3-pyridinyl)- (CA INDEX NAME)

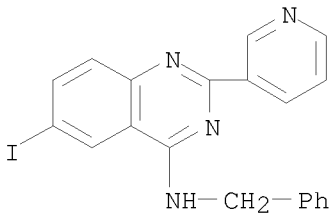


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 157863-19-9 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-3-isoxazolyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



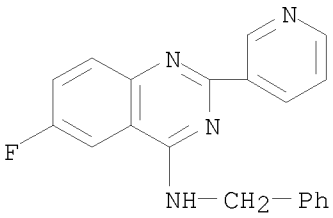
●2 HCl

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 157863-20-2 CAPLUS
CN 4-Quinazolinamine, 6-iodo-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



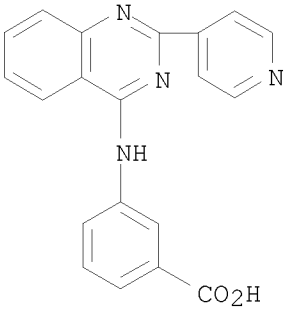
●2 HCl

RN 157863-21-3 CAPLUS
CN 4-Quinazolinamine, 6-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

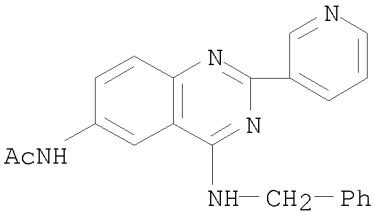


●2 HCl

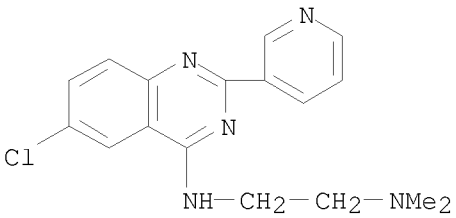
RN 157863-22-4 CAPLUS
CN Benzoic acid, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)



RN 157863-23-5 CAPLUS
CN Acetamide, N-[4-[(phenylmethyl)amino]-2-(3-pyridinyl)-6-quinazolinyl]- (CA INDEX NAME)

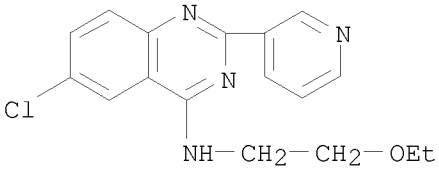


RN 157863-99-5 CAPLUS
CN 1,2-Ethanediamine, N2-[6-chloro-2-(3-pyridinyl)-4-quinazolinyl]-N1,N1-dimethyl-, hydrochloride (1:3) (CA INDEX NAME)

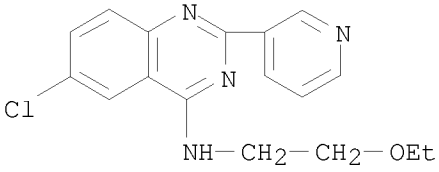


●3 HCl

RN 171661-62-4 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(2-ethoxyethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



RN 171661-63-5 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(2-ethoxyethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

L7 ANSWER 25 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:761961 CAPLUS
DOCUMENT NUMBER: 123:340173
ORIGINAL REFERENCE NO.: 123:61059a,61062a
TITLE: 4-Aminoquinazoline derivatives as inhibitors of cyclic guanosine 3',5'-monophosphate phosphodiesterase and thromboxane A2 synthetase
INVENTOR(S): Lee, Sung J.; Konishi, Yoshitaka; Macina, Orest T.; Kondo, Kigen; Yu, Dingwei T.
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 44 pp. Cont.-in-part of U.S. Ser. No. 76,431, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3

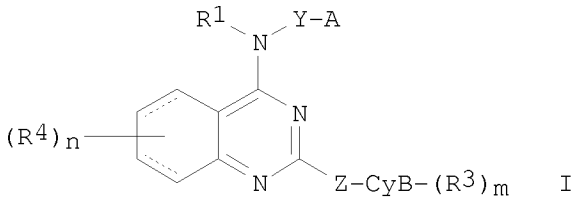
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5436233	A	19950725	US 1993-154518	19931119 <--
JP 06192235	A	19940712	JP 1993-197039	19930714 <--
CA 2100626	A1	19940116	CA 1993-2100626	19930715 <--
KR 191416	B1	19990615	KR 1993-13549	19930715 <--
AT 208771	T	20011115	AT 1993-305557	19930715 <--
ES 2167325	T3	20020516	ES 1993-305557	19930715 <--
PT 579496	T	20020531	PT 1993-305557	19930715 <--
JP 08099962	A	19960416	JP 1995-264667	19950920 <--
JP 2923742	B2	19990726		

PRIORITY APPLN. INFO.: US 1992-913473 B2 19920715 <--
US 1993-76431 B2 19930614 <--

OTHER SOURCE(S): CASREACT 123:340173; MARPAT 123:340173

GI



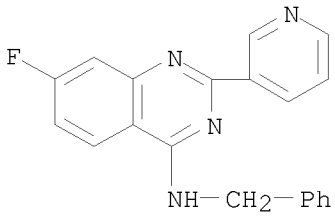
I

AB Title compds. I [R1 is H, C1-4 alkyl; Y is a single bond or C1-6 alkylene; A is (i) CyA-(R2)l, (ii) OR0 or S(O)pR0 in which R0 is R0A or R0B; R0A is CyA-(R2)l; R0B is H or C1-4 alkyl; p is 0-2; CyA is, e.g., (1) 3-7 membered, saturated or unsatd., monocyclic carbocyclic ring, (2) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one nitrogen atom, one nitrogen and one oxygen atoms, two nitrogen and one oxygen atoms, or one nitrogen and two oxygen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one nitrogen and one oxygen atoms, two nitrogen and one oxygen atoms, or one nitrogen and two oxygen atoms; R2 is R2A or R2B; R2A is, e.g., CF3, OCF3; R2B is, e.g., H, C1-4 alkyl, C1-4 alkoxy; Z is ZA or ZB, ZA is methylene, ethylene, vinylene, ethynylene; ZB is a single bond; CyB is, e.g., (1) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, (2) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, two or three nitrogen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as a hetero atom, one nitrogen atom; R3 = e.g., H, C1-4 alkyl; R4 = e.g., NHSO2R11, R11 = e.g., C1-4 alkyl; l, m, n are independently 1 or 2 (with provisos)] are provided as inhibitors of cGMP-PDE and TXA2 synthetase. Thus, e.g., treatment of 2-(1-imidazolyl)-4-(2-methoxyethyl)amino-6-(2-triethylsilylethynyl)quinazoline (preparation given) with tetrabutylammonium fluoride afforded 6-ethynyl-4-(2-methoxyethyl)amino-2-(1-imidazolyl)quinazoline (II); II.2HCl demonstrated inhibition of cGMP-PDE with and TXA2 synthetase with IC50 = 4.6 + 10-8 and 2.4 + 10-6 M, resp. Pharmaceutical formulations were given.

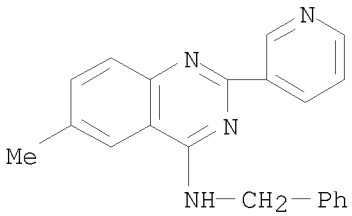
IT 157862-69-6P 157862-71-0P 157862-73-2P
157862-75-4P 157862-77-6P 157862-79-8P
157862-84-5P 157862-86-7P 157862-88-9P
157862-90-3P 157862-92-5P 157862-97-0P

157863-05-3P 157863-07-5P 157863-09-7P
157863-11-1P 157863-13-3P 157863-16-6P
157863-18-8P 157863-98-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(4-aminoquinazoline derivs. as inhibitors of cyclic guanosine 3',5'-monophosphate phosphodiesterase and thromboxane A2 synthetase)

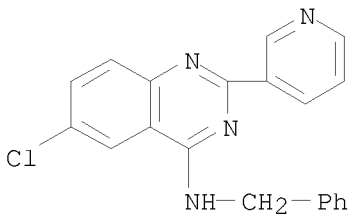
RN 157862-69-6 CAPLUS
CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



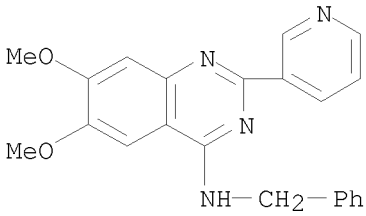
RN 157862-71-0 CAPLUS
CN 4-Quinazolinamine, 6-methyl-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



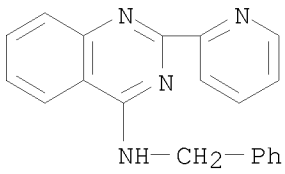
RN 157862-73-2 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



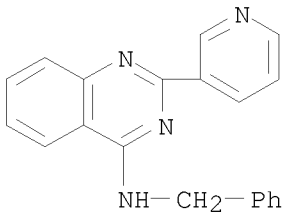
RN 157862-75-4 CAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



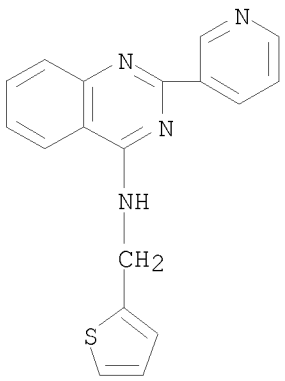
RN 157862-77-6 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(2-pyridinyl)- (CA INDEX NAME)



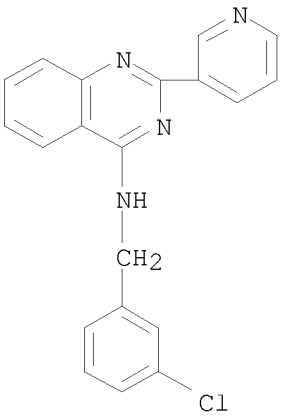
RN 157862-79-8 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



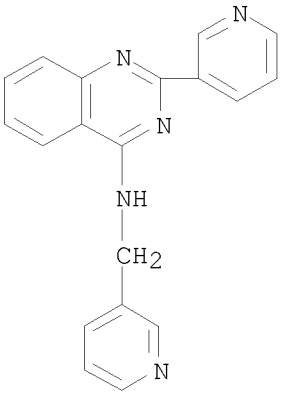
RN 157862-84-5 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(2-thienylmethyl)- (CA INDEX NAME)



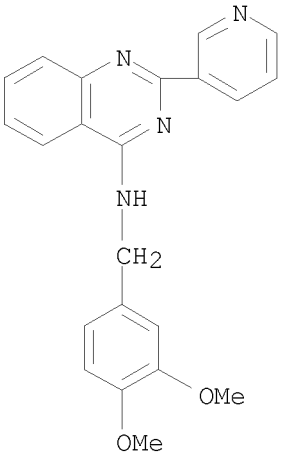
RN 157862-86-7 CAPLUS
CN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157862-88-9 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)- (CA INDEX NAME)

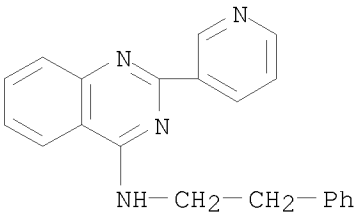


RN 157862-90-3 CAPLUS
CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

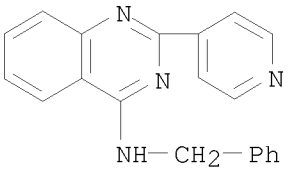


RN 157862-92-5 CAPLUS

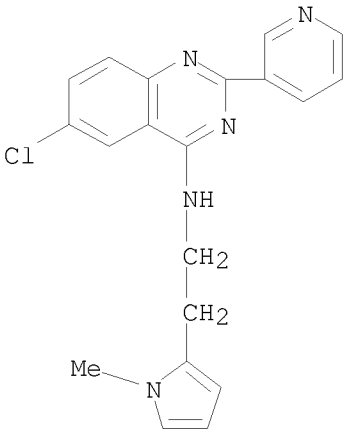
CN 4-Quinazolinamine, N-(2-phenylethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



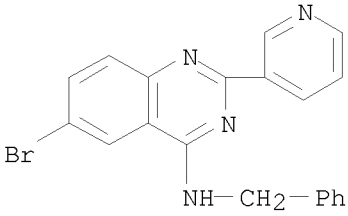
RN 157862-97-0 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)- (CA INDEX NAME)



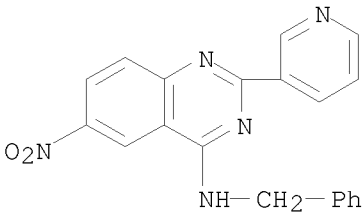
RN 157863-05-3 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)- (CA INDEX NAME)



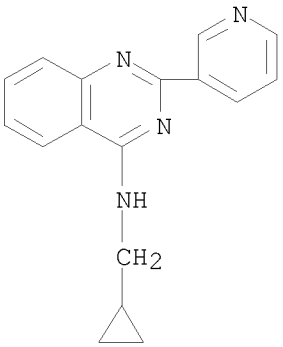
RN 157863-07-5 CAPLUS
CN 4-Quinazolinamine, 6-bromo-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



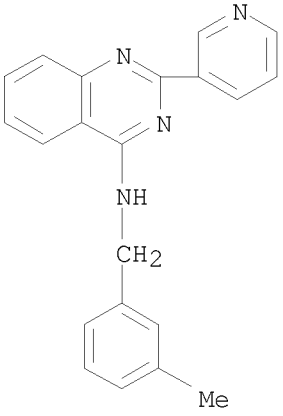
RN 157863-09-7 CAPLUS
CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



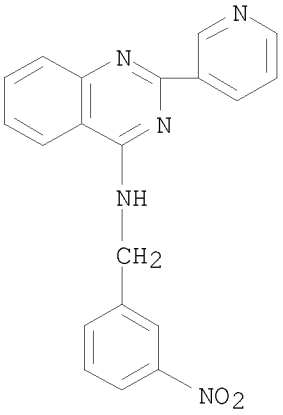
RN 157863-11-1 CAPLUS
CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



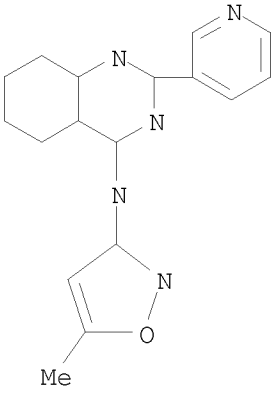
RN 157863-13-3 CAPLUS
CN 4-Quinazolinamine, N-[(3-methylphenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)



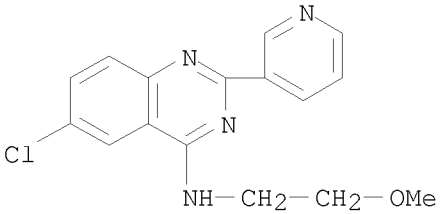
RN 157863-16-6 CAPLUS
CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157863-18-8 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-3-isoxazolyl)-2-(3-pyridinyl)- (CA INDEX NAME)



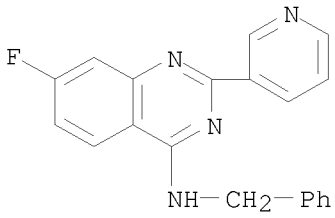
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 157863-98-4 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(2-methoxyethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



IT 157862-70-9P 157862-72-1P 157862-74-3P
157862-76-5P 157862-78-7P 157862-80-1P
157862-81-2P 157862-82-3P 157862-83-4P
157862-85-6P 157862-87-8P 157862-89-0P
157862-91-4P 157862-93-6P 157862-94-7P
157862-95-8P 157862-96-9P 157862-98-1P
157862-99-2P 157863-00-8P 157863-06-4P

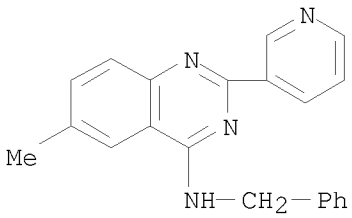
157863-08-6P 157863-10-0P 157863-12-2P
157863-14-4P 157863-15-5P 157863-17-7P
157863-19-9P 157863-20-2P 157863-21-3P
157863-22-4P 157863-23-5P 157863-99-5P
170985-91-8P 170986-01-3P 170986-02-4P
170986-03-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(4-aminoquinazoline derivs. as inhibitors of cyclic guanosine 3',5'-monophosphate phosphodiesterase and thromboxane A2 synthetase)

RN 157862-70-9 CAPLUS
CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



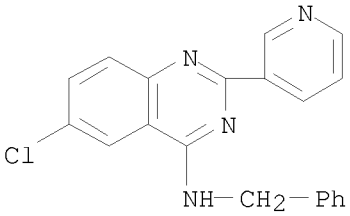
●2 HCl

RN 157862-72-1 CAPLUS
CN 4-Quinazolinamine, 6-methyl-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



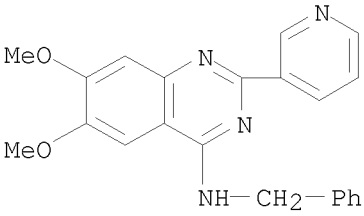
●2 HCl

RN 157862-74-3 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



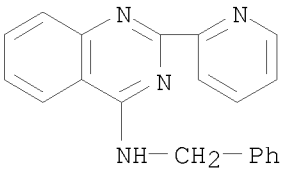
●2 HCl

RN 157862-76-5 CAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



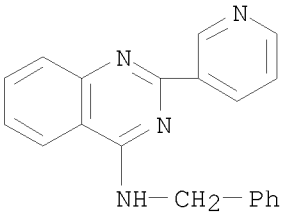
●2 HCl

RN 157862-78-7 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(2-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



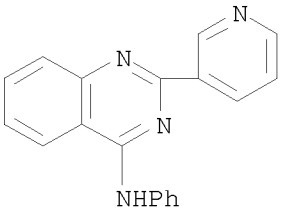
●2 HCl

RN 157862-80-1 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

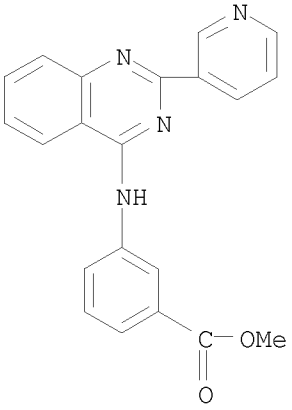


● 2 HCl

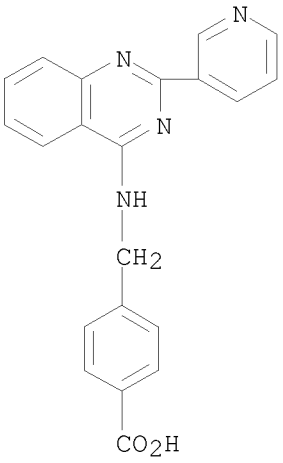
RN 157862-81-2 CAPLUS
CN 4-Quinazolinamine, N-phenyl-2-(3-pyridinyl)- (CA INDEX NAME)



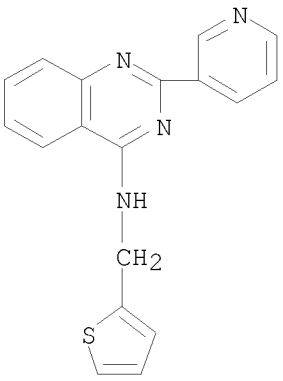
RN 157862-82-3 CAPLUS
CN Benzoic acid, 3-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-, methyl ester (CA INDEX NAME)



RN 157862-83-4 CAPLUS
CN Benzoic acid, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]- (CA INDEX NAME)

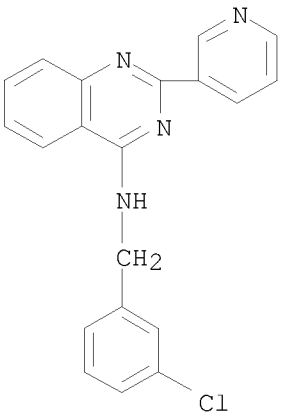


RN 157862-85-6 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(2-thienylmethyl)-, hydrochloride (1:2) (CA INDEX NAME)



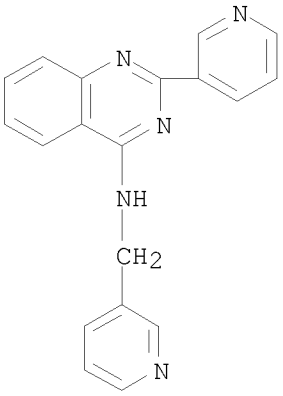
●2 HCl

RN 157862-87-8 CAPLUS
CN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



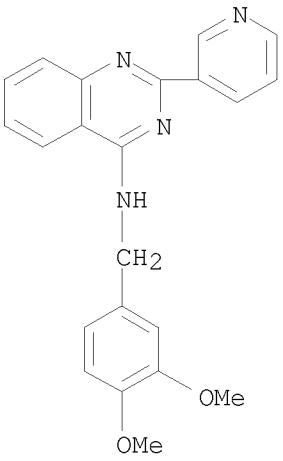
●2 HCl

RN 157862-89-0 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)-, hydrochloride (1:3) (CA INDEX NAME)



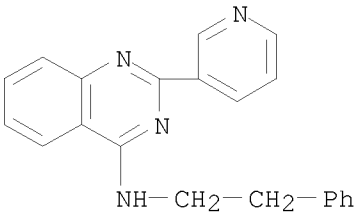
●3 HCl

RN 157862-91-4 CAPLUS
CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



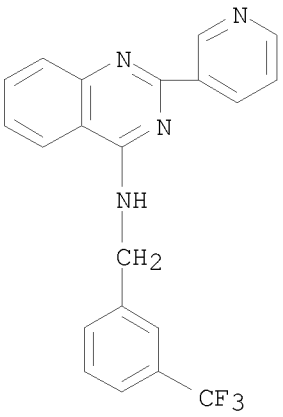
● 2 HCl

RN 157862-93-6 CAPLUS
CN 4-Quinazolinamine, N-(2-phenylethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



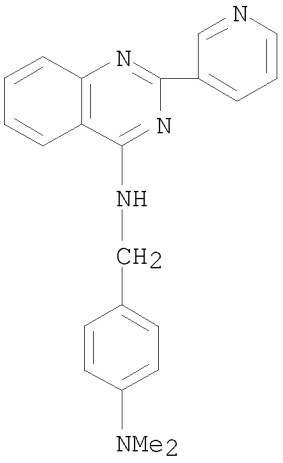
● 2 HCl

RN 157862-94-7 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)



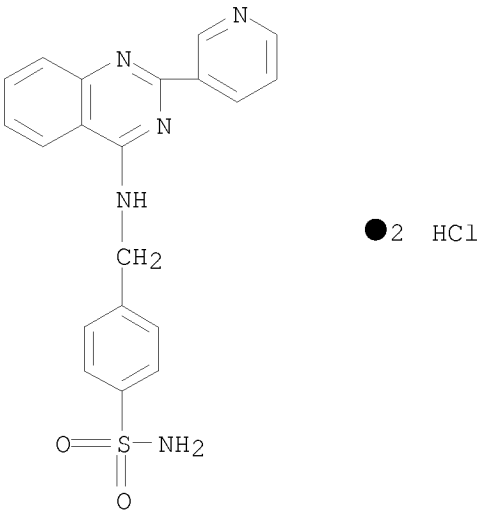
●2 HCl

RN 157862-95-8 CAPLUS
CN 4-Quinazolinamine, N-[[4-(dimethylamino)phenyl]methyl]-2-(3-pyridinyl)-, hydrochloride (1:3) (CA INDEX NAME)



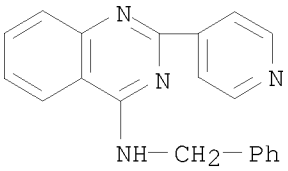
●3 HCl

RN 157862-96-9 CAPLUS
CN Benzenesulfonamide, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]-, hydrochloride (1:2) (CA INDEX NAME)



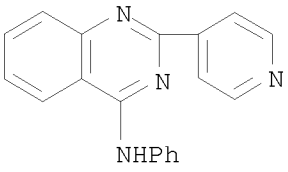
●2 HCl

RN 157862-98-1 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

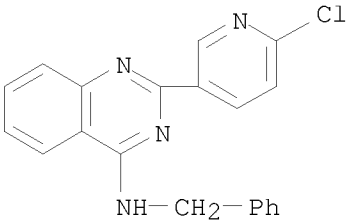


●2 HCl

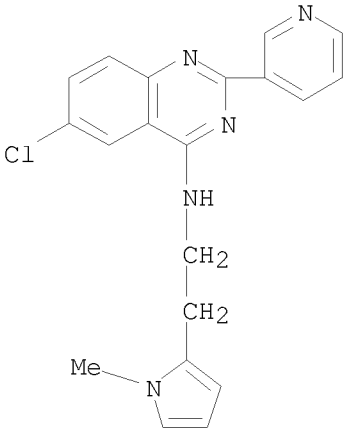
RN 157862-99-2 CAPLUS
CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 157863-00-8 CAPLUS
CN 4-Quinazolinamine, 2-(6-chloro-3-pyridinyl)-N-(phenylmethyl)- (CA INDEX NAME)

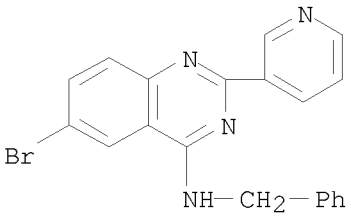


RN 157863-06-4 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



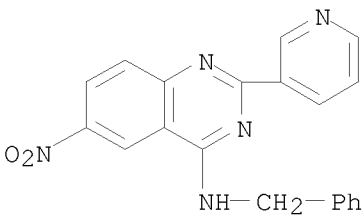
●2 HCl

RN 157863-08-6 CAPLUS
CN 4-Quinazolinamine, 6-bromo-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



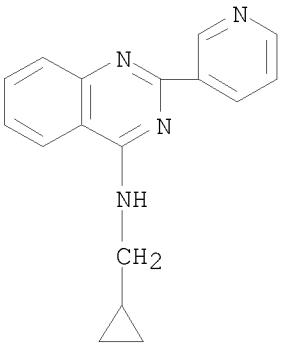
●2 HCl

RN 157863-10-0 CAPLUS
CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



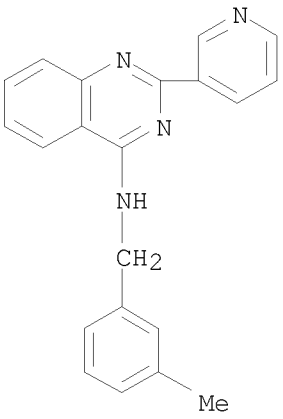
● 2 HCl

RN 157863-12-2 CAPLUS
CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



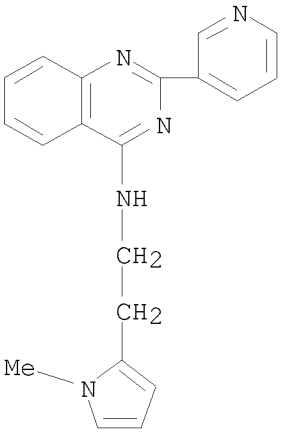
● 2 HCl

RN 157863-14-4 CAPLUS
CN 4-Quinazolinamine, N-[(3-methylphenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

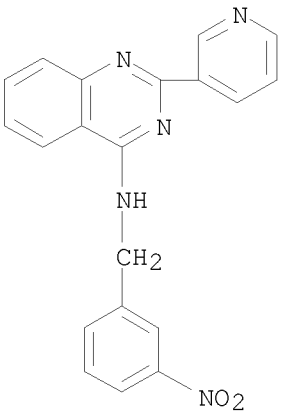


●2 HCl

RN 157863-15-5 CAPLUS
CN 4-Quinazolinamine, N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)-
(CA INDEX NAME)

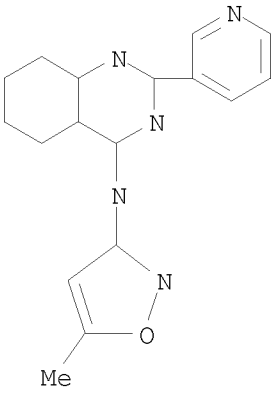


RN 157863-17-7 CAPLUS
CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)-,
hydrochloride (1:2) (CA INDEX NAME)



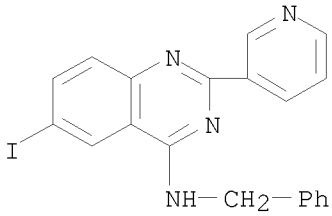
●2 HCl

RN 157863-19-9 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-3-isoxazolyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



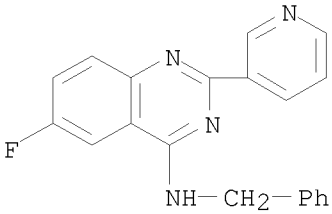
●2 HCl

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 157863-20-2 CAPLUS
CN 4-Quinazolinamine, 6-iodo-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



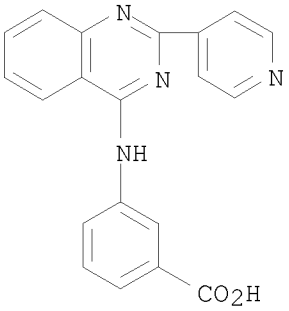
●2 HCl

RN 157863-21-3 CAPLUS
CN 4-Quinazolinamine, 6-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

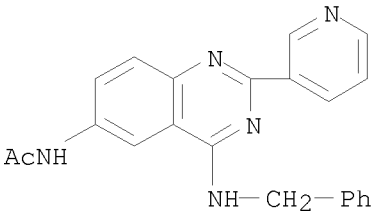


●2 HCl

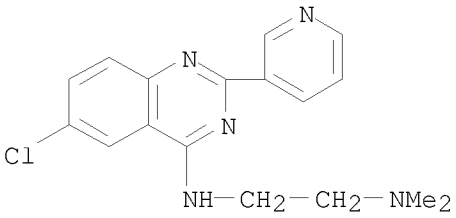
RN 157863-22-4 CAPLUS
CN Benzoic acid, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)



RN 157863-23-5 CAPLUS
CN Acetamide, N-[4-[(phenylmethyl)amino]-2-(3-pyridinyl)-6-quinazolinyl]- (CA INDEX NAME)

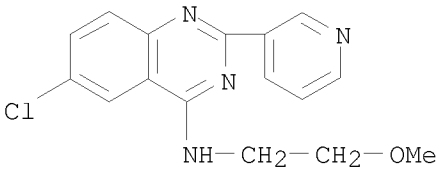


RN 157863-99-5 CAPLUS
CN 1,2-Ethanediamine, N2-[6-chloro-2-(3-pyridinyl)-4-quinazolinyl]-N1,N1-dimethyl-, hydrochloride (1:3) (CA INDEX NAME)



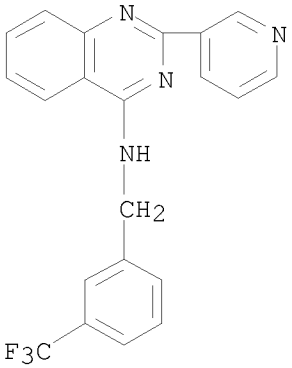
●3 HCl

RN 170985-91-8 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(2-methoxyethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

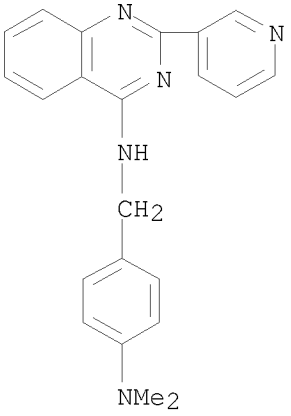


●2 HCl

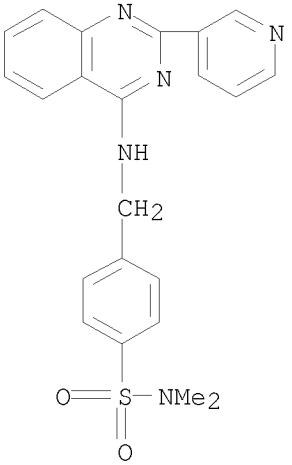
RN 170986-01-3 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



RN 170986-02-4 CAPLUS
CN 4-Quinazolinamine, N-[[4-(dimethylamino)phenyl]methyl]-2-(3-pyridinyl)-
(CA INDEX NAME)



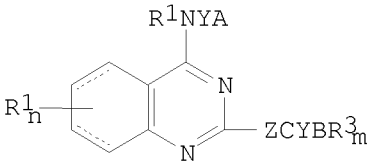
RN 170986-03-5 CAPLUS
CN Benzenesulfonamide, N,N-dimethyl-4-[[[2-(3-pyridinyl)-4-
quinazolinyl]amino]methyl]- (CA INDEX NAME)



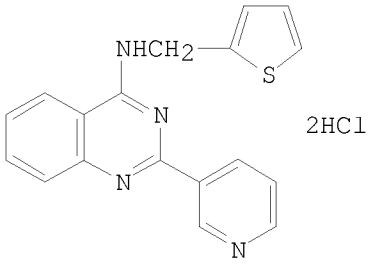
L7 ANSWER 26 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:605373 CAPLUS
DOCUMENT NUMBER: 121:205373
ORIGINAL REFERENCE NO.: 121:37397a,37400a
TITLE: 4-aminoquinazoline derivatives, and their use as medicine
INVENTOR(S): Lee, Sung Jai; Konishi, Yoshitaka; Macina, Orest Taras; Kondo, Kigen; Yu, Dingwei Tim
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 86 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 579496	A1	19940119	EP 1993-305557	19930715 <--
EP 579496	B1	20011114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 06192235	A	19940712	JP 1993-197039	19930714 <--
CA 2100626	A1	19940116	CA 1993-2100626	19930715 <--
KR 191416	B1	19990615	KR 1993-13549	19930715 <--
AT 208771	T	20011115	AT 1993-305557	19930715 <--
ES 2167325	T3	20020516	ES 1993-305557	19930715 <--
PT 579496	T	20020531	PT 1993-305557	19930715 <--
JP 08099962	A	19960416	JP 1995-264667	19950920 <--
JP 2923742	B2	19990726		

PRIORITY APPLN. INFO.: US 1992-913473 A 19920715 <--
US 1993-76431 A 19930614 <--
OTHER SOURCE(S): MARPAT 121:205373
GI



I



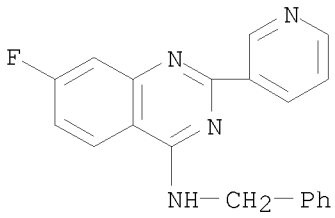
II

AB The title compds. I wherein R1 is H or alkyl; Y is bond or alkylene; A is (i) -CyAR2, (ii) -OR0 or -S(O)pR0, R0 = H, alkyl, etc., p is 0-2, (iii) -NR16R17, R16, R17 are H, alkyl; CyA is (1) a 3-7 membered monocyclic carbocyclic ring, (2) a 4-7 membered monocyclic hetero ring containing as hetero atoms, one N atom, one N and one O atoms, two N and one O atoms, or one N and two O atoms, (3) a 4-7 membered monocyclic hetero ring containing as hetero atoms, 1 or 2 O or S atoms, R2 is (1) H, (2) alkyl, (3) alkoxy, (4) -COOR5, in which R5 is H or alkyl, (5) -NR6R7, R6, R7 are H, alkyl, (6) -SO2NR6R7, (7) halogen, (8) CF3, (9) NO2 or (10) CF3O; Z is bond, methylene, ethylene, vinylene or ethynylene; CyB is a heterocyclic ring; R3 is H, alkyl, alkoxy, halogen or CF3; R4 is H, alkyl, alkoxy, etc., and acid addition salts thereof, salts thereof, and hydrates thereof were prepared and have inhibitory effect on cGMP-PDE, or addnl. on TXA2 synthetase.

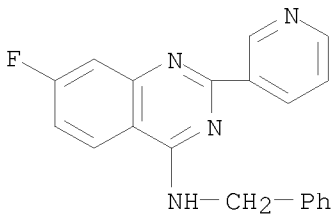
Thus, a representative prepared compound II had inhibitory activity IC50 of 3.6 x 10-7 on cGMP-PDE.

IT 157862-69-6P 157862-70-9P 157862-71-0P
157862-72-1P 157862-73-2P 157862-74-3P
157862-75-4P 157862-76-5P 157862-77-6P
157862-78-7P 157862-79-8P 157862-80-1P
157862-81-2P 157862-82-3P 157862-83-4P
157862-84-5P 157862-85-6P 157862-86-7P
157862-87-8P 157862-88-9P 157862-89-0P
157862-90-3P 157862-91-4P 157862-92-5P
157862-93-6P 157862-94-7P 157862-95-8P
157862-96-9P 157862-97-0P 157862-98-1P
157862-99-2P 157863-00-8P 157863-05-3P
157863-06-4P 157863-07-5P 157863-08-6P
157863-09-7P 157863-10-0P 157863-11-1P
157863-12-2P 157863-13-3P 157863-14-4P
157863-15-5P 157863-16-6P 157863-17-7P
157863-18-8P 157863-19-9P 157863-20-2P
157863-21-3P 157863-22-4P 157863-23-5P
157863-98-4P 157863-99-5P 157864-02-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cardiovascular agents)

RN 157862-69-6 CAPLUS
CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

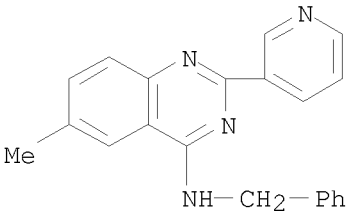


RN 157862-70-9 CAPLUS
CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

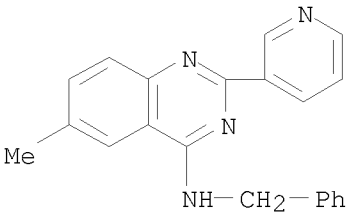


●2 HCl

RN 157862-71-0 CAPLUS
CN 4-Quinazolinamine, 6-methyl-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

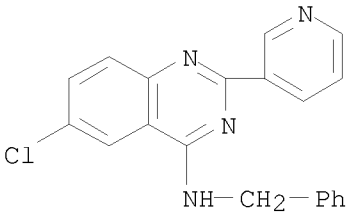


RN 157862-72-1 CAPLUS
CN 4-Quinazolinamine, 6-methyl-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

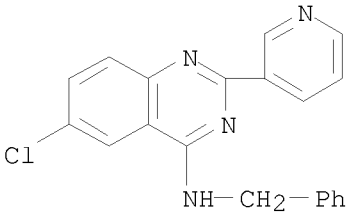


●2 HCl

RN 157862-73-2 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

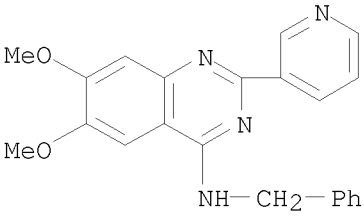


RN 157862-74-3 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

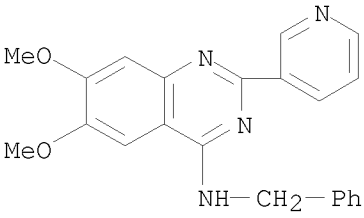


●2 HCl

RN 157862-75-4 CAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

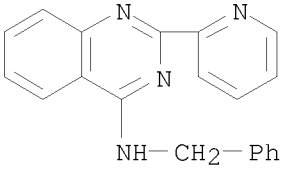


RN 157862-76-5 CAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



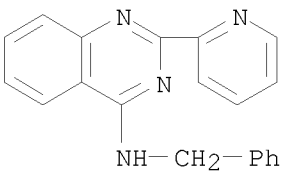
●2 HCl

RN 157862-77-6 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(2-pyridinyl)- (CA INDEX NAME)



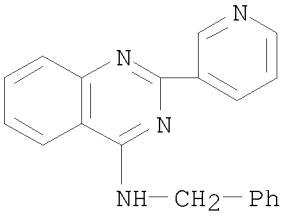
RN 157862-78-7 CAPLUS

CN 4-Quinazolinamine, N-(phenylmethyl)-2-(2-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

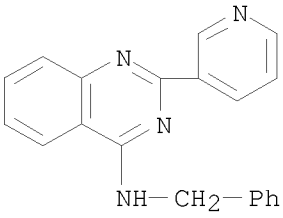


●2 HCl

RN 157862-79-8 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

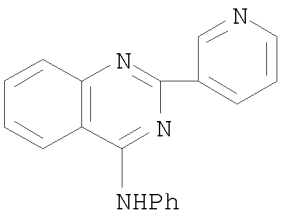


RN 157862-80-1 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

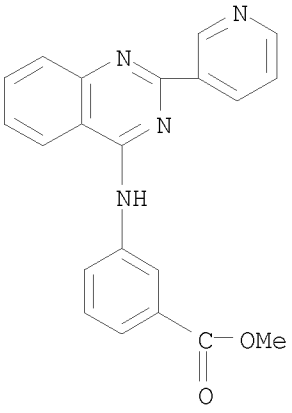


●2 HCl

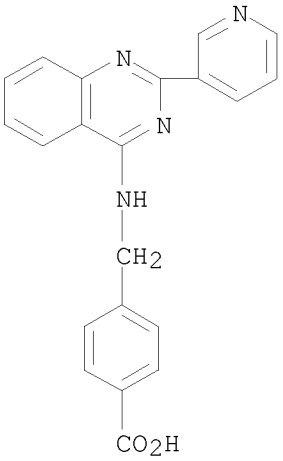
RN 157862-81-2 CAPLUS
CN 4-Quinazolinamine, N-phenyl-2-(3-pyridinyl)- (CA INDEX NAME)



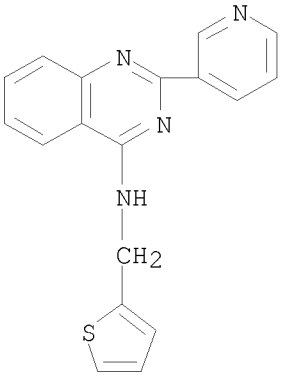
RN 157862-82-3 CAPLUS
CN Benzoic acid, 3-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-, methyl ester (CA INDEX NAME)



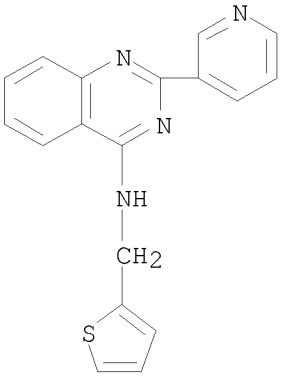
RN 157862-83-4 CAPLUS
CN Benzoic acid, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]- (CA INDEX NAME)



RN 157862-84-5 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(2-thienylmethyl)- (CA INDEX NAME)

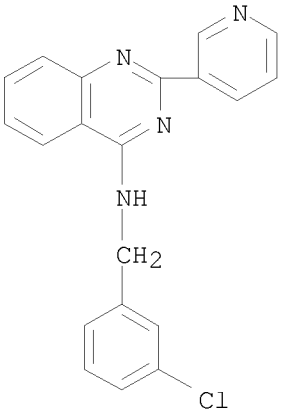


RN 157862-85-6 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(2-thienylmethyl)-, hydrochloride (1:2) (CA INDEX NAME)

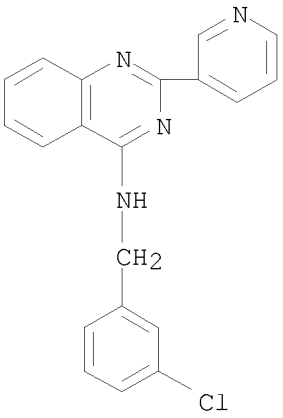


●2 HCl

RN 157862-86-7 CAPLUS
CN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

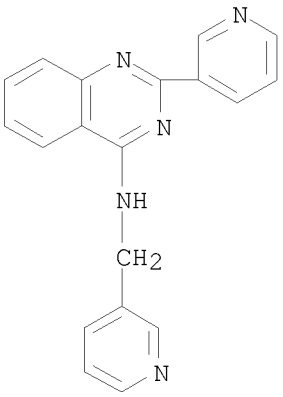


RN 157862-87-8 CAPLUS
CN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

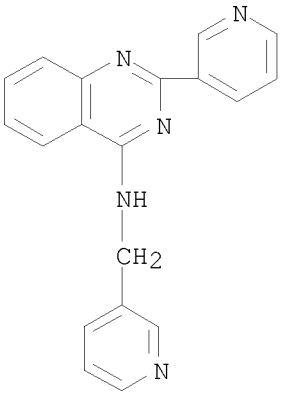


●2 HCl

RN 157862-88-9 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)- (CA INDEX NAME)

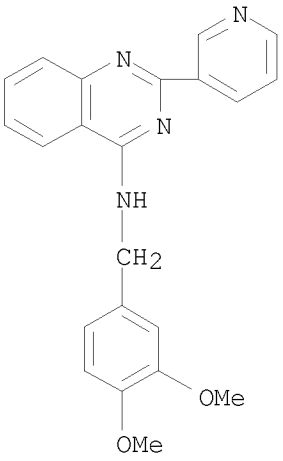


RN 157862-89-0 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)-, hydrochloride (1:3) (CA INDEX NAME)

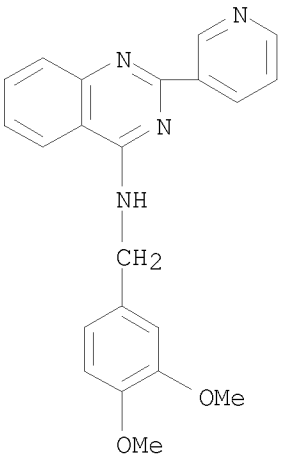


●3 HCl

RN 157862-90-3 CAPLUS
CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

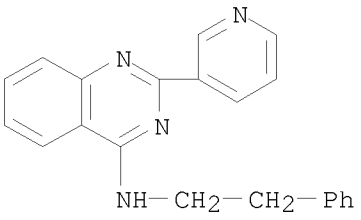


RN 157862-91-4 CAPLUS
CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

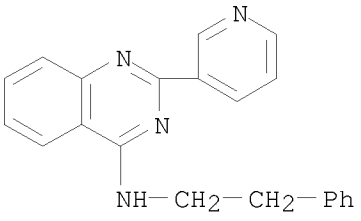


●2 HCl

RN 157862-92-5 CAPLUS
CN 4-Quinazolinamine, N-(2-phenylethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

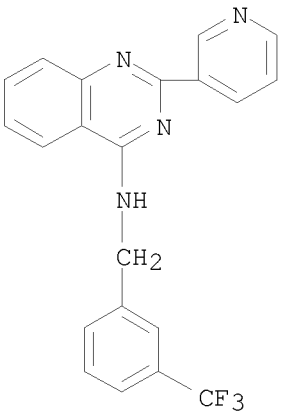


RN 157862-93-6 CAPLUS
CN 4-Quinazolinamine, N-(2-phenylethyl)-2-(3-pyridinyl)-, hydrochloride (1:2)
(CA INDEX NAME)



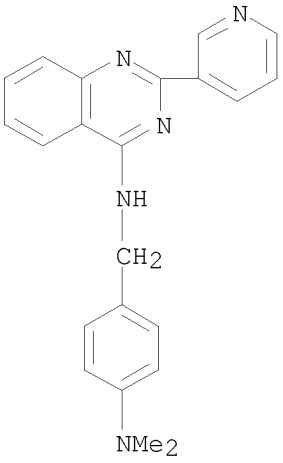
●2 HCl

RN 157862-94-7 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-,
hydrochloride (1:2) (CA INDEX NAME)



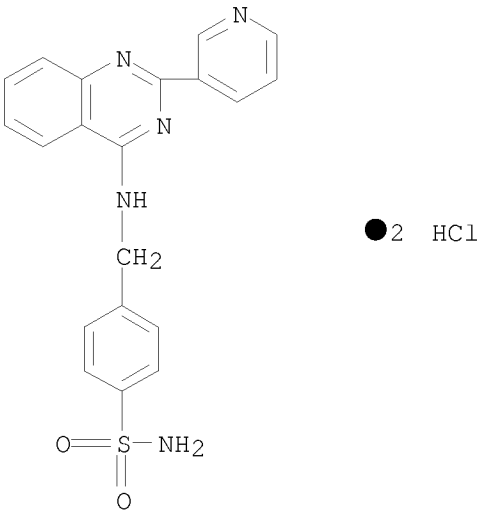
●2 HCl

RN 157862-95-8 CAPLUS
CN 4-Quinazolinamine, N-[[4-(dimethylamino)phenyl]methyl]-2-(3-pyridinyl)-, hydrochloride (1:3) (CA INDEX NAME)



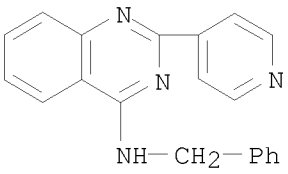
●3 HCl

RN 157862-96-9 CAPLUS
CN Benzenesulfonamide, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

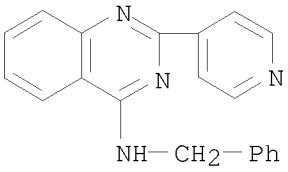


●2 HCl

RN 157862-97-0 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)- (CA INDEX NAME)

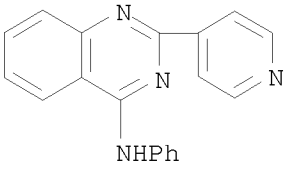


RN 157862-98-1 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)-, hydrochloride (1:2)
(CA INDEX NAME)

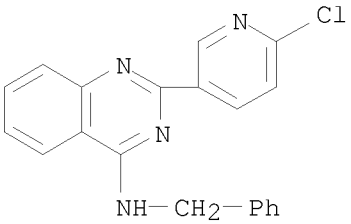


●2 HCl

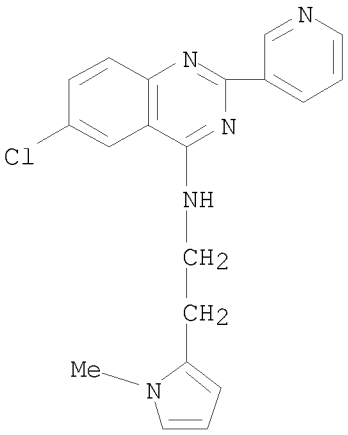
RN 157862-99-2 CAPLUS
CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)



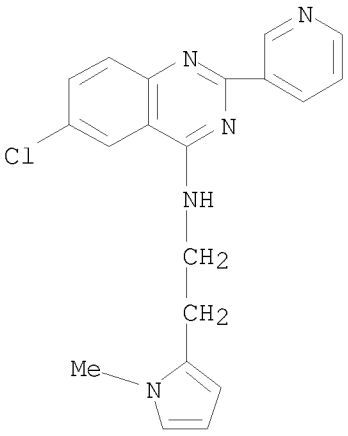
RN 157863-00-8 CAPLUS
CN 4-Quinazolinamine, 2-(6-chloro-3-pyridinyl)-N-(phenylmethyl)- (CA INDEX NAME)



RN 157863-05-3 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)- (CA INDEX NAME)

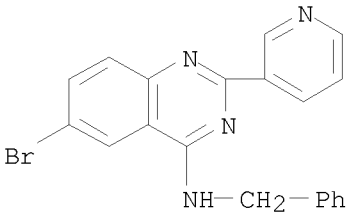


RN 157863-06-4 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

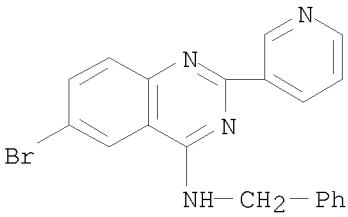


●2 HCl

RN 157863-07-5 CAPLUS
CN 4-Quinazolinamine, 6-bromo-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

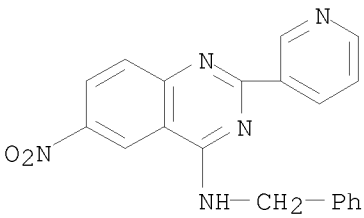


RN 157863-08-6 CAPLUS
CN 4-Quinazolinamine, 6-bromo-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

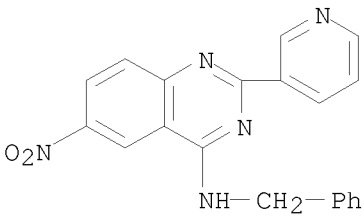


●2 HCl

RN 157863-09-7 CAPLUS
CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

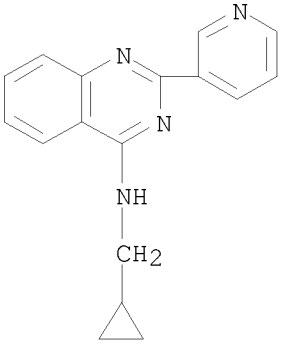


RN 157863-10-0 CAPLUS
CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

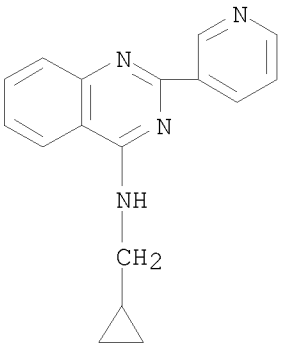


● 2 HCl

RN 157863-11-1 CAPLUS
CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

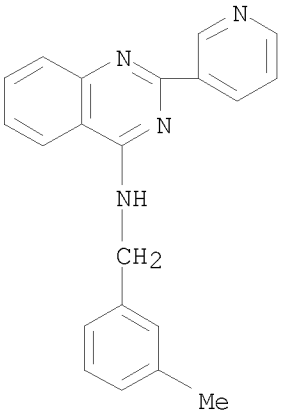


RN 157863-12-2 CAPLUS
CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

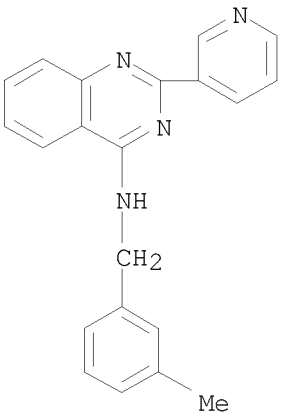


●2 HCl

RN 157863-13-3 CAPLUS
CN 4-Quinazolinamine, N-[(3-methylphenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

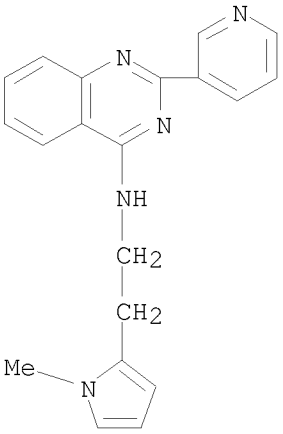


RN 157863-14-4 CAPLUS
CN 4-Quinazolinamine, N-[(3-methylphenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

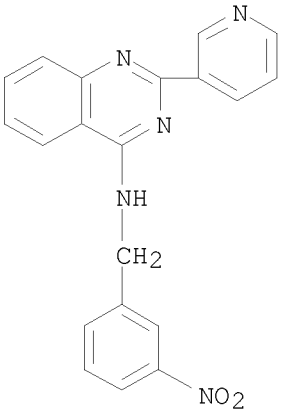


●2 HCl

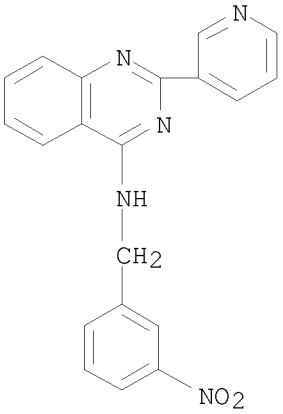
RN 157863-15-5 CAPLUS
CN 4-Quinazolinamine, N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157863-16-6 CAPLUS
CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

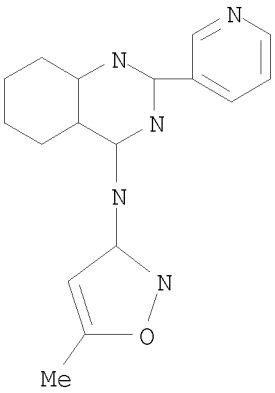


RN 157863-17-7 CAPLUS
CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

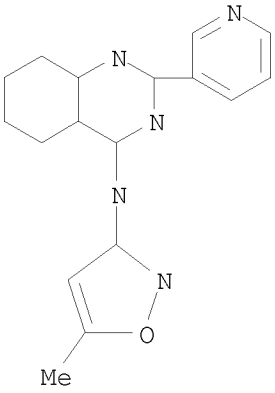


●2 HCl

RN 157863-18-8 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-3-isoxazolyl)-2-(3-pyridinyl)- (CA INDEX NAME)

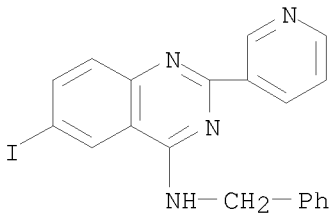


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 157863-19-9 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-3-isoxazolyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



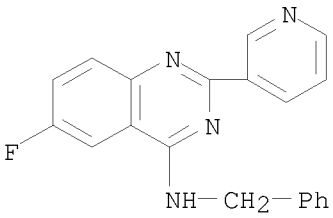
●2 HCl

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 157863-20-2 CAPLUS
CN 4-Quinazolinamine, 6-iodo-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



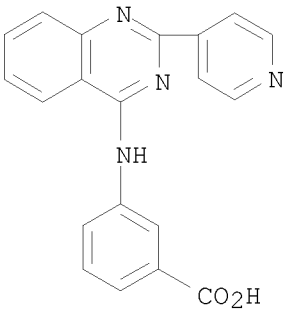
●2 HCl

RN 157863-21-3 CAPLUS
CN 4-Quinazolinamine, 6-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

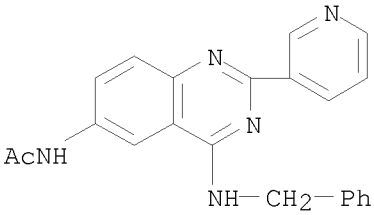


●2 HCl

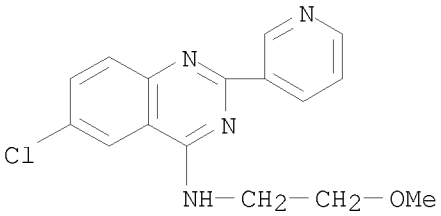
RN 157863-22-4 CAPLUS
CN Benzoic acid, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)



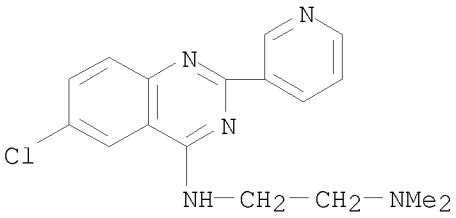
RN 157863-23-5 CAPLUS
CN Acetamide, N-[4-[(phenylmethyl)amino]-2-(3-pyridinyl)-6-quinazolinyl]- (CA INDEX NAME)



RN 157863-98-4 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(2-methoxyethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

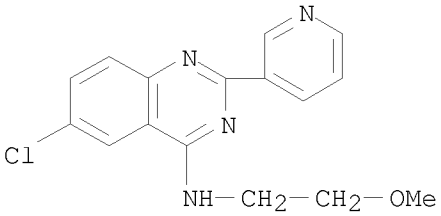


RN 157863-99-5 CAPLUS
CN 1,2-Ethanediamine, N2-[6-chloro-2-(3-pyridinyl)-4-quinazolinyl]-N1,N1-dimethyl-, hydrochloride (1:3) (CA INDEX NAME)



● 3 HCl

RN 157864-02-3 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(2-methoxyethyl)-2-(3-pyridinyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

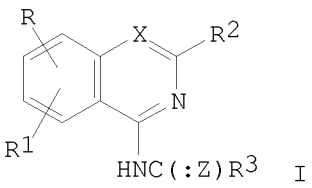
L7 ANSWER 27 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1985:523502 CAPLUS
DOCUMENT NUMBER: 103:123502
ORIGINAL REFERENCE NO.: 103:19757a,19760a
TITLE: Quinazoline and isoquinoline derivatives
INVENTOR(S): Timmerman, Hendrik; Van der Goot, Henderikus
PATENT ASSIGNEE(S): AKZO N. V. , Neth.
SOURCE: Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
EP 135975	A2	19850403	EP 1984-201386		19840928	<--
EP 135975	A3	19850612				
EP 135975	B1	19880914				
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE						
WO 8501501	A1	19850411	WO 1984-EP312		19840928	<--
W: AU, DK, JP, US						
AU 8435518	A	19850423	AU 1984-35518		19840928	<--
AU 572585	B2	19880512				
ZA 8407673	A	19850529	ZA 1984-7673		19840928	<--
JP 61500019	T	19860109	JP 1984-503906		19840928	<--
AT 37183	T	19880915	AT 1984-201386		19840928	<--
CA 1255674	A1	19890613	CA 1984-464249		19840928	<--
US 4694000	A	19870915	US 1984-679000		19841206	<--
DK 8406043	A	19850411	DK 1984-6043		19841217	<--
PRIORITY APPLN. INFO.:			NL 1983-3328	A	19830929	<--
			EP 1984-201386	A	19840928	<--
			WO 1984-EP312	A	19840928	<--

OTHER SOURCE(S): MARPAT 103:123502

GI



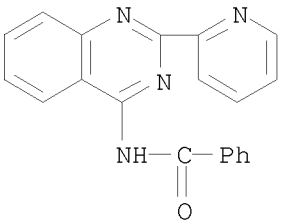
I

AB Quinazolines and isoquinolines I (R, R1 = H, alkyl, alkoxy, halo, F3C; R2 = (un)substituted 2-pyridyl; R3 = H, (un)substituted alkyl, cycloalkyl, aryl; X = N, CH; Z = O, NH), useful as bactericides, protozoacides, and inhibitors of Mycoplasma (no data) were prepared Thus, 2-H2NC6H4CONH2 was treated with 2-pyridinecarbonitrile to give 61% 4-amino-2-(2-pyridyl)quinazoline which was acylated with Ac2O to give 23% I (R = R1 = H, R2 = 2-pyridyl, R3 = Me, X = N, Z = O). The microbicidal activities of I are increased by the addition of Cu salts (no data).

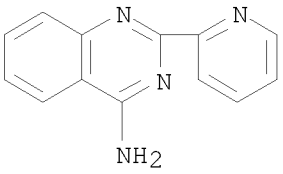
IT 91748-44-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amination of)

RN 91748-44-6 CAPLUS

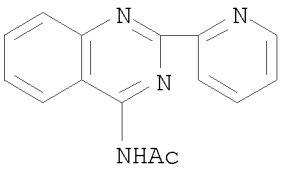
CN Benzamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



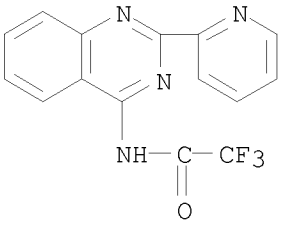
IT 40172-82-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reactions of)
RN 40172-82-5 CAPLUS
CN 4-Quinazolinamine, 2-(2-pyridinyl)- (CA INDEX NAME)



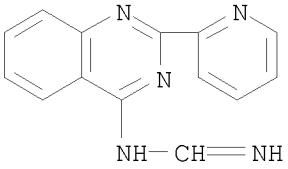
IT 91748-43-5P 91748-46-8P 91748-48-0P
91748-50-4P 91748-51-5P 91748-52-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 91748-43-5 CAPLUS
CN Acetamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



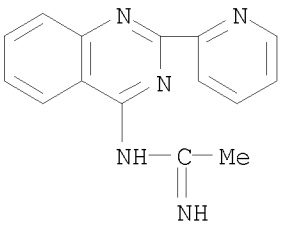
RN 91748-46-8 CAPLUS
CN Acetamide, 2,2,2-trifluoro-N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



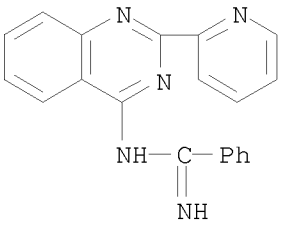
RN 91748-48-0 CAPLUS
CN Methanimidamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



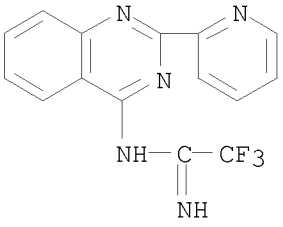
RN 91748-50-4 CAPLUS
CN Ethanimidamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



RN 91748-51-5 CAPLUS
CN Benzenecarboximidamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



RN 91748-52-6 CAPLUS
CN Ethanimidamide, 2,2,2-trifluoro-N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)

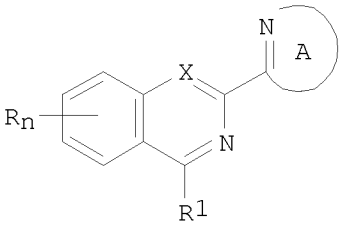


L7 ANSWER 28 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1979:439514 CAPLUS
DOCUMENT NUMBER: 91:39514
ORIGINAL REFERENCE NO.: 91:6449a,6452a
TITLE: Copper complexes of phenanthroline, isoquinoline, and quinazoline derivatives useful in combatting mycoplasma infections
INVENTOR(S): Nauta, W. T.
PATENT ASSIGNEE(S): Gist-Brocades N. V., Neth.
SOURCE: Ger. Offen., 62 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

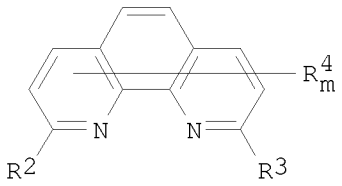
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2826526	A1	19790104	DE 1978-2826526	19780616 <--

NL 7713938	A	19790619	NL 1977-13938		19771215
GB 2002746	A	19790228	GB 1978-27117		19780616 <--
DK 7802750	A	19781218	DK 1978-2750		19780619 <--
SE 7807001	A	19781218	SE 1978-7001		19780619 <--
BE 868249	A1	19781219	BE 1978-188676		19780619 <--
NL 7806573	A	19781219	NL 1978-6573		19780619 <--
FR 2401155	A1	19790323	FR 1978-18282		19780619 <--
US 4269834	A	19810526	US 1978-916541		19780619 <--
CA 1102329	A1	19810602	CA 1978-305746		19780619 <--
FR 2422659	A1	19791109	FR 1979-6395		19790313 <--
PRIORITY APPLN. INFO.:			GB 1977-25539	A	19770617 <--
			NL 1977-13938	A	19771215 <--

OTHER SOURCE(S): MARPAT 91:39514
GI



I



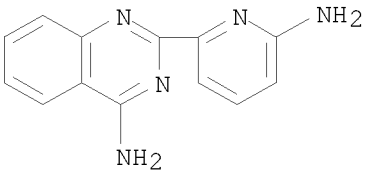
II

AB Cu complexes of I [R = H, alkyl, halogen; R1 = H, halogen, Ph, (alkyl-substituted) NH2; n = 1-4; A = (substituted) pyridyl or 2-imidazolyl; X = N, alkylidene] or II (R2 = R3 = H, halogen, alkyl, alkoxy, NH2; R4 = H, alkyl, halogen; m = 1-6) were prepared for use as antimycoplastic agents (test data tabulated). Thus, 2-MeC6H4CN was added to K in liquid NH3, followed by the addition of 1-methyl-2-cyano-1H-imidazole to give I (Rn = H, R1 = NH2, X = CH, A = 1-methyl-2-imidazolyl), which reacted with CuNO2 to give the Cu(I) complex.

IT 69768-01-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 69768-01-0 CAPLUS

CN 4-Quinazolinamine, 2-(6-amino-2-pyridinyl)- (CA INDEX NAME)



L7 ANSWER 29 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1975:479282 CAPLUS
DOCUMENT NUMBER:	83:79282
ORIGINAL REFERENCE NO.:	83:12454h,12455a
TITLE:	Bactericidal and antihypertensive 4-aminoquinazoline compounds
INVENTOR(S):	Nauta, Wijbe T.
PATENT ASSIGNEE(S):	N. V. Koninklijke Pharmaceutische Fabrieken Voorheen Brocades-Stheeman & Pharmacia, Neth.
SOURCE:	Brit., 4 pp. Division of Brit. 1,390,014. CODEN: BRXXAA

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1390015	A	19750409	GB 1974-47849	19720505 <--

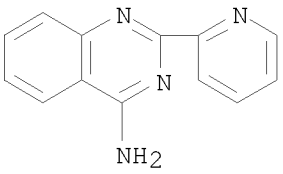
PRIORITY APPLN. INFO.: GB 1974-47849 A 19720505 <--

GI For diagram(s), see printed CA Issue.

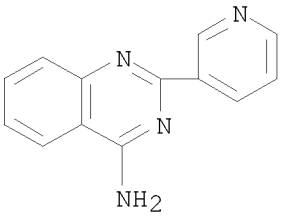
AB Ten title compds. I (R = pyrrolidyl, 2-, 3-, and 4-pyridyl, 2-furyl, 1-methyl-2-pyrrolyl; R1 = H, Cl, MeO; R2 = H, MeO) were prepared from 2-aminobenzonitriles by treatment with heterocyclic nitriles. Thus, I (R = pyrrolidyl, R1 = R2 = H) was prepared from 2-H2NC6H4CN in Et2O by refluxing with 1-pyrrolidinenitrile 4 hr under N in the presence of PhBr-Li followed by treatment with H2O. I showed bactericidal activity (no data) towards Mycoplasma gallisepticum and Pasteurella multocida. The antihypertensive activities of I were assessed in rats (no data).

IT 40172-82-5P 40172-83-6P 40172-84-7P
40172-87-0P 40172-88-1P 40172-98-3P
40172-99-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(bactericide and antihypertensive, preparation of)

RN 40172-82-5 CAPLUS
CN 4-Quinazolinamine, 2-(2-pyridinyl)- (CA INDEX NAME)

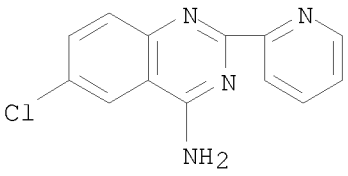


RN 40172-83-6 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

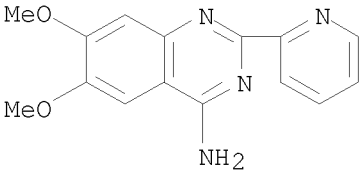


●2 HCl

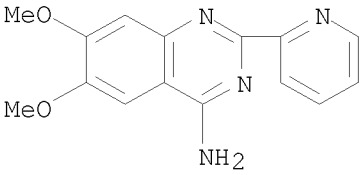
RN 40172-84-7 CAPLUS
CN 4-Quinazolinamine, 6-chloro-2-(2-pyridinyl)- (CA INDEX NAME)



RN 40172-87-0 CAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-2-(2-pyridinyl)- (CA INDEX NAME)

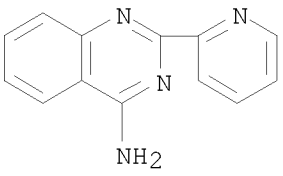


RN 40172-88-1 CAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-2-(2-pyridinyl)-, hydrochloride (1:1)
(CA INDEX NAME)



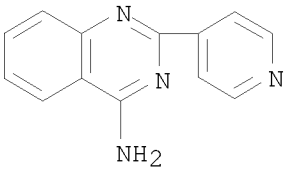
● HCl

RN 40172-98-3 CAPLUS
CN 4-Quinazolinamine, 2-(2-pyridinyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 40172-99-4 CAPLUS
CN 4-Quinazolinamine, 2-(4-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

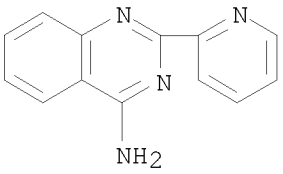


●2 HCl

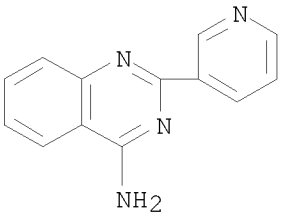
L7 ANSWER 30 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1973:72180 CAPLUS
DOCUMENT NUMBER: 78:72180
ORIGINAL REFERENCE NO.: 78:11481a,11484a
TITLE: Pyrimidine derivatives
PATENT ASSIGNEE(S): N. V. Koninklijke Pharmaceutische Fabrieken Voorheen Brocades-Stheeman & Pharmacia
SOURCE: Neth. Appl., 19 pp.
CODEN: NAXXAN
DOCUMENT TYPE: Patent
LANGUAGE: Dutch
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 7206067	A	19721109	NL 1972-6067	19720505 <--
JP 56001315	B	19810113	JP 1972-44512	19720504 <--
NO 139270	B	19781023	NO 1972-1600	19720505 <--
NO 139270	C	19790131		
SE 406197	B	19790129	SE 1972-5960	19720505 <--
SE 406197	C	19790510		

PRIORITY APPLN. INFO.: GB 1971-13802 A 19710507 <--
GI For diagram(s), see printed CA Issue.
AB Aminoquinazolines (I, R = NMe2, NEt2, pyrrolidino, 2-furyl, 2-pyridyl, 1-methyl-2-pyrrolyl, 4-(2-furoyl)-1-piperazinyl; R1 = R2 = H, OMe; R1 = Cl, R2 = H) were prepared by treating the corresponding o-aminobenzonitrile with RCN and PhLi. Thus, reaction of o-H2NC6H4CN with Et2NCN and PhLi gave I (R = NEt2, R1 = R2 = H).
IT 40172-82-5P 40172-83-6P 40172-84-7P
40172-87-0P 40172-88-1P 40172-98-3P
40172-99-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 40172-82-5 CAPLUS
CN 4-Quinazolinamine, 2-(2-pyridinyl)- (CA INDEX NAME)

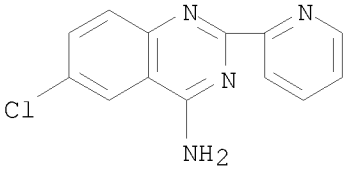


RN 40172-83-6 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

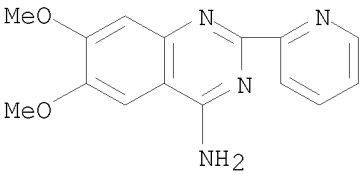


● 2 HCl

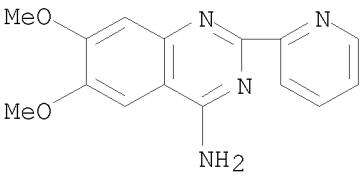
RN 40172-84-7 CAPLUS
CN 4-Quinazolinamine, 6-chloro-2-(2-pyridinyl)- (CA INDEX NAME)



RN 40172-87-0 CAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-2-(2-pyridinyl)- (CA INDEX NAME)

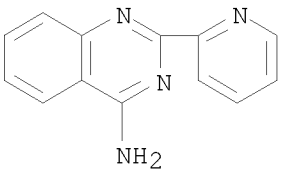


RN 40172-88-1 CAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-2-(2-pyridinyl)-, hydrochloride (1:1)
(CA INDEX NAME)



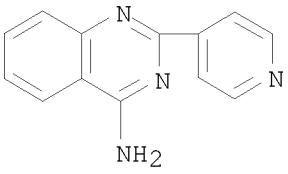
● HCl

RN 40172-98-3 CAPLUS
CN 4-Quinazolinamine, 2-(2-pyridinyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 40172-99-4 CAPLUS
CN 4-Quinazolinamine, 2-(4-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

=> fil stnguide

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.44	548.74

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-24.60	-24.60

FILE 'STNGUIDE' ENTERED AT 17:37:14 ON 03 MAR 2009
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Feb 27, 2009 (20090227/UP).

=>
=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	3.01	551.75

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-24.60

FILE 'REGISTRY' ENTERED AT 18:02:47 ON 03 MAR 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 2 MAR 2009 HIGHEST RN 1114593-79-1
DICTIONARY FILE UPDATES: 2 MAR 2009 HIGHEST RN 1114593-79-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

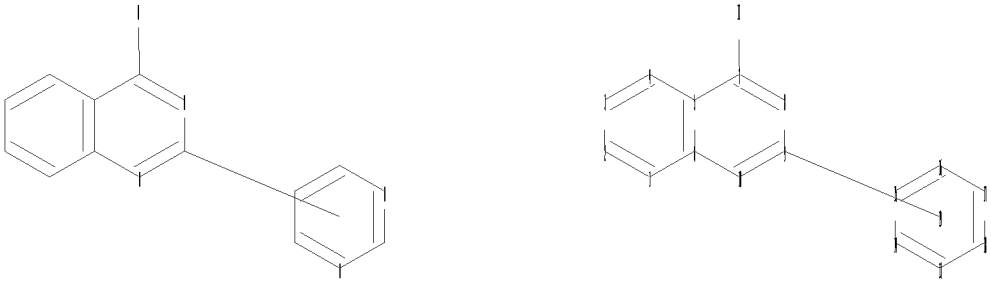
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10552426.str



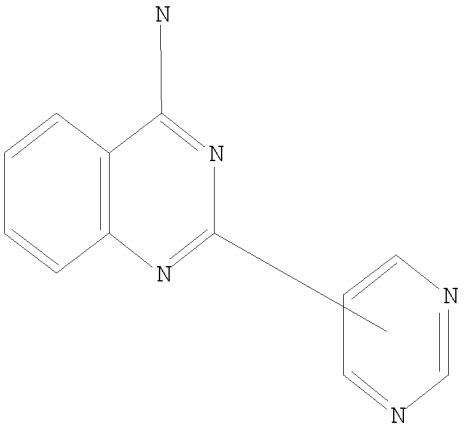
ring nodes :
1 2 3 4 5 6 7 8 9 10 13 14 15 16 17 18
ring/chain nodes :
11
chain bonds :
7-11
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 13-14 13-18 14-15 15-16
 16-17 17-18
exact/norm bonds :
7-11
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 13-14 13-18 14-15 15-16
 16-17 17-18
isolated ring systems :
containing 1 : 13 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:Atom

L8   STRUCTURE UPLOADED

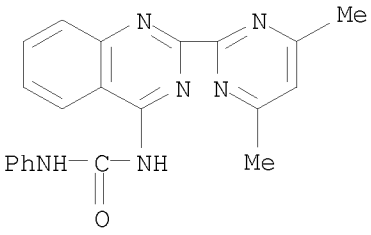
=> d l8
L8 HAS NO ANSWERS

L8 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l8
SAMPLE SEARCH INITIATED 18:05:58 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      914 TO ITERATE

100.0% PROCESSED      914 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        16467 TO    20093
PROJECTED ANSWERS:               0 TO        0

L9            0 SEA SSS SAM L8

=> s l8 sss full
FULL SEARCH INITIATED 18:06:08 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    18460 TO ITERATE

100.0% PROCESSED    18460 ITERATIONS                              6 ANSWERS
SEARCH TIME: 00.00.01

L10           6 SEA SSS FUL L8

=> d scan

L10  6 ANSWERS   REGISTRY  COPYRIGHT 2009 ACS on STN
IN   Urea, N-[2-(4,6-dimethyl-2-pyrimidinyl)-4-quinazolinyl]-N'-phenyl-
MF   C21 H18 N6 O
```

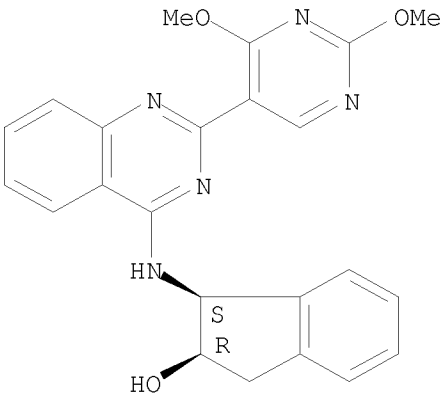


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):5

L10 6 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1H-Inden-2-ol, 1-[[2-(2,4-dimethoxy-5-pyrimidinyl)-4-quinazolinyl]amino]-2,3-dihydro-, (1S,2R)-
MF C23 H21 N5 O3

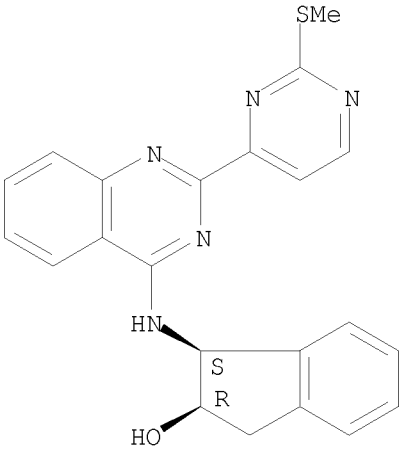
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L10 6 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-[2-(methylthio)-4-pyrimidinyl]-4-quinazolinyl]amino]-, (1S,2R)-
MF C22 H19 N5 O S

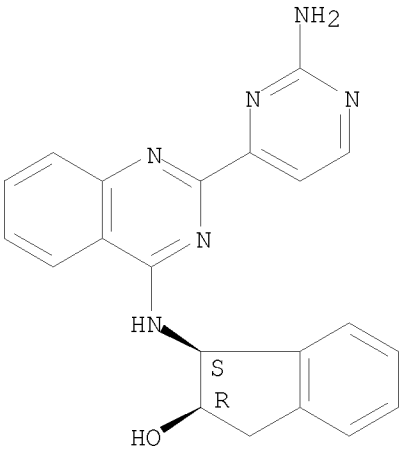
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L10 6 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1H-Inden-2-ol, 1-[[2-(2-amino-4-pyrimidinyl)-4-quinazolinyl]amino]-2,3-dihydro-, (1S,2R)-
MF C21 H18 N6 O

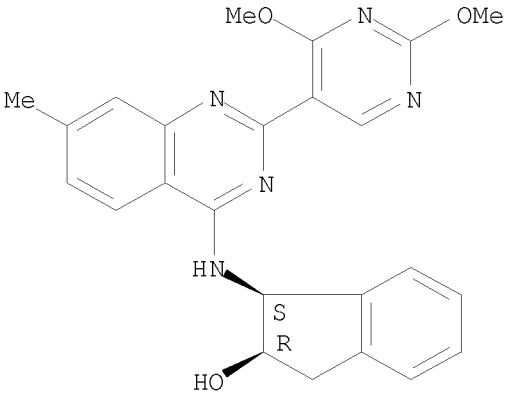
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

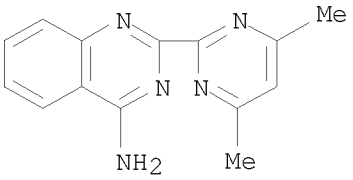
L10 6 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1H-Inden-2-ol, 1-[[2-(2,4-dimethoxy-5-pyrimidinyl)-7-methyl-4-quinazolinyl]amino]-2,3-dihydro-, (1S,2R)-
MF C24 H23 N5 O3

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L10 6 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, 2-(4,6-dimethyl-2-pyrimidinyl)-
MF C14 H13 N5



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> fil cap

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	188.76	740.51

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-24.60

FILE 'CAPLUS' ENTERED AT 18:07:08 ON 03 MAR 2009

FILE COVERS 1907 - 3 Mar 2009 VOL 150 ISS 10
FILE LAST UPDATED: 2 Mar 2009 (20090302/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

```
=> d his

     (FILE 'HOME' ENTERED AT 17:29:05 ON 03 MAR 2009)

     FILE 'REGISTRY' ENTERED AT 17:29:15 ON 03 MAR 2009
L1             STRUCTURE UPLOADED
L2           0 S L1 SSS SAM
L3           0 S L1 SSS FULL
L4             STRUCTURE UPLOADED
L5          38 S L4 SSS SAM
L6        1075 S L4 SSS FULL

     FILE 'CAPLUS' ENTERED AT 17:35:50 ON 03 MAR 2009
L7          30 S L6 AND (PRY<2003)

     FILE 'STNGUIDE' ENTERED AT 17:37:14 ON 03 MAR 2009

     FILE 'REGISTRY' ENTERED AT 18:02:47 ON 03 MAR 2009
L8             STRUCTURE UPLOADED
L9           0 S L8
L10          6 S L8 SSS FULL

     FILE 'CAPLUS' ENTERED AT 18:07:08 ON 03 MAR 2009

=> s l10 and (pry<2004)
             2 L10
       4267750 PRY<2004
L11          1 L10 AND (PRY<2004)

=> d ibib abs hitstr

L11  ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2004:902403  CAPLUS
DOCUMENT NUMBER:         141:374752
TITLE:                   Heterocyclic compound modulators of kinases,
                         particularly Tie-2 kinase, and use in the treatment of
                         kinase-dependent diseases
INVENTOR(S):             Ibrahim, Mohamed; Leahy, James; Sangalang, Joan C.;
                         Schnepp, Kevin; Shi, Xian; Nuss, John
PATENT ASSIGNEE(S):      Exelixis, Inc., USA
SOURCE:                  PCT Int. Appl., 91 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
```

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092196	A2	20041028	WO 2004-US10858	20040408 <--
WO 2004092196	A3	20050317		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004230928	A1	20041028	AU 2004-230928	20040408 <--
CA 2520323	A1	20041028	CA 2004-2520323	20040408 <--
EP 1610774	A2	20060104	EP 2004-749893	20040408 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006523238	T	20061012	JP 2006-509820	20040408 <--
US 20070161651	A1	20070712	US 2005-552426	20051007 <--
PRIORITY APPLN. INFO.:			US 2003-461446P P	20030409 <--
			WO 2004-US10858 A	20040408

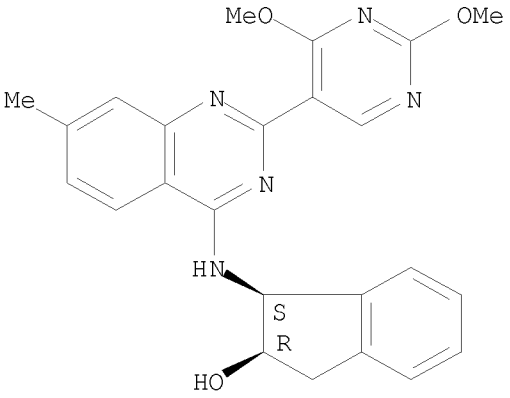
OTHER SOURCE(S): MARPAT 141:374752

AB The invention provides compds. for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion. Compds. of the invention inhibit, regulate and/or modulate kinases, particularly Tie-2. Methods of using the compds. and pharmaceutical compns. thereof to treat kinase-dependent diseases and conditions are also an aspect of the invention. Preparation of quinazoline compds. of the invention is described.

IT 781615-68-7 781615-79-0 781615-81-4
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heterocyclic compound modulators of kinases, particularly Tie-2 kinase, and use in treatment of kinase-dependent diseases)

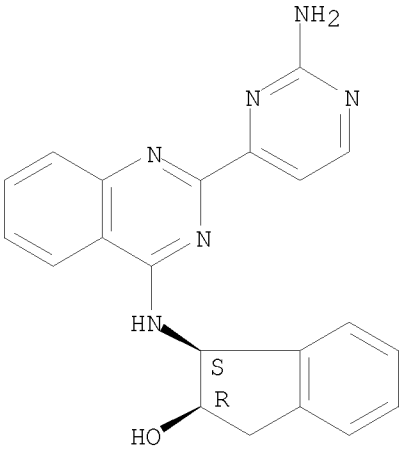
RN 781615-68-7 CAPLUS
CN 1H-Inden-2-ol, 1-[[2-(2,4-dimethoxy-5-pyrimidinyl)-7-methyl-4-quinazolinyl]amino]-2,3-dihydro-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.



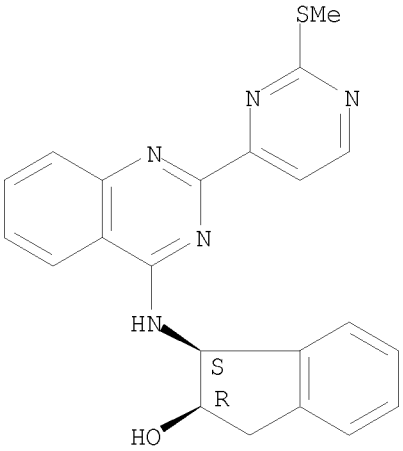
RN 781615-79-0 CAPLUS
CN 1H-Inden-2-ol, 1-[[2-(2-amino-4-pyrimidinyl)-4-quinazolinyl]amino]-2,3-dihydro-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.



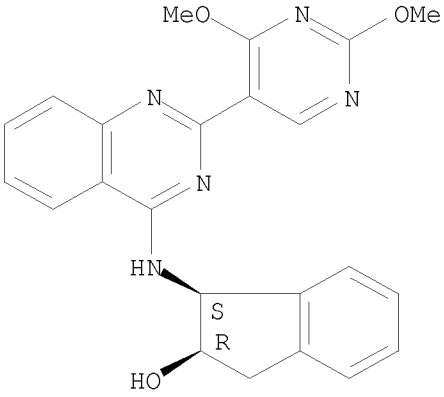
RN 781615-81-4 CAPLUS
CN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-[2-(methylthio)-4-pyrimidinyl]-4-quinazolinyl]amino]-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 781615-97-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(heterocyclic compound modulators of kinases, particularly Tie-2 kinase, and use in treatment of kinase-dependent diseases)
RN 781615-97-2 CAPLUS
CN 1H-Inden-2-ol, 1-[[2-(2,4-dimethoxy-5-pyrimidinyl)-4-quinazolinyl]amino]-2,3-dihydro-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> logoff
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	8.38	748.89

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.82	-25.42

STN INTERNATIONAL LOGOFF AT 18:07:52 ON 03 MAR 2009